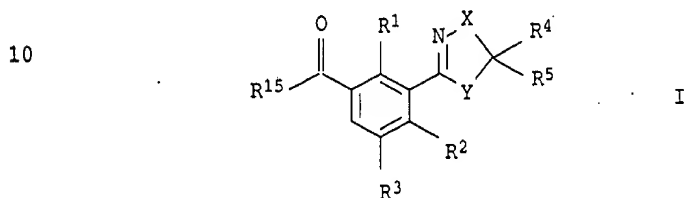


3-Heterocyclyl-substituted benzoyl derivatives

The present invention relates to 3-heterocyclyl-substituted benzoyl derivatives of the formula I



15

where the variables have the following meanings:

- 20 R^1, R^2 are hydrogen, nitro, halogen, cyano, C_1-C_6 -alkyl, C_1-C_6 -haloalkyl, C_1-C_6 -alkoxy, C_1-C_6 -haloalkoxy, C_1-C_6 -alkylthio, C_1-C_6 -haloalkylthio, C_1-C_6 -alkylsulfinyl, C_1-C_6 -haloalkylsulfinyl, C_1-C_6 -alkylsulfonyl or C_1-C_6 -haloalkylsulfonyl;
- 25 R^3 is hydrogen, halogen or C_1-C_6 -alkyl;
- 30 R^4, R^5 are hydrogen, halogen, cyano, nitro, C_1-C_4 -alkyl, C_1-C_4 -alkoxy- C_1-C_4 -alkyl, di(C_1-C_4 -alkoxy)- C_1-C_4 -alkyl, di(C_1-C_4 -alkyl)-amino- C_1-C_4 -alkyl, [2,2-di(C_1-C_4 -alkyl)-1-hydrazino]- C_1-C_4 -alkyl, C_1-C_6 -alkyliminoxy- C_1-C_4 -alkyl, C_1-C_4 -alkoxycarbonyl- C_1-C_4 -alkyl, C_1-C_4 -alkylthio- C_1-C_4 -alkyl, C_1-C_4 -haloalkyl, C_1-C_4 -cyanoalkyl, C_3-C_8 -cycloalkyl, C_1-C_4 -alkoxy, C_1-C_4 -alkoxy- C_2-C_4 -alkoxy, C_1-C_4 -haloalkoxy, hydroxyl, C_1-C_4 -alkylcarbonyloxy, C_1-C_4 -alkylthio, C_1-C_4 -haloalkylthio, di(C_1-C_4 -alkyl)amino, COR^6 , phenyl or benzyl, it being possible for the two last-mentioned substituents to be
- 40 fully or partially halogenated and/or to have attached to them one to three of the following groups: nitro, cyano, C_1-C_4 -alkyl, C_1-C_4 -haloalkyl, C_1-C_4 -alkoxy or C_1-C_4 -haloalkoxy;

45 or

2

R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

5

or

R⁴ and R⁵ together with the corresponding carbon form a carbonyl or thiocarbonyl group;

10

R⁶ is hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-alkoxy-C₂-C₄-alkoxy, C₁-C₄-haloalkoxy, C₃-C₆-alkenyloxy, C₃-C₆-alkynyloxy or NR⁷R⁸;

15

R⁷ is hydrogen or C₁-C₄-alkyl;

R⁸ is C₁-C₄-alkyl;

20

X is O, S, NR⁹, CO or CR¹⁰R¹¹;

Y is O, S, NR¹², CO or CR¹³R¹⁴;

25 R⁹, R¹²

are hydrogen or C₁-C₄-alkyl;

R¹⁰, R¹¹, R¹³, R¹⁴ are hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxycarbonyl, C₁-C₄-haloalkoxycarbonyl or CONR⁷R⁸;

30

or

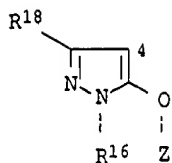
R⁴ and R⁹ or R⁴ and R¹⁰ or R⁵ and R¹² or R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

35

40 R¹⁵ is a pyrazole of the formula II which is linked in the 4-position

45

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II

where

- 10 R^{16} is C_1 - C_6 -alkyl;
- Z is H or SO_2R^{17} ;
- 15 R^{17} is C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, phenyl or phenyl which is partially or fully halogenated and/or has attached to it one to three of the following groups:
- 20 nitro, cyano, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -alkoxy or C_1 - C_4 -haloalkoxy;
- R^{18} is hydrogen or C_1 - C_6 -alkyl;

25 where X and Y are not simultaneously oxygen or sulfur;

- with the exception of 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1-ethyl-5-hydroxy-1H-pyrazole, 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole, 4-[2-chloro-3-(5-cyano-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole, 4-[2-chloro-3-(4,5-dihydrothiazol-2-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole and 35 4-[2-chloro-3-(thiazoline-4,5-dione-2-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole;

or the agriculturally useful salts thereof.

40 The invention furthermore relates to processes and intermediates for the preparation of compounds of the formula I, to compositions comprising them, and to the use of these derivatives or compositions comprising them for the control of harmful plants.

45

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Pyrazol-4-yl-benzoyl derivatives have been disclosed in the literature, for example in WO 96/26206.

However, the herbicidal properties of the compounds which have
5 been known to date and their compatibility properties regarding crop plants are only moderately satisfactory.

It is an object of the present invention to provide novel, in
10 particular herbicidally active, compounds which have improved properties.

We have found that this object is achieved by the 3-hetero-
cyclyl-substituted benzoyl derivatives of the formula I and by
15 their herbicidal activity.

We have furthermore found herbicidal compositions which comprise the compounds I and which have a very good herbicidal activity. Moreover, we have found processes for the preparation of these
20 compositions and methods of controlling undesirable vegetation using the compounds I.

Depending on the substitution pattern, the compounds of the
25 formula I can contain one or more chiral centers, in which case they exist as enantiomer or diastereomer mixtures. The present invention relates to the pure enantiomers or diastereomers and to the mixtures thereof.

The compounds of the formula I may also exist in the form of
30 their agriculturally useful salts, the type of salt generally being of no importance. In general, suitable salts are the salts of those cations or the acid addition salts of those acids whose cations, or anions, respectively, do not adversely affect the
35 herbicidal activity of the compounds I.

Suitable cations are, in particular, ions of the alkali metals, preferably lithium, sodium and potassium, of the alkaline earth metals, preferably calcium and magnesium, and of the transition
40 metals, preferably manganese, copper, zinc and iron, and also ammonium, it being possible in this case, if desired, for one to four hydrogen atoms to be replaced by C₁-C₄-alkyl, hydroxy-C₁-C₄-alkyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, hydroxy-C₁-C₄-alkoxy-C₁-C₄-alkyl, phenyl or benzyl, preferably
45 ammonium, dimethylammonium, diisopropylammonium, tetramethylammonium, tetrabutylammonium, 2-(2-hydroxyeth-1-oxy)eth-1-ylammonium,

di(2-hydroxyeth-1-yl)ammonium, trimethylbenzylammonium, in addition phosphonium ions, sulfonium ions, preferably tri(C₁-C₄-alkyl)sulfonium and sulfoxonium ions, preferably tri(C₁-C₄-alkyl)sulfoxonium.

5

Anions of useful acid addition salts are mainly chloride, bromide, fluoride, hydrogen sulfate, sulfate, dihydrogen phosphate, hydrogen phosphate, nitrate, hydrogen carbonate, carbonate, hexafluorosilicate, hexafluorophosphate, benzoate, and
10 the anions of C₁-C₄-alkanoic acids, preferably formate, acetate, propionate and butyrate.

The organic moieties mentioned for the substituents R¹-R¹⁸ or as radicals on phenyl rings are collective terms for individual
15 enumerations of the individual group members. All hydrocarbon chains, ie. all alkyl, haloalkyl, cyanoalkyl, alkoxy, haloalkoxy, alkyliminooxy, alkylcarbonyloxy, alkylthio, haloalkylthio, alkylsulfinyl, haloalkylsulfinyl, alkylsulfonyl, haloalkylsulfonyl, alkoxycarbonyl, haloalkoxycarbonyl,
20 alkenyloxy, alkynyloxy, dialkylamino, dialkylhydrazino, alkoxyalkyl, hydroxyalkoxyalkyl, dialkoxyalkyl, alkylthioalkyl, dialkylaminoalkyl, dialkylhydrazinoalkyl, alkyliminooxyalkyl, alkoxycarbonylalkyl and alkoxyalkoxy moieties, can be straight-chain or branched. Unless otherwise specified,
25 halogenated substituents preferably have attached to them one to five identical or different halogen atoms. The meaning of halogen is in each case fluorine, chlorine, bromine or iodine.

30 Other examples of meanings are:

- C₁-C₄-alkyl and the alkyl moieties of di-(C₁-C₄-alkoxy)-C₁-C₄-alkyl, [2,2-di(C₁-C₄-alkyl)-1-hydrazino]-C₁-C₄-alkyl, C₁-C₆-alkyliminooxy-C₁-C₄-alkyl, hydroxy-C₁-C₄-alkoxy-C₁-C₄-alkyl and C₁-C₄-alkylcarbonyloxy: for example methyl,
35 ethyl, propyl, 1-methylethyl, butyl, 1-methylpropyl, 2-methylpropyl and 1,1-dimethylethyl;
- C₁-C₆-alkyl: C₁-C₄-alkyl as mentioned above and, for example,
40 pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 2,2-dimethylpropyl, 1-ethylpropyl, hexyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl,
45 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-ethylbutyl,

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2-ethylbutyl, 1,1,2-trimethylpropyl, 1-ethyl-1-methylpropyl and 1-ethyl-3-methylpropyl;

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- 5 - C₁-C₄-haloalkyl: a C₁-C₄-alkyl radical as mentioned above which is partially or fully substituted by fluorine, chlorine, bromine and/or iodine, for example chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chlorofluoromethyl, dichlorofluoromethyl, chlorodifluoromethyl, 2-fluoroethyl, 10 2-chloroethyl, 2-bromoethyl, 2-iodoethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-chloro-2-fluoroethyl, 2-chloro-2,2-difluoroethyl, 2,2-dichloro-2-fluoroethyl, 2,2,2-trichloroethyl, pentafluoroethyl, 2-fluoropropyl, 3-fluoropropyl, 2,2-difluoropropyl, 2,3-difluoropropyl, 15 2-chloropropyl, 3-chloropropyl, 2,3-dichloropropyl, 2-bromopropyl, 3-bromopropyl, 3,3,3-trifluoropropyl, 3,3,3-trichloropropyl, 2,2,3,3,3-pentafluoropropyl, heptafluoropropyl, 1-(fluoromethyl)-2-fluoroethyl, 1-(chloromethyl)-2-chloroethyl, 1-(bromomethyl)-2-bromoethyl, 20 4-fluorobutyl, 4-chlorobutyl, 4-bromobutyl and nonafluorobutyl;
- 25 - C₁-C₆-haloalkyl: C₁-C₄-haloalkyl as mentioned above and, for example, 5-fluoropentyl, 5-chloropentyl, 5-bromopentyl, 5-iodopentyl, undecafluoropentyl, 6-fluorohexyl, 6-chlorohexyl, 6-bromohexyl, 6-iodohexyl and dodecafluorohexyl;
- 30 - C₁-C₄-cyanoalkyl: for example cyanomethyl, 1-cyanoeth-1-yl, 2-cyanoeth-1-yl, 1-cyanoprop-1-yl, 2-cyanoprop-1-yl, 3-cyanoprop-1-yl, 1-cyanoprop-2-yl, 2-cyanoprop-2-yl, 1-cyanobut-1-yl, 2-cyanobut-1-yl, 3-cyanobut-1-yl, 4-cyanobut-1-yl, 1-cyanobut-2-yl, 2-cyanobut-2-yl, 35 1-cyanobut-3-yl, 2-cyanobut-3-yl, 1-cyano-2-methylprop-3-yl, 2-cyano-2-methylprop-3-yl, 3-cyano-2-methylprop-3-yl and 2-cyanomethylprop-2-yl;
- 40 - C₁-C₄-alkoxy and the alkoxy moieties of di-(C₁-C₄-alkoxy)-C₁-C₄-alkyl and hydroxy-C₁-C₄-alkoxy-C₁-C₄-alkyl: for example methoxy, ethoxy, propoxy, 1-methylethoxy, butoxy, 1-methylpropoxy, 2-methylpropoxy and 1,1-dimethylethoxy;
- 45 - C₁-C₆-alkoxy: C₁-C₄-alkoxy as mentioned above and, for example, pentoxy, 1-methylbutoxy, 2-methylbutoxy, 3-methoxybutoxy, 1,1-dimethylpropoxy, 1,2-dimethylpropoxy, 2,2-dimethylpropoxy, 1-ethylpropoxy, hexoxy, 1-methylpentoxy,

2-methylpentoxy, 3-methylpentoxy, 4-methylpentoxy,
1,1-dimethylbutoxy, 1,2-dimethylbutoxy, 1,3-dimethylbutoxy,
2,2-dimethylbutoxy, 2,3-dimethylbutoxy, 3,3-dimethylbutoxy,
1-ethylbutoxy, 2-ethylbutoxy, 1,1,2-trimethylpropoxy,
1,2,2-trimethylpropoxy, 1-ethyl-1-methylpropoxy and
1-ethyl-2-methylpropoxy;

C₁-C₄-haloalkoxy: a C₁-C₄-alkoxy radical as mentioned above which is partially or fully substituted by fluorine, chlorine, bromine and/or iodine, for example fluoromethoxy, difluoromethoxy, trifluoromethoxy, chlorodifluoromethoxy, bromodifluoromethoxy, 2-fluoroethoxy, 2-chloroethoxy, 2-bromomethoxy, 2-iodoethoxy, 2,2-difluoroethoxy, 2,2,2-trifluoroethoxy, 2-chloro-2-fluoroethoxy, 2-chloro-2,2-difluoroethoxy, 2,2-dichloro-2-fluoroethoxy, 2,2,2-trichloroethoxy, pentafluoroethoxy, 2-fluoropropoxy, 3-fluoropropoxy, 2-chloropropoxy, 3-chloropropoxy, 2-bromopropoxy, 3-bromopropoxy, 2,2-difluoropropoxy, 2,3-difluoropropoxy, 2,3-dichloropropoxy, 3,3,3-trifluoropropoxy, 3,3,3-trichloropropoxy, 2,2,3,3,3-pentafluoropropoxy, heptafluoropropoxy, 1-(fluoromethyl)-2-fluoroethoxy, 1-(chloromethyl)-2-chloroethoxy, 1-(bromomethyl)-2-bromoethoxy, 4-fluorobutoxy, 4-chlorobutoxy, 4-bromobutoxy and nonafluorobutoxy;

- C₁-C₆-haloalkoxy: C₁-C₄-haloalkoxy as mentioend above and, for example, 5-fluoropentoxy, 5-chloropentoxy, 5-bromopentoxy, 5-iodopentoxy, undecafluoropentoxy, 6-fluorohexoxy, 6-chlorohexoxy, 6-bromohexoxy, 6-iodohexoxy and dodecafluorohexoxy;

- C₁-C₆-alkyliminoxy and the C₁-C₆-akyliminoxy moieties of
35 C₁-C₆-alkyliminoxy-C₁-C₄-alkyl: for example methyliminoxy,
ethyliminoxy, 1-propyliminoxy, 2-propyliminoxy,
1-butyliminoxy, 2-butyliminoxy, 2-methylprop-1-yliminoxy,
1-pentyliminoxy, 2-pentyliminoxy, 3-pentyliminoxy,
3-methylbut-2-yliminoxy, 2-methylbut-1-yliminoxy,
40 3-methylbut-1-yliminoxy, 1-hexyliminoxy, 2-Hexyliminoxy,
3-hexyliminoxy, 2-methylpent-1-yliminoxy,
3-methylpent-1-yliminoxy, 4-methylpent-1-yliminoxy,
2-ethylbut-1-yliminoxy, 3-ethylbut-1-yliminoxy,
2,3-dimethylbut-1-yliminoxy, 3-methylpent-2-yliminoxy,
45 4-methylpent-2-yliminoxy and 3,3-dimethylbut-2-yliminoxy;

- C₁-C₄-alkylthio: for example methylthio, ethylthio, propylthio, 1-methylethylthio, butylthio, 1-methylpropylthio, 2-methylpropylthio and 1,1-dimethylethylthio;
- 5 - C₁-C₆-alkylthio: C₁-C₄-alkylthio as mentioned above and, for example, pentylthio, 1-methylbutylthio, 2-methylbutylthio, 3-methylbutylthio, 2,2-dimethylpropylthio, 1-ethylpropylthio, hexylthio, 1,1-dimethylpropylthio, 1,2-dimethylpropylthio, 1-methylpentylthio, 2-methylpentylthio, 3-methylpentylthio, 10 4-methylpentylthio, 1,1-dimethylbutylthio, 1,2-dimethylbutylthio, 1,3-dimethylbutylthio, 2,2-dimethylbutylthio, 2,3-dimethylbutylthio, 3,3-dimethylbutylthio, 1-ethylbutylthio, 2-ethylbutylthio, 1,1,2-trimethylpropylthio, 1,2,2-trimethylpropylthio, 15 1-ethyl-1-methylpropylthio and 1-ethyl-2-methylpropylthio;
- C₁-C₄-haloalkylthio: a C₁-C₄-alkylthio radical as mentioned above, which is partially or fully substituted by fluorine, chlorine, bromine and/or iodine, for example 20 fluoromethylthio, difluoromethylthio, trifluoromethylthio, chlorodifluoromethylthio, bromodifluoromethylthio, 2-fluorethylthio, 2-chloroethylthio, 2-bromoethylthio, 2-iodoethylthio, 2,2-difluoroethylthio, 2,2,2-trifluoroethylthio, 2,2,2-trichloroethylthio, 25 2-chloro-2-fluoroethylthio, 2-chloro-2,2-difluoroethylthio, 2,2-dichloro-2-fluoroethylthio, pentafluoroethylthio, 2-fluoropropylthio, 3-fluoropropylthio, 2-chloropropylthio, 3-chloropropylthio, 2-bromopropylthio, 3-bromopropylthio, 2,2-difluoropropylthio, 2,3-difluoropropylthio, 30 2,3-dichloropropylthio, 3,3,3-trifluoropropylthio, 3,3,3-trichloropropylthio, 2,2,3,3,3-pentafluoropropylthio, heptafluoropropylthio, 1-(fluoromethyl)-2-fluoroethylthio, 1-(chloromethyl)-2-chloroethylthio, 1-(bromomethyl)-2-bromoethylthio, 4-fluorobutylthio, 35 4-chlorobutylthio, 4-bromobutylthio and nonafluorobutylthio;
- C₁-C₆-haloalkylthio: C₁-C₄-haloalkylthio as mentioned above and, for example, 5-fluoropentylthio, 5-chloropentylthio, 40 5-bromopentylthio, 5-iodopentylthio, undecafluoropentylthio, 6-fluorohexylthio, 6-chlorohexylthio, 6-bromohexylthio, 6-iodohexylthio and dodecafluorohexylthio;
- C₁-C₆-alkylsulfinyl (C₁-C₆-alkyl-S(=O)-): for example 45 methylsulfinyl, ethylsulfinyl, propylsulfinyl, 1-methylethylsulfinyl, butylsulfinyl, 1-methylpropylsulfinyl, 2-methylpropylsulfinyl, 1,1-dimethylethylsulfinyl,

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- pentylsulfinyl, 1-methylbutylsulfinyl, 2-methylbutylsulfinyl,
 3-methylbutylsulfinyl, 2,2-dimethylpropylsulfinyl,
 1-ethylpropylsulfinyl, 1,1-dimethylpropylsulfinyl,
 1,2-dimethylpropylsulfinyl, hexylsulfinyl,
 5 1-methylpentylsulfinyl, 2-methylpentylsulfinyl,
 3-methylpentylsulfinyl, 4-methylpentylsulfinyl,
 1,1-dimethylbutylsulfinyl, 1,2-dimethylbutylsulfinyl,
 1,3-dimethylbutylsulfinyl, 2,2-dimethylbutylsulfinyl,
 2,3-dimethylbutylsulfinyl, 3,3-dimethylbutylsulfinyl,
 10 1-ethylbutylsulfinyl, 2-ethylbutylsulfinyl,
 1,1,2-trimethylpropylsulfinyl, 1,2,2-trimethylpropylsulfinyl,
 1-ethyl-1-methylpropylsulfinyl and
 1-ethyl-2-methylpropylsulfinyl;
- 15 C₁-C₆-haloalkylsulfinyl: a C₁-C₆-alkylsulfinyl radical as
 mentioned above which is partially or fully substituted by
 fluorine, chlorine, bromine and/or iodine, for example
 fluoromethylsulfinyl, difluoromethylsulfinyl,
 20 trifluoromethylsulfinyl, chlorodifluoromethylsulfinyl,
 bromodifluoromethylsulfinyl, 2-fluoroethylsulfinyl,
 2-chloroethylsulfinyl, 2-bromoethylsulfinyl,
 2-iodoethylsulfinyl, 2,2-difluoroethylsulfinyl,
 2,2,2-trifluoroethylsulfinyl, 2,2,2-trichloroethylsulfinyl,
 2-chloro-2-fluoroethylsulfinyl,
 25 2-chloro-2,2-difluoroethylsulfinyl,
 2,2-dichloro-2-fluoroethylsulfinyl, pentafluoroethylsulfinyl,
 2-fluoropropylsulfinyl, 3-fluoropropylsulfinyl,
 2-chloropropylsulfinyl, 3-chloropropylsulfinyl,
 2-bromopropylsulfinyl, 3-bromopropylsulfinyl,
 30 2,2-difluoropropylsulfinyl, 2,3-difluoropropylsulfinyl,
 2,3-dichloropropylsulfinyl, 3,3,3-trifluoropropylsulfinyl,
 3,3,3-trichloropropylsulfinyl,
 2,2,3,3,3-pentafluoropropylsulfinyl,
 heptafluoropropylsulfinyl,
 35 1-(fluoromethyl)-2-fluoroethylsulfinyl,
 1-(chloromethyl)-2-chloroethylsulfinyl,
 1-(bromomethyl)-2-bromoethylsulfinyl, 4-fluorobutylsulfinyl,
 4-chlorobutylsulfinyl, 4-bromobutylsulfinyl,
 nonafluorobutylsulfinyl, 5-fluoropentylsulfinyl,
 40 5-chloropentylsulfinyl, 5-bromopentylsulfinyl,
 5-iodopentylsulfinyl, undecafluoropentylsulfinyl,
 6-fluorohexylsulfinyl, 6-chlorohexylsulfinyl,
 6-bromohexylsulfinyl, 6-iodohexylsulfinyl and
 dodecafluorohexylsulfinyl;

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- C₁-C₆-alkylsulfonyl (C₁-C₆-alkyl-S(=O)₂-): for example
methylsulfonyl, ethylsulfonyl, propylsulfonyl,
1-methylethylsulfonyl, butylsulfonyl, 1-methylpropylsulfonyl,
2-methylpropylsulfonyl, 1,1-dimethylethylsulfonyl,
5 pentylsulfonyl, 1-methylbutylsulfonyl, 2-methylbutylsulfonyl,
3-methylbutylsulfonyl, 1,1-dimethylpropylsulfonyl,
1,2-dimethylpropylsulfonyl, 2,2-dimethylpropylsulfonyl,
1-ethylpropylsulfonyl, hexylsulfonyl, 1-methylpentylsulfonyl,
2-methylpentylsulfonyl, 3-methylpentylsulfonyl,
10 4-methylpentylsulfonyl, 1,1-dimethylbutylsulfonyl,
1,2-dimethylbutylsulfonyl, 1,3-dimethylbutylsulfonyl,
2,2-dimethylbutylsulfonyl, 2,3-dimethylbutylsulfonyl,
3,3-dimethylbutylsulfonyl, 1-ethylbutylsulfonyl,
2-ethylbutylsulfonyl, 1,1,2-trimethylpropylsulfonyl,
15 1,2,2-trimethylpropylsulfonyl, 1-ethyl-1-methylpropylsulfonyl
and 1-ethyl-2-methylpropylsulfonyl;

C₁-C₆-haloalkylsulfonyl: a C₁-C₆-alkylsulfonyl radical as mentioned above which is partially or fully substituted by fluorine, chlorine, bromine and/or iodine, for example fluoromethylsulfonyl, difluoromethylsulfonyl, trifluoromethylsulfonyl, chlorodifluoromethylsulfonyl, bromodifluoromethylsulfonyl, 2-fluoroethylsulfonyl, 2-chloroethylsulfonyl, 2-bromoethylsulfonyl, 2-iodoethylsulfonyl, 2,2-difluoroethylsulfonyl, 2,2,2-trifluoroethylsulfonyl, 2-chloro-2-fluoroethylsulfonyl, 2-chloro-2,2-difluoroethylsulfonyl, 2,2-dichloro-2-fluoroethylsulfonyl, 2,2,2-trichloroethylsulfonyl, pentafluoroethylsulfonyl, 2-fluoropropylsulfonyl, 3-fluoropropylsulfonyl, 2-chloropropylsulfonyl, 3-chloropropylsulfonyl, 2-bromopropylsulfonyl, 3-bromopropylsulfonyl, 2,2-difluoropropylsulfonyl, 2,3-difluoropropylsulfonyl, 2,3-dichloropropylsulfonyl, 3,3,3-trifluoropropylsulfonyl, 3,3,3-trichloropropylsulfonyl, 2,2,3,3,3-pentafluoropropylsulfonyl, heptafluoropropylsulfonyl, 1-(fluoromethyl)-2-fluoroethylsulfonyl, 1-(chloromethyl)-2-chloroethylsulfonyl, 1-(bromomethyl)-2-bromoethylsulfonyl, 4-fluorobutylsulfonyl, 4-chlorobutylsulfonyl, 4-bromobutylsulfonyl, nonafluorobutylsulfonyl, 5-fluoropentylsulfonyl, 5-chloropentylsulfonyl, 5-bromopentylsulfonyl, 5-iodopentylsulfonyl, 6-fluorohexylsulfonyl, 6-bromohexylsulfonyl, 6-iodohexylsulfonyl and dodecafluorohexylsulfonyl;

C₁-C₄-alkoxycarbonyl: for example methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, 1-methylethoxycarbonyl, butoxycarbonyl, 1-methylpropoxycarbonyl, 2-methylpropoxycarbonyl and 1,1-dimethoxycarbonyl;

5

C₁-C₄-haloalkoxycarbonyl: a C₁-C₄-alkoxycarbonyl as mentioned above which is partially or fully substituted by fluorine, chlorine, bromine and/or iodine, for example

10 fluoromethoxycarbonyl, difluoromethoxycarbonyl, trifluoromethoxycarbonyl, chlorodifluoromethoxycarbonyl, bromodifluoromethoxycarbonyl, 2-fluoroethoxycarbonyl, 2-chloroethoxycarbonyl, 2-bromoethoxycarbonyl, 2-iodoethoxycarbonyl, 2,2-difluoroethoxycarbonyl, 2,2,2-trifluoroethoxycarbonyl,

15 2-chloro-2-fluoroethoxycarbonyl, 2-chloro-2,2-difluoroethoxycarbonyl, 2,2-dichloro-2-fluoroethoxycarbonyl, 2,2,2-trichloroethoxycarbonyl, pentafluoroethoxycarbonyl, 2-fluoropropoxycarbonyl, 3-fluoropropoxycarbonyl,

20 2-chloropropoxycarbonyl, 3-chloropropoxycarbonyl, 2-bromopropoxycarbonyl, 3-bromopropoxycarbonyl, 2,2-difluoropropoxycarbonyl, 2,3-difluoropropoxycarbonyl, 2,3-dichloropropoxycarbonyl, 3,3,3-trifluoropropoxycarbonyl, 3,3,3-trichloropropoxycarbonyl,

25 2,2,3,3,3-pentafluoropropoxycarbonyl, heptafluoropropoxycarbonyl, 1-(fluoromethyl)-2-fluoroethoxycarbonyl, 1-(chloromethyl)-2-chloroethoxycarbonyl, 1-(bromomethyl)-2-bromoethoxycarbonyl,

30 4-fluorobutoxycarbonyl, 4-chlorobutoxycarbonyl, 4-bromobutoxycarbonyl and 4-iodobutoxycarbonyl;

C₃-C₆-alkenyloxy: for example prop-1-en-1-yloxy, prop-2-en-1-yloxy, 1-methylethenyloxy, buten-1-yloxy,

35 buten-2-yloxy, buten-3-yloxy, 1-methylprop-1-en-1-yloxy, 2-methylprop-1-en-1-yloxy, 1-methylprop-2-en-1-yloxy, 2-methylprop-2-en-1-yloxy, penten-1-yloxy, penten-2-yloxy, penten-3-yloxy, penten-4-yloxy, 1-methylbut-1-en-1-yloxy,

40 2-methylbut-1-en-1-yloxy, 3-methylbut-1-en-1-yloxy, 1-methylbut-2-en-1-yloxy, 2-methylbut-2-en-1-yloxy, 3-methylbut-2-en-1-yloxy, 1-methylbut-3-en-1-yloxy, 2-methylbut-3-en-1-yloxy, 3-methylbut-3-en-1-yloxy, 1,1-dimethylprop-2-en-1-yloxy, 1,2-dimethylprop-1-en-1-yloxy, 1,2-dimethylprop-2-en-1-yloxy, 1-ethylprop-1-en-2-yloxy,

45 1-ethylprop-2-en-1-yloxy, hex-1-en-1-yloxy, hex-2-en-1-yloxy, hex-3-en-1-yloxy, hex-4-en-1-yloxy, hex-5-en-1-yloxy, 1-methylpent-1-en-1-yloxy, 2-methylpent-1-en-1-yloxy,

3-methylpent-1-en-1-yloxy, 4-methylpent-1-en-1-yloxy,
 1-methylpent-2-en-1-yloxy, 2-methylpent-2-en-1-yloxy,
 3-methylpent-2-en-1-yloxy, 4-methylpent-2-en-1-yloxy,
 1-methylpent-3-en-1-yloxy, 2-methylpent-3-en-1-yloxy,
 5 3-methylpent-3-en-1-yloxy, 4-methylpent-3-en-1-yloxy,
 1-methylpent-4-en-1-yloxy, 2-methylpent-4-en-1-yloxy,
 3-methylpent-4-en-1-yloxy, 4-methylpent-4-en-1-yloxy,
 1,1-dimethylbut-2-en-1-yloxy, 1,1-dimethylbut-3-en-1-yloxy,
 1,2-dimethylbut-1-en-1-yloxy, 1,2-dimethylbut-2-en-1-yloxy,
 10 1,2-dimethylbut-3-en-1-yloxy, 1,3-dimethylbut-1-en-1-yloxy,
 1,3-dimethylbut-2-en-1-yloxy, 1,3-dimethylbut-3-en-1-yloxy,
 2,2-dimethylbut-3-en-1-yloxy, 2,3-dimethylbut-1-en-1-yloxy,
 2,3-dimethylbut-2-en-1-yloxy, 2,3-dimethylbut-3-en-1-yloxy,
 3,3-dimethylbut-1-en-1-yloxy, 3,3-dimethylbut-2-en-1-yloxy,
 15 1-ethylbut-1-en-1-yloxy, 1-ethylbut-2-en-1-yloxy,
 1-ethylbut-3-en-1-yloxy, 2-ethylbut-1-en-1-yloxy,
 2-ethylbut-2-en-1-yloxy, 2-ethylbut-3-en-1-yloxy,
 1,1,2-trimethylprop-2-en-1-yloxy,
 1-ethyl-1-methylprop-2-en-1-yloxy,
 20 1-ethyl-2-methylprop-1-en-1-yloxy and
 1-ethyl-2-methylprop-2-en-1-yloxy;

- C₃-C₆-alkynyloxy: for example prop-1-yn-1-yloxy,
 prop-2-yn-1-yloxy, but-1-yn-1-yloxy, but-1-yn-3-yloxy,
 25 but-1-yn-4-yloxy, but-2-yn-1-yloxy, pent-1-yn-1-yloxy,
 pent-1-yn-3-yloxy, pent-1-yn-4-yloxy, pent-1-yn-5-yloxy,
 pent-2-yn-1-yloxy, pent-2-yn-4-yloxy, pent-2-yn-5-yloxy,
 3-methylbut-1-yn-3-yloxy, 3-methylbut-1-yn-4-yloxy,
 hex-1-yn-1-yloxy, hex-1-yn-3-yloxy, hex-1-yn-4-yloxy,
 30 hex-1-yn-5-yloxy, hex-1-yn-6-yloxy, hex-2-yn-1-yloxy,
 hex-2-yn-4-yloxy, hex-2-yn-5-yloxy, hex-2-yn-6-yloxy,
 hex-3-yn-1-yloxy, hex-3-yn-2-yloxy,
 3-methylpent-1-yn-1-yloxy, 3-methylpent-1-yn-3-yloxy,
 3-methylpent-1-yn-4-yloxy, 3-methylpent-1-yn-5-yloxy,
 35 4-methylpent-1-yn-1-yloxy, 4-methylpent-2-yn-4-yloxy and
 4-methylpent-2-yn-5-yloxy;

- di(C₁-C₄-alkyl)amino: for example N,N-dimethylamino,
 N,N-diethylamino, N,N-dipropylamino,
 40 N,N-di(1-methylethyl)amino, N,N-dibutylamino,
 N,N-di(1-methylpropyl)amino, N,N-di(2-methylpropyl)amino,
 N,N-di(1,1-dimethylethyl)amino, N-ethyl-N-methylamino,
 N-methyl-N-propylamino, N-methyl-N-(1-methylethyl)amino,
 N-butyl-N-methylamino, N-methyl-N-(1-methylpropyl)amino,
 45 N-methyl-N-(2-methylpropyl)amino,
 N-(1,1-dimethylethyl)-N-methylamino, N-ethyl-N-propylamino,
 N-ethyl-N-(1-methylethyl)amino, N-butyl-N-ethylamino,

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- N-ethyl-N-(1-methylpropyl)amino,
 N-ethyl-N-(2-methylpropyl)amino,
 N-ethyl-N-(1,1-dimethylethyl)amino,
 N-(1-methylethyl)-N-propylamino, N-butyl-N-propylamino,
 5 N-(1-methylpropyl)-N-propylamino,
 N-(2-methylpropyl)-N-propylamino,
 N-(1,1-dimethylethyl)-N-propylamino,
 N-butyl-N-(1-methylethyl)amino,
 N-(1-methylethyl)-N-(1-methylpropyl)amino,
 10 N-(1-methylethyl)-N-(2-methylpropyl)amino,
 N-(1,1-dimethylethyl)-N-(1-methylethyl)amino,
 N-butyl-N-(1-methylpropyl)amino,
 N-butyl-N-(2-methylpropyl)amino,
 N-butyl-N-(1,1-dimethylethyl)amino,
 15 N-(1-methylpropyl)-N-(2-methylpropyl)amino,
 N-(1,1-dimethylethyl)-N-(1-methylpropyl)amino and
 N-(1,1-dimethylethyl)-N-(2-methylpropyl)amino;
- [2,2-di(C₁-C₄-alkyl)-1-hydrazino], and the dialkylhydrazino
 20 moieties of [2,2-di(C₁-C₄-alkyl)-1-hydrazino]-C₁-C₄-alkyl: for
 example 2,2-dimethylhydrazino-1, 2,2-diethylhydrazino-1,
 2,2-dipropylhydrazino-1, 2,2-di(1-methylethyl)-1-hydrazino,
 2,2-dibutylhydrazino-1, 2,2-di(1-methylpropyl)-1-hydrazino,
 2,2-di(2-methylpropyl)-1-hydrazino,
 25 2,2-di(1,1-dimethylethyl)-1-hydrazino,
 2-ethyl-2-methyl-1-hydrazino, 2-methyl-2-propyl-1-hydrazino,
 2-methyl-2-(1-methylethyl)-1-hydrazino,
 2-butyl-2-methyl-1-hydrazino,
 2-methyl-2-(1-methylpropyl)-1-hydrazino,
 30 2-methyl-2-(2-methylpropyl)-1-hydrazino,
 2-(1,1-dimethylethyl)-2-methyl-1-hydrazino,
 2-ethyl-2-propyl-1-hydrazino,
 2-ethyl-2-(1-methylethyl)-1-hydrazino,
 2-butyl-2-ethyl-1-hydrazino,
 35 2-ethyl-2-(1-methylpropyl)-1-hydrazino,
 2-ethyl-2-(2-methylpropyl)-1-hydrazino,
 2-ethyl-2-(1,1-dimethylethyl)-1-hydrazino,
 2-(1-methylethyl)-2-propyl-1-hydrazino,
 2-butyl-2-propyl-1-hydrazino,
 40 2-(1-methylpropyl)-2-propyl-1-hydrazino,
 2-(2-methylpropyl)-2-propyl-1-hydrazino,
 2-(1,1-dimethylethyl)-2-propyl-1-hydrazino,
 2-butyl-2-(1-methylethyl)-1-hydrazino,
 2-(1-methylethyl)-2-(1-methylpropyl)-1-hydrazino,
 45 2-(1-methylethyl)-2-(2-methylpropyl)-1-hydrazino,
 2-(1,1-dimethylethyl)-2-(1-methylethyl)-1-hydrazino,
 2-butyl-2-(1-methylpropyl)-1-hydrazino,

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- 2-butyl-2-(2-methylpropyl)-1-hydrazino,
 2-butyl-2-(1,1-dimethylethyl)-1-hydrazino,
 2-(1-methylpropyl)-2-(2-methylpropyl)-1-hydrazino,
 2-(1,1-dimethylethyl)-2-(1-methylpropyl)-1-hydrazino and
 5 2-(1,1-dimethylethyl)-2-(2-methylpropyl)-1-hydrazino;
- di(C₁-C₄-alkyl)amino-C₁-C₄-alkyl: C₁-C₄-alkyl which is substituted by di(C₁-C₄-alkyl)amino as mentioned above, for example N,N-dimethylaminomethyl, N,N-diethylaminomethyl,
 10 N,N-dipropylaminomethyl, N,N-di(1-methylethyl)aminomethyl, N,N-dibutylaminomethyl, N,N-di(1-methylpropyl)aminomethyl, N,N-di(2-methylpropyl)aminomethyl, N,N-di(1,1-dimethylethyl)aminomethyl, N-ethyl-N-methylaminomethyl, N-methyl-N-propylaminomethyl,
 15 N-methyl-N-(1-methylethyl)aminomethyl, N-butyl-N-methylaminomethyl, N-methyl-N-(1-methylpropyl)aminomethyl, N-methyl-N-(2-methylpropyl)aminomethyl, N-(1,1-dimethylethyl)-N-methylaminomethyl,
 20 N-ethyl-N-propylaminomethyl, N-ethyl-N-(1-methylethyl)aminomethyl, N-butyl-N-ethylaminomethyl, N-ethyl-N-(1-methylpropyl)aminomethyl, N-ethyl-N-(2-methylpropyl)aminomethyl, N-ethyl-N-(1,1-dimethylethyl)aminomethyl,
 25 N-(1-methylethyl)-N-propylaminomethyl, N-butyl-N-propylaminomethyl, N-(1-methylpropyl)-N-propylaminomethyl, N-(2-methylpropyl)-N-propylaminomethyl,
 30 N-(1,1-dimethylethyl)-N-propylaminomethyl, N-butyl-N-(1-methylethyl)aminomethyl, N-(1-methylethyl)-N-(1-methylpropyl)aminomethyl, N-(1-methylethyl)-N-(2-methylpropyl)aminomethyl, N-(1,1-dimethylethyl)-N-(1-methylethyl)aminomethyl,
 35 N-butyl-N-(1-methylpropyl)aminomethyl, N-butyl-N-(2-methylpropyl)aminomethyl, N-butyl-N-(1,1-dimethylethyl)aminomethyl, N-(1-methylpropyl)-N-(2-methylpropyl)aminomethyl, N-(1,1-dimethylethyl)-N-(1-methylpropyl)aminomethyl,
 40 N-(1,1-dimethylethyl)-N-(2-methylpropyl)aminomethyl, 2-(N,N-dimethylamino)ethyl, 2-(N,N-diethylamino)ethyl, 2-(N,N-dipropylamino)ethyl, 2-[N,N-di(1-methylethyl)amino]ethyl, 2-[N,N-dibutylamino]ethyl,
 45 2-[N,N-di(1-methylpropyl)amino]ethyl, 2-[N,N-di(2-methylpropyl)amino]ethyl, 2-[N,N-di(1,1-dimethylethyl)amino]ethyl, 2-[N-ethyl-N-methylamino]ethyl,

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- 2- [N-methyl-N-propylamino]ethyl,
 2- [N-methyl-N- (1-methylethyl) amino]ethyl,
 2- [N-butyl-N-methylamino]ethyl,
 2- [N-methyl-N- (1-methylpropyl) amino]ethyl,
 5 2- [N-methyl-N- (2-methylpropyl) amino]ethyl,
 2- [N- (1,1-dimethylethyl) -N-methylamino]ethyl,
 2- [N-ethyl-N-propylamino]ethyl,
 2- [N-ethyl-N- (1-methylethyl) amino]ethyl,
 2- [N-butyl-N-ethylamino]ethyl,
 10 2- [N-ethyl-N- (1-methylpropyl) amino]ethyl,
 2- [N-ethyl-N- (2-methylpropyl) amino]ethyl,
 2- [N-ethyl-N- (1,1-dimethylethylamino)ethyl],
 2- [N- (1-methylethyl) -N-propylamino]ethyl,
 2- [N-butyl-N-propylamino]ethyl,
 15 2- [N- (1-methylpropyl) -N-propylamino]ethyl,
 2- [N- (2-methylpropyl) -N-propylamino]ethyl,
 2- [N- (1,1-dimethylethyl) -N-propylamino]ethyl,
 2- [N-butyl-N- (1-methylethyl) amino]ethyl,
 2- [N- (1-methylethyl) -N- (1-methylpropyl) amino]ethyl,
 20 2- [N- (1-methylethyl) -N- (2-methylpropyl) amino]ethyl,
 2- [N- (1,1-dimethylethyl) -N- (1-methylethyl) amino]ethyl,
 2- [N-butyl-N- (1-methylpropyl) amino]ethyl,
 2- [N-butyl-N- (2-methylpropyl) amino]ethyl,
 2- [N-butyl-N- (1,1-dimethylethyl) amino]ethyl,
 25 2- [N- (1-methylpropyl) -N- (2-methylpropyl) amino]ethyl,
 2- [N- (1,1-dimethylethyl) -N- (1-methylpropyl) amino]ethyl,
 2- [N- (1,1-dimethylethyl) -N- (2-methylpropyl) amino]ethyl,
 3- (N,N-dimethylamino)propyl, 3- (N,N-diethylamino)propyl,
 4- (N,N-dimethylamino)butyl und 4- (N,N-diethylamino)butyl;
 30
- C₁-C₄-alkoxy-C₁-C₄-alkyl: C₁-C₄-alkyl which is substituted by
 C₁-C₄-alkoxy as mentioned above, for example methoxymethyl,
 ethoxymethyl, propoxymethyl, (1-methylethoxy)methyl,
 butoxymethyl, (1-methylpropoxy)methyl,
 35 (2-methylpropoxy)methyl, (1,1-dimethylethoxy)methyl,
 2- (methoxy)ethyl, 2- (ethoxy)ethyl, 2- (propoxy)ethyl,
 2- (1-methylethoxy)ethyl, 2- (butoxy)ethyl,
 2- (1-methylpropoxy)ethyl, 2- (2-methylpropoxy)ethyl,
 2- (1,1-dimethylethoxy)ethyl, 2- (methoxy)-propyl,
 40 2- (ethoxy)propyl, 2- (propoxy)propyl,
 2- (1-methylethoxy)propyl, 2- (butoxy)propyl,
 2- (1-methylpropoxy)propyl, 2- (2-methylpropoxy)propyl,
 2- (1,1-dimethylethoxy)propyl, 3- (methoxy)propyl,
 3- (ethoxy)-propyl, 3- (propoxy)propyl,
 45 3- (1-methylethoxy)propyl, 3- (butoxy)propyl,
 3- (1-methylpropoxy)propyl, 3- (2-methylpropoxy)propyl,
 3- (1,1-dimethylethoxy)propyl, 2- (methoxy)butyl,

- 2-(ethoxy)butyl, 2-(propoxy)butyl, 2-(1-methylethoxy)butyl,
 2-(butoxy)butyl, 2-(1-methylpropoxy)butyl,
 2-(2-methylpropoxy)butyl, 2-(1,1-dimethylethoxy)butyl,
 3-(methoxy)butyl, 3-(ethoxy)butyl, 3-(propoxy)butyl,
 5 3-(1-methylethoxy)butyl, 3-(butoxy)butyl,
 3-(1-methylpropoxy)butyl, 3-(2-methylpropoxy)butyl,
 3-(1,1-dimethylethoxy)butyl, 4-(methoxy)butyl,
 4-(ethoxy)butyl, 4-(propoxy)butyl, 4-(1-methylethoxy)butyl,
 4-(butoxy)butyl, 4-(1-methylpropoxy)butyl,
 10 4-(2-methylpropoxy)butyl and 4-(1,1-dimethylethoxy)butyl;
- C₁-C₄-alkylthio-C₁-C₄-alkyl: C₁-C₄-alkyl which is substituted
 by C₁-C₄-alkylthio as mentioned above, for example
 methylthiomethyl, ethylthiomethyl, propylthiomethyl,
 15 (1-methylethylthio)methyl, butylthiomethyl,
 (1-methylpropylthio)methyl, (2-methylpropylthio)methyl,
 (1,1-dimethylethylthio)methyl, 2-methylthioethyl,
 2-ethylthioethyl, 2-(propylthio)ethyl,
 2-(1-methylethylthio)ethyl, 2-(butylthio)ethyl,
 20 2-(1-methylpropylthio)ethyl, 2-(2-methylpropylthio)ethyl,
 2-(1,1-dimethylethylthio)ethyl, 2-(methylthio)propyl,
 3-(methylthio)propyl, 2-(ethylthio)propyl,
 3-(ethylthio)propyl, 3-(propylthio)propyl,
 3-(butylthio)propyl, 4-(methylthio)butyl, 4-(ethylthio)butyl,
 25 4-(propylthio)butyl and 4-(butylthio)butyl;
- C₁-C₄-alkoxycarbonyl-C₁-C₄-alkyl: C₁-C₄-alkyl which is
 substituted by C₁-C₄-alkoxycarbonyl as mentioned above, for
 example methoxycarbonylmethyl, ethoxycarbonylmethyl,
 30 propoxycarbonylmethyl, (1-methylethoxycarbonyl)methyl,
 butoxycarbonylmethyl, (1-methylpropoxycarbonyl)methyl,
 (2-methylpropoxycarbonyl)methyl,
 (1,1-dimethylethoxycarbonyl)methyl, 2-(methoxycarbonyl)ethyl,
 2-(ethoxycarbonyl)ethyl, 2-(propoxycarbonyl)ethyl,
 35 2-(1-methylethoxycarbonyl)ethyl, 2-(butoxycarbonyl)ethyl,
 2-(1-methylpropoxycarbonyl)ethyl,
 2-(2-methylpropoxycarbonyl)ethyl,
 2-(1,1-dimethylethoxycarbonyl)ethyl,
 2-(methoxycarbonyl)propyl, 2-(ethoxycarbonyl)propyl,
 40 2-(propoxycarbonyl)propyl, 2-(1-methylethoxycarbonyl)propyl,
 2-(butoxycarbonyl)propyl, 2-(1-methylpropoxycarbonyl)propyl,
 2-(2-methylpropoxycarbonyl)propyl,
 2-(1,1-dimethylethoxycarbonyl)propyl,
 3-(methoxycarbonyl)propyl, 3-(ethoxycarbonyl)propyl,
 45 3-(propoxycarbonyl)propyl, 3-(1-methylethoxycarbonyl)propyl,
 3-(butoxycarbonyl)propyl, 3-(1-methylpropoxycarbonyl)propyl,
 3-(2-methylpropoxycarbonyl)propyl,

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- 3-(1,1-dimethylethoxycarbonyl)propyl,
 2-(methoxycarbonyl)butyl, 2-(ethoxycarbonyl)butyl,
 2-(propoxycarbonyl)butyl, 2-(1-methylethoxycarbonyl)butyl,
 2-(butoxycarbonyl)butyl, 2-(1-methylpropoxycarbonyl)butyl,
 5 2-(2-methylpropoxycarbonyl)butyl,
 2-(1,1-dimethylethoxycarbonyl)butyl,
 3-(methoxycarbonyl)butyl, 3-(ethoxycarbonyl)butyl,
 3-(propoxycarbonyl)butyl, 3-(1-methylethoxycarbonyl)butyl,
 3-(butoxycarbonyl)butyl, 3-(1-methylpropoxycarbonyl)butyl,
 10 3-(2-methylpropoxycarbonyl)butyl,
 3-(1,1-dimethylethoxycarbonyl)butyl, 4-(methoxycarbonyl)-
 butyl, 4-(ethoxycarbonyl)butyl, 4-(propoxycarbonyl)butyl,
 4-(1-methylethoxycarbonyl)butyl, 4-(butoxycarbonyl)butyl,
 4-(1-methylpropoxy)butoxy, 4-(2-methylpropoxy)butoxy und
 15 4-(1,1-dimethylethoxycarbonyl)butyl;
- C₁-C₄-alkoxy-C₂-C₄-alkoxy: C₂-C₄-alkoxy which is substituted
 by C₁-C₄-alkoxy as mentioned above, for example
 20 2-(methoxy)ethoxy, 2-(ethoxy)ethoxy, 2-(propoxy)ethoxy,
 2-(1-methylethoxy)ethoxy, 2-(butoxy)ethoxy,
 2-(1-methylpropoxy)ethoxy, 2-(2-methylpropoxy)ethoxy,
 2-(1,1-dimethylethoxy)ethoxy, 2-(methoxy)propoxy,
 2-(ethoxy)propoxy, 2-(propoxy)propoxy,
 25 2-(1-methylethoxy)propoxy, 2-(butoxy)propoxy,
 2-(1-methylpropoxy)propoxy, 2-(2-methylpropoxy)propoxy,
 2-(1,1-dimethylethoxy)propoxy, 3-(methoxy)propoxy,
 3-(ethoxy)propoxy, 3-(propoxy)propoxy,
 3-(1-methylethoxy)propoxy, 3-(butoxy)propoxy,
 3-(1-methylpropoxy)propoxy, 3-(2-methylpropoxy)propoxy,
 30 3-(1,1-dimethylethoxy)propoxy, 2-(methoxy)butoxy,
 2-(ethoxy)butoxy, 2-(propoxy)butoxy,
 2-(1-methylethoxy)butoxy, 2-(butoxy)butoxy,
 2-(1-methylpropoxy)butoxy, 2-(2-methylpropoxy)butoxy,
 35 2-(1,1-dimethylethoxy)butoxy, 3-(methoxy)butoxy, 3-(ethoxy)-
 butoxy, 3-(propoxy)butoxy, 3-(1-methylethoxy)butoxy,
 3-(butoxy)butoxy, 3-(1-methylpropoxy)butoxy,
 3-(2-methylpropoxy)butoxy, 3-(1,1-dimethylethoxy)butoxy,
 4-(methoxy)butoxy, 4-(ethoxy)butoxy, 4-(propoxy)butoxy,
 4-(1-methylethoxy)butoxy, 4-(butoxy)butoxy,
 40 4-(1-methylpropoxy)butoxy, 4-(2-methylpropoxy)butoxy and
 4-(1,1-dimethylethoxy)butoxy;
- C₂-C₆-alkanediyl: for example ethane-1,2-diyl,
 propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl and
 45 hexane-1,6-diyl;

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- C₃-C₈-cycloalkyl: for example cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl or cyclooctyl;

5 All phenyl rings are preferably unsubstituted or have attached to them one to three halogen atoms and/or a nitro group, a cyano radical and/or one or two methyl, trifluoromethyl, methoxy or trifluoromethoxy substituents.

10 Preference is given to the 3-heterocyclyl-substituted benzoyl derivatives of the formula I where the variables have the following meanings:

- 15 R¹, R² are hydrogen, nitro, halogen, cyano, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkylthio, C₁-C₆-haloalkylthio, C₁-C₆-alkylsulfinyl, C₁-C₆-haloalkylsulfinyl, C₁-C₆-alkylsulfonyl or C₁-C₆-haloalkylsulfonyl;
- 20 R³ is hydrogen, halogen or C₁-C₆-alkyl;
- 25 R⁴, R⁵ are hydrogen, halogen, cyano, nitro, C₁-C₄-alkyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, di(C₁-C₄-alkoxy)-C₁-C₄-alkyl, di(C₁-C₄-alkyl)-amino-C₁-C₄-alkyl, [2,2-di(C₁-C₄-alkyl)-1-hydrazino]-C₁-C₄-alkyl, C₁-C₆-alkyliminoxy-C₁-C₄-alkyl, C₁-C₄-alkoxycarbonyl-C₁-C₄-alkyl, C₁-C₄-alkylthio-C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-cyanoalkyl, C₃-C₈-cycloalkyl, C₁-C₄-alkoxy, C₁-C₄-alkoxy-C₂-C₄-alkoxy,
- 30 C₁-C₄-haloalkoxy, C₁-C₄-alkylthio, C₁-C₄-haloalkylthio, di(C₁-C₄-alkyl)amino, COR⁶, phenyl or benzyl, it being possible for the two last-mentioned substituents to be fully or partially halogenated and/or to have attached to them one to three of the following groups:
- 35 nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

or

- 40 R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

45

or

19

R⁴ and R⁵ together with the corresponding carbon form a carbonyl or thiocarbonyl group;

R⁶ is C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy,
C₁-C₄-alkoxy-C₂-C₄-alkoxy, C₁-C₄-haloalkoxy,
C₃-C₆-alkenyloxy, C₃-C₆-alkynyloxy or NR⁷R⁸;

R⁷ is hydrogen or C₁-C₄-alkyl;

R⁸ is C₁-C₄-alkyl;

X is O, S, NR⁹, CO or CR¹⁰R¹¹;

Y is O, S, NR¹², CO or CR¹³R¹⁴;

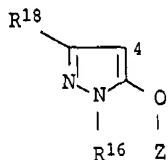
R⁹, R¹² are hydrogen or C₁-C₄-alkyl;

R¹⁰, R¹¹, R¹³, R¹⁴ are hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl,
C₁-C₄-alkoxycarbonyl, C₁-C₄-haloalkoxycarbonyl or
CONR⁷R⁸;

or

R⁴ and R⁹ or R⁴ and R¹⁰ or R⁵ and R¹² or R⁵ and R¹³ together form a
C₂-C₆-alkanediyl chain which can be mono- to
tetrasubstituted by C₁-C₄-alkyl and/or interrupted by
oxygen or by a nitrogen which is unsubstituted or
substituted by C₁-C₄-alkyl;

R¹⁵ is a pyrazole of the formula II which is linked in the
4-position



II

where

R¹⁶ is C₁-C₆-alkyl;

Z is H or SO₂R¹⁷;

5 R¹⁷ is C₁-C₄-alkyl, C₁-C₄-haloalkyl, phenyl or phenyl which is partially or fully halogenated and/or has attached to it one to three of the following groups:
nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

10 R¹⁸ is hydrogen or C₁-C₆-alkyl;

where X and Y are not simultaneously oxygen or sulfur;

15 with the exception of 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1-ethyl-5-hydroxy-1H-pyrazole,
4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole,
4-[2-chloro-3-(5-cyano-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole,
20 4-[2-chloro-3-(4,5-dihydrothiazol-2-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole and
4-[2-chloro-3-(thiazoline-4,5-dion-2-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole;

25 or the agriculturally useful salts thereof.

With a view to the use of the compounds of the formula I
30 according to the invention as herbicides, the variables preferably have the following meanings, in each case alone or in combination:

R¹, R² are nitro, halogen, cyano, C₁-C₆-alkyl,
35 C₁-C₆-haloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkylthio, C₁-C₆-haloalkylthio, C₁-C₆-alkylsulfinyl, C₁-C₆-haloalkylsulfinyl, C₁-C₆-alkylsulfonyl or C₁-C₆-haloalkylsulfonyl;
especially preferably nitro, halogen such as, for
40 example, chlorine and bromine, C₁-C₆-alkyl such as, for example, methyl and ethyl, C₁-C₆-alkoxy such as, for example, methoxy and ethoxy, C₁-C₆-haloalkyl such as, for example, difluoromethyl and trifluoromethyl,
C₁-C₆-alkylthio such as, for example, methylthio and
45 ethylthio, C₁-C₆-alkylsulfinyl such as, for example, methylsulfinyl and ethylsulfinyl, C₁-C₆-alkylsulfonyl such as, for example, methylsulfonyl, ethylsulfonyl and

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propylsulfonyl or C₁-C₆-haloalkylsulfonyl such as, for example, trifluoromethylsulfonyl and pentafluoroethylsulfonyl;

5 R³ is hydrogen;

R⁴, R⁵ are hydrogen, halogen, cyano, nitro, C₁-C₄-alkyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, di(C₁-C₄-alkoxy)-C₁-C₄-alkyl, di(C₁-C₄-alkyl)amino-C₁-C₄-alkyl, 10 [2,2-di(C₁-C₄-alkyl)hydrazino-1]-C₁-C₄-alkyl, C₁-C₆-alkyliminoxy-C₁-C₄-alkyl, C₁-C₄-alkoxycarbonyl-C₁-C₄-alkyl, C₁-C₄-alkylthio-C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-cyanoalkyl, C₃-C₈-cycloalkyl, C₁-C₄-alkoxy, 15 C₁-C₄-alkoxy-C₂-C₄-alkoxy, C₁-C₄-haloalkoxy, C₁-C₄-alkylthio, C₁-C₄-haloalkylthio, di(C₁-C₄-alkyl)amino, COR⁶, phenyl or benzyl, it being possible for the two last-mentioned substituents to be partially or fully halogenated and/or to have attached 20 to them one to three of the following groups: nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

25 or

R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is 30 unsubstituted or substituted by C₁-C₄-alkyl;

R⁴ is especially preferably hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxycarbonyl or CONR⁷R⁸;

35 R⁵ is especially preferably hydrogen or C₁-C₄-alkyl;

or

R⁴ and R⁵ especially preferably form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen 40 or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

R⁶ is C₁-C₄-alkyl, C₁-C₄-alkoxy or NR⁷R⁸;

45 R⁷ is hydrogen or C₁-C₄-alkyl;

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R⁸ is C₁-C₄-alkyl;

X is O, S, NR⁹, CO or CR¹⁰R¹¹;

⁵ Y is O, S, NR¹² or CR¹³R¹⁴;

R⁹, R¹² are hydrogen or C₁-C₄-alkyl;

¹⁰ R¹⁰, R¹¹, R¹³, R¹⁴ are hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxycarbonyl, C₁-C₄-haloalkoxycarbonyl or CONR⁷R⁸;

or

¹⁵

R⁴ and R⁹ or R⁴ and R¹⁰ or R⁵ and R¹² or R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

²⁰

R¹⁶ is C₁-C₆-alkyl; especially preferably methyl, ethyl, propyl, 2-methylpropyl or butyl;

²⁵

Z is H or SO₂R¹⁷;

³⁰

R¹⁷ is C₁-C₄-alkyl, phenyl or phenyl which is partially or fully halogenated and/or has attached to it one to three of the following groups: nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

³⁵

R¹⁸ is hydrogen or C₁-C₆-alkyl; especially preferably hydrogen or methyl.

⁴⁰

The following embodiments of the 3-heterocyclyl-substituted benzoyl derivatives of the formula I must be emphasized:

1. In a preferred embodiment of the 3-heterocyclyl-substituted benzoyl derivatives of the formula I, Z is SO₂R¹⁷.

⁴⁵

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- Especially preferred are the 3-heterocyclyl-substituted benzoyl derivatives of the formula I, where R¹⁸ is hydrogen.
- 5 - Also especially preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I, where R¹⁸ is methyl.
- 10 * Particularly preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I, where R¹⁷ is C₁-C₄-alkyl.
2. In a further preferred embodiment of the 3-heterocyclyl-substituted benzoyl derivatives of the formula I, Z is hydrogen.
- 15 - Especially preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where X is oxygen and Y is CR¹³R¹⁴.
- 20 * Particularly preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where
- 25 R⁴ is halogen, nitro, C₁-C₄-alkyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, C₁-C₄-alkoxycarbonyl-C₁-C₄-alkyl, C₁-C₄-alkylthio-C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-cyanoalkyl, C₃-C₈-cycloalkyl, C₁-C₄-alkoxy,
- 30 C₁-C₄-Alkoxy-C₂-C₄-alkoxy, C₁-C₄-haloalkoxy, C₁-C₄-alkylthio, C₁-C₄-haloalkylthio, di(C₁-C₄-alkyl)amino, COR⁶, phenyl or benzyl, it being possible for the two last-mentioned substituents to be partially or fully
- 35 halogenated and/or to have attached to them one to three of the following groups:
nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;
- 40 R⁵ is hydrogen or C₁-C₄-alkyl;
- or
- 45 R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by

oxygen or by a nitrogen which is unsubstituted
or substituted by C₁-C₄-alkyl;

or

R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain
which can be mono- to tetrasubstituted by
C₁-C₄-alkyl and/or which can be interrupted by
oxygen or by a nitrogen which is unsubstituted
or substituted by C₁-C₄-alkyl.

• Extraordinarily preferred are 3-heterocyclyl-
substituted benzoyl derivatives of the formula I
where

R⁴ is C₁-C₄-alkyl, C₁-C₄-haloalkyl,
C₁-C₄-alkoxycarbonyl or CONR⁷R⁸;

R⁵ is hydrogen or C₁-C₄-alkyl;

or

R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain
which can be mono- to tetrasubstituted by
C₁-C₄-alkyl and/or which can be interrupted by
oxygen or by a nitrogen which is unsubstituted
or substituted by C₁-C₄-alkyl;

or

R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain
which can be mono- to tetrasubstituted by
C₁-C₄-alkyl and/or which can be interrupted by
oxygen or by a nitrogen which is unsubstituted
or substituted by C₁-C₄-alkyl.

Especially extraordinarily preferred are
3-heterocyclyl-substituted benzoyl derivatives of the
formula I where R¹⁸ is hydrogen.

* Also particularly preferred are
3-heterocyclyl-substituted benzoyl derivatives of the
formula I where R⁴ and R⁵ are hydrogen.

25

- Extraordinarily preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where R^{18} is hydrogen.

5 Especially extraordinarily preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where

10 R^1 is nitro, C_1-C_6 -alkyl such as, for example, methyl and ethyl, C_1-C_6 -alkoxy such as, for example, methoxy and ethoxy, C_1-C_6 -haloalkyl such as, for example, difluoromethyl and trifluoromethyl, C_1-C_6 -alkylsulfonyl such as, for example, methylsulfonyl, ethylsulfonyl and propylsulfonyl, or C_1-C_6 -haloalkylsulfonyl such as, for example, trifluoromethylsulfonyl and pentafluoroethylsulfonyl;

20 Also especially extraordinarily preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where

25 R^2 is nitro, halogen such as, for example, chlorine and bromine, C_1-C_6 -alkyl such as, for example, methyl and ethyl, C_1-C_6 -haloalkyl such as, for example, difluoromethyl and trifluoromethyl, C_1-C_6 -alkylthio such as, for example, methylthio and ethylthio, C_1-C_6 -alkylsulfinyl such as, for example, methylsulfinyl and ethylsulfinyl, C_1-C_6 -alkylsulfonyl such as, for example, methylsulfonyl, ethylsulfonyl and propylsulfonyl, or C_1-C_6 -haloalkylsulfonyl such as, for example, trifluoromethylsulfonyl and pentafluoroethylsulfonyl.

40 Also especially extraordinarily preferred is 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1-methyl-5-hydroxy-1H-pyrazole.

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Also especially extraordinarily preferred are the agriculturally useful salts of 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonyl-benzoyl]-1-methyl-5-hydroxy-1H-pyrazole, in particular the alkali metal salts, such as, for example, lithium, sodium and potassium, and the ammonium salts, it being possible in this case, if desired, for one to four hydrogen atoms to be replaced by C₁-C₄-alkyl, hydroxy-C₁-C₄-alkyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, hydroxy-C₁-C₄-alkoxy-C₁-C₄-alkyl, phenyl or benzyl, preferably ammonium, dimethylammonium, diisopropylammonium, tetramethylammonium, tetrabutylammonium, 2-(2-hydroxyeth-1-oxy)eth-1-ylammonium, di(2-hydroxyeth-1-yl)ammonium, trimethylbenzylammonium.

• Also extraordinarily preferred are 3-heterocycl-yl-substituted benzoyl derivatives of the formula I where R¹⁸ is methyl.

Especially extraordinarily preferred are 3-heterocycl-yl-substituted benzoyl derivatives of the formula I where

R¹ is nitro, C₁-C₆-alkyl such as, for example, methyl and ethyl, C₁-C₆-alkoxy such as, for example, methoxy and ethoxy, C₁-C₆-haloalkyl such as, for example, difluoromethyl and trifluoromethyl, C₁-C₆-alkylsulfonyl such as, for example, methylsulfonyl, ethylsulfonyl and propylsulfonyl, or C₁-C₆-haloalkylsulfonyl, for example trifluoromethylsulfonyl and pentafluoroethylsulfonyl.

Also especially extraordinarily preferred are 3-heterocycl-yl-substituted benzoyl derivatives of the formula I where

R² is nitro, halogen such as, for example, chlorine and bromine, C₁-C₆-alkyl such as, for example, methyl and ethyl, C₁-C₆-haloalkyl such as, for example, difluoromethyl and trifluoromethyl, C₁-C₆-alkylthio such as, for example,

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methylthio and ethylthio,

C₁-C₆-alkylsulfinyl such as, for example, methylsulfinyl and ethylsulfinyl,

C₁-C₆-alkylsulfonyl such as, for example, methylsulfonyl, ethylsulfonyl and

propylsulfonyl, or C₁-C₆-haloalkylsulfonyl such as, for example,

trifluoromethylsulfonyl and

pentafluoroethylsulfonyl.

Also especially preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where

X is S, NR⁹, CO or CR¹⁰R¹¹;

or

Y is O, S, NR¹² or CO.

* Particularly preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where R¹⁸ is hydrogen.

* Also particularly preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where R¹⁸ is C₁-C₆-alkyl.

• Extraordinarily preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where

R⁴ is halogen, cyano, nitro, C₁-C₄-alkyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, C₁-C₄-alkoxycarbonyl-C₁-C₄-alkyl, C₁-C₄-alkylthio-C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-cyanoalkyl, C₃-C₈-cycloalkyl, C₁-C₆-alkoxy, C₁-C₄-alkoxy-C₁-C₄-alkoxy, C₁-C₄-haloalkoxy, C₁-C₄-alkylthio, C₁-C₄-haloalkylthio, di(C₁-C₄-alkyl)amino, COR⁶, phenyl or benzyl, it being possible for the two last-mentioned substituents to be partially or fully halogenated and/or to have attached to them one to three of the following groups:

28

nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl,
C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

R⁵ is hydrogen or C₁-C₄-alkyl;

or

R⁴ and R⁵ together form a C₂-C₆-alkanediyl
chain which can be mono- to tetrasubstituted
by C₁-C₄-alkyl and/or which can be
interrupted by oxygen or by a nitrogen which
is unsubstituted or substituted by
C₁-C₄-alkyl;

or

R⁴ and R⁹ or R⁴ and R¹⁰ or R⁵ and R¹² or R⁵ and
R¹³ together form a C₂-C₆-alkanediyl chain
which can be mono- to tetrasubstituted by
C₁-C₄-alkyl and/or which can be interrupted
by oxygen or by a nitrogen which is
unsubstituted or substituted by C₁-C₄-alkyl.

* Also particularly preferred are 3-heterocyclyl-
substituted benzoyl derivatives of the formula I
where

X is S, NR⁹ or CO

or

Y is O, NR¹² or CO.

• Extraordinarily preferred are 3-heterocyclyl-
substituted benzoyl derivatives of the formula I
where

R⁴ is halogen, cyano, nitro, C₁-C₄-alkyl,
C₁-C₄-alkoxy-C₁-C₄-alkyl,
C₁-C₄-alkoxycarbonyl-C₁-C₄-alkyl,
C₁-C₄-alkylthio-C₁-C₄-alkyl, C₁-C₄-haloalkyl,
C₁-C₄-cyanoalkyl, C₃-C₈-cycloalkyl,
C₁-C₆-alkoxy, C₁-C₄-alkoxy-C₁-C₄-alkoxy,
C₁-C₄-haloalkoxy, C₁-C₄-alkylthio,

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C₁-C₄-haloalkylthio, di(C₁-C₄-alkyl)amino, COR⁶, phenyl or benzyl, it being possible for the two last-mentioned substituents to be partially or fully halogenated and/or to have attached to them one to three of the following groups:

nitro, cyano, C₁-C₄-Alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

10 R⁵ is hydrogen or C₁-C₄-alkyl;

or

15 R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

or

25 R⁴ and R⁹ or R⁴ and R¹⁰ or R⁵ and R¹² or R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl.

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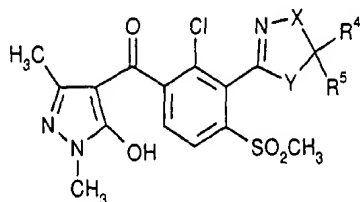
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Particularly extraordinarily preferred are the compounds Ia1 (\cong I where $R^1 = Cl$, $R^2 = SO_2CH_3$, $R^3 = H$, R^{16} , $R^{18} \cong CH_3$, $Z=H$), in particular the compounds of Table 1.

5

10



Ia1

15 Table 1

No.	X	R ⁴	R ⁵	Y
Ia1.1	CH ₂	H	CH ₃	O
Ia1.2	CH ₂	H	H	O
Ia1.3	C(CH ₃) ₂	H	H	O
Ia1.4	CH ₂	H	C ₂ H ₅	O
Ia1.5	CH ₂	CH ₃	CH ₃	O
Ia1.6	CH(CH ₃)	H	CH ₃	O
Ia1.7	CH(C ₂ H ₅)	H	CH ₃	O
Ia1.8	CH[CH(CH ₃) ₂]	H	H	O
Ia1.9	CH ₂	H	CH(CH ₃) ₂	O
Ia1.10	CH(C ₂ H ₅)	H	C ₂ H ₅	O
Ia1.11	-CH-(CH ₂) ₄ -		H	O
Ia1.12	C=O	CH ₃	CH ₃	O
Ia1.13	C=O	H	C ₂ H ₅	O
Ia1.14	C=O	C ₂ H ₅	C ₂ H ₅	O
Ia1.15	C=O	H	H	O
Ia1.16	C=O	H	CH ₃	O
Ia1.17	CH ₂	H	CH ₃	S
Ia1.18	C(CH ₃) ₂	H	H	S
Ia1.19	CH ₂	H	C ₂ H ₅	S
Ia1.20	CH ₂	CH ₃	CH ₃	S
Ia1.21	CH(CH ₃)	H	CH ₃	S
Ia1.22	CH(C ₂ H ₅)	H	CH ₃	S
Ia1.23	CH(C ₂ H ₅)	H	C ₂ H ₅	S
Ia1.24	-CH-(CH ₂) ₄ -		H	S
Ia1.25	CH[CH(CH ₃) ₂]	H	H	S
Ia1.26	CH ₂	H	CH(CH ₃) ₂	S
Ia1.27	CH ₂	H	CH ₃	NH
Ia1.28	CH ₂	H	H	NH
Ia1.29	C(CH ₃) ₂	H	H	NH
Ia1.30	CH ₂	H	C ₂ H ₅	NH
Ia1.31	CH ₂	CH ₃	CH ₃	NH

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No.	X	R ⁴	R ⁵	Y	
5	1a1.32	CH(CH ₃)	H	CH ₃	NH
	1a1.33	CH(C ₂ H ₅)	H	CH ₃	NH
	1a1.34	CH(C ₂ H ₅)	H	C ₂ H ₅	NH
	1a1.35	-CH-(CH ₂) ₄ -		H	NH
	1a1.36	CH[CH(CH ₃) ₂]	H	H	NH
10	1a1.37	CH ₂	H	CH(CH ₃) ₂	NH
	1a1.38	CH ₂	H	CH ₃	NCH ₃
	1a1.39	CH ₂	H	H	NCH ₃
	1a1.40	C(CH ₃) ₂	H	H	NCH ₃
	1a1.41	CH ₂	H	C ₂ H ₅	NCH ₃
15	1a1.42	CH ₂	CH ₃	CH ₃	NCH ₃
	1a1.43	CH(CH ₃)	H	CH ₃	NCH ₃
	1a1.44	CH(C ₂ H ₅)	H	CH ₃	NCH ₃
	1a1.45	CH[CH(CH ₃) ₂]	H	H	NCH ₃
	1a1.46	CH ₂	H	CH(CH ₃) ₂	NCH ₃
20	1a1.47	CH(C ₂ H ₅)	H	C ₂ H ₅	NCH ₃
	1a1.48	-CH-(CH ₂) ₄ -		H	NCH ₃
	1a1.49	CH ₂	H	CH ₃	NC ₂ H ₅
	1a1.50	CH ₂	H	H	NC ₂ H ₅
	1a1.51	C(CH ₃) ₂	H	H	NC ₂ H ₅
25	1a1.52	CH ₂	H	C ₂ H ₅	NC ₂ H ₅
	1a1.53	CH ₂	CH ₃	CH ₃	NC ₂ H ₅
	1a1.54	CH(CH ₃)	H	CH ₃	NC ₂ H ₅
	1a1.55	CH(C ₂ H ₅)	H	CH ₃	NC ₂ H ₅
	1a1.56	CH[CH(CH ₃) ₂]	H	H	NC ₂ H ₅
30	1a1.57	CH ₂	H	CH(CH ₃) ₂	NC ₂ H ₅
	1a1.58	CH(C ₂ H ₅)	H	C ₂ H ₅	NC ₂ H ₅
	1a1.59	-CH-(CH ₂) ₄ -		H	NC ₂ H ₅
	1a1.60	CH ₂		=O	S
	1a1.61	CH(CH ₃)		=O	S
35	1a1.62	CH(C ₂ H ₅)		=O	S
	1a1.63	CH[CH(CH ₃) ₂]		=O	S
	1a1.64	C(CH ₃) ₂		=O	S
	1a1.65	CCH ₃ (C ₂ H ₅)		=O	S
	1a1.66	CCH ₃ [CH(CH ₃) ₂]		=O	S
40	1a1.67	CH ₂		=O	NH
	1a1.68	CH(CH ₃)		=O	NH
	1a1.69	CH(C ₂ H ₅)		=O	NH
	1a1.70	CH[CH(CH ₃) ₂]		=O	NH
	1a1.71	C(CH ₃) ₂		=O	NH
45	1a1.72	CCH ₃ (C ₂ H ₅)		=O	NH
	1a1.73	CCH ₃ [CH(CH ₃) ₂]		=O	NH
	1a1.74	CH ₂		=O	NCH ₃
	1a1.75	CH(CH ₃)		=O	NCH ₃
	1a1.76	CH(C ₂ H ₅)		=O	NCH ₃
	1a1.77	CH[CH(CH ₃) ₂]		=O	NCH ₃

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No.	X	R ⁴	R ⁵	Y
1a1.78	C(CH ₃) ₂	=O		NCH ₃
1a1.79	CCH ₃ (C ₂ H ₅)	=O		NCH ₃
5 1a1.80	CCH ₃ [CH(CH ₃) ₂]	=O		NCH ₃
1a1.81	O	COOCH ₃	H	CH ₂
1a1.82	O	COOC ₂ H ₅	H	CH ₂
1a1.83	O	CONHCH ₃	H	CH ₂
1a1.84	O	CON(CH ₃) ₂	H	CH ₂
10 1a1.85	O	CONHC ₂ H ₅	H	CH ₂
1a1.86	O	CON(C ₂ H ₅) ₂	H	CH ₂
1a1.87	O	CH ₃	H	CH ₂
1a1.88	O	C ₂ H ₅	H	CH ₂
1a1.89	O	CH(CH ₃) ₂	H	CH ₂
15 1a1.90	O	COC ₂ H ₅	H	CH ₂
1a1.91	O	CH ₂ CN	H	CH ₂
1a1.92	O	CH ₂ N(CH ₃) ₂	H	CH ₂
1a1.93	O	CH ₂ ON=C(CH ₃) ₂	H	CH ₂
1a1.94	O	CH(OC ₂ H ₅) ₂	H	CH ₂
20 1a1.95	O	CH(OCH ₃) ₂	H	CH ₂
1a1.96	O	CH ₃	CH ₃	CH ₂
1a1.97	O	CH ₃	C ₂ H ₅	CH ₂
1a1.98	O	C ₂ H ₅	C ₂ H ₅	CH ₂
1a1.99	O	-(CH ₂) ₄ -		CH ₂
25 1a1.100	O	-(CH ₂) ₂ -O-(CH ₂) ₂ -		CH ₂
1a1.101	O	H	-(CH ₂) ₃ -CH-	
1a1.102	O	H	-(CH ₂) ₄ -CH-	
1a1.103	O	CH ₃	H	CHCH ₃
1a1.104	S	=O		O
30 1a1.105	CH ₂	=S		S
1a1.106	CH(CH ₃)	=S		S
1a1.107	CH(C ₂ H ₅)	=S		S
1a1.108	C(CH ₃) ₂	=S		S
1a1.109	O	=O		NH
1a1.110	O	=O		NCH ₃
35 1a1.111	O	CH ₃	H	NH
1a1.112	O	C ₂ H ₅	H	NH
1a1.113	O	CH ₃	CH ₃	NH
1a1.114	O	C ₂ H ₅	C ₂ H ₅	NH
1a1.115	O	CH ₃	H	NCH ₃
40 1a1.116	O	C ₂ H ₅	H	NCH ₃
1a1.117	O	CH ₃	CH ₃	NCH ₃
1a1.118	O	C ₂ H ₅	C ₂ H ₅	NCH ₃
1a1.119	NH	=O		NH
1a1.120	NH	=O		NCH ₃
45 1a1.121	NCH ₃	=O		NH
1a1.122	NCH ₃	=O		NCH ₃

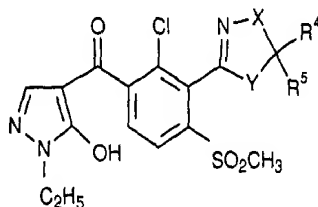
No.	X	R ⁴	R ⁵	Y
Ia1.123	NC ₂ H ₅		=O	NH
Ia1.124	NC ₂ H ₅		=O	NC ₂ H ₅

5

In addition, the following benzoyl derivatives of the formula I are particularly extraordinarily preferred:

- 10 - The compounds Ia2.1-Ia2.124, which differ from the corresponding compounds Ia1.1-Ia1.124 by the fact that R¹⁶ is ethyl and R¹⁸ is hydrogen.

15

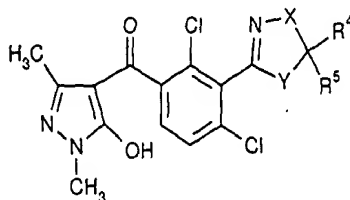


Ia2

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Also particularly extraordinarily preferred are the compounds Ib1 ($\hat{=}$ I where R¹, R² = Cl, R³ = H, R¹⁶, R¹⁸ = CH₃, Z = H) in particular the compounds of Table 2

25



Ib1

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35 Table 2

No.	X	R ⁴	R ⁵	Y
Ib1.1	CH ₂	H	CH ₃	O
Ib1.2	CH ₂	H	H	O
Ib1.3	C(CH ₃) ₂	H	H	O
Ib1.4	CH ₂	H	C ₂ H ₅	O
Ib1.5	CH ₂	CH ₃	CH ₃	O
Ib1.6	CH(CH ₃)	H	CH ₃	O
Ib1.7	CH(C ₂ H ₅)	H	CH ₃	O
Ib1.8	CH[CH(CH ₃) ₂]	H	H	O
Ib1.9	CH ₂	H	CH(CH ₃) ₂	O
Ib1.10	CH(C ₂ H ₅)	H	C ₂ H ₅	O

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45

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No.	X	R ⁴	R ⁵	Y
1b1.11	$-\text{CH}-(\text{CH}_2)_4-$		H	O
1b1.12	C=O	CH ₃	CH ₃	O
5 1b1.13	C=O	H	C ₂ H ₅	O
1b1.14	C=O	C ₂ H ₅	C ₂ H ₅	O
1b1.15	C=O	H	H	O
1b1.16	C=O	H	CH ₃	O
1b1.17	CH ₂	H	CH ₃	S
10 1b1.18	CH ₂	H	H	S
1b1.19	C(CH ₃) ₂	H	H	S
1b1.20	CH ₂	H	C ₂ H ₅	S
1b1.21	CH ₂	CH ₃	CH ₃	S
1b1.22	CH(CH ₃)	H	CH ₃	S
1b1.23	CH(C ₂ H ₅)	H	CH ₃	S
15 1b1.24	CH(C ₂ H ₅)	H	C ₂ H ₅	S
1b1.25	$-\text{CH}-(\text{CH}_2)_4-$		H	S
1b1.26	CH[CH(CH ₃) ₂]	H	H	S
1b1.27	CH ₂	H	CH(CH ₃) ₂	S
1b1.28	CH ₂	H	CH ₃	NH
20 1b1.29	CH ₂	H	H	NH
1b1.30	C(CH ₃) ₂	H	H	NH
1b1.31	CH ₂	H	C ₂ H ₅	NH
1b1.32	CH ₂	CH ₃	CH ₃	NH
1b1.33	CH(CH ₃)	H	CH ₃	NH
25 1b1.34	CH(C ₂ H ₅)	H	CH ₃	NH
1b1.35	CH(C ₂ H ₅)	H	C ₂ H ₅	NH
1b1.36	$-\text{CH}-(\text{CH}_2)_4-$		H	NH
1b1.37	CH[CH(CH ₃) ₂]	H	H	NH
1b1.38	CH ₂	H	CH(CH ₃) ₂	NH
30 1b1.39	CH ₂	H	CH ₃	NCH ₃
1b1.40	CH ₂	H	H	NCH ₃
1b1.41	C(CH ₃) ₂	H	H	NCH ₃
1b1.42	CH ₂	H	C ₂ H ₅	NCH ₃
1b1.43	CH ₂	CH ₃	CH ₃	NCH ₃
35 1b1.44	CH(CH ₃)	H	CH ₃	NCH ₃
1b1.45	CH(C ₂ H ₅)	H	CH ₃	NCH ₃
1b1.46	CH[CH(CH ₃) ₂]	H	H	NCH ₃
1b1.47	CH ₂	H	CH(CH ₃) ₂	NCH ₃
1b1.48	CH(C ₂ H ₅)	H	C ₂ H ₅	NCH ₃
40 1b1.49	$-\text{CH}-(\text{CH}_2)_4-$		H	NCH ₃
1b1.50	CH ₂	H	CH ₃	NC ₂ H ₅
1b1.51	CH ₂	H	H	NC ₂ H ₅
1b1.52	C(CH ₃) ₂	H	H	NC ₂ H ₅
1b1.53	CH ₂	H	C ₂ H ₅	NC ₂ H ₅
45 1b1.54	CH ₂	CH ₃	CH ₃	NC ₂ H ₅
1b1.55	CH(CH ₃)	H	CH ₃	NC ₂ H ₅
1b1.56	CH(C ₂ H ₅)	H	CH ₃	NC ₂ H ₅

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No.	X	R ⁴	R ⁵	Y
1b1.103	O	H	-(CH ₂) ₄ -CH-	
1b1.104	O	CH ₃	H	CHCH ₃
5 1b1.105	O	H	H	CH ₂
1b1.106	S		=O	O
1b1.107	CH ₂		=S	S
1b1.108	CH(CH ₃)		=S	S
1b1.109	CH(C ₂ H ₅)		=S	S
10 1b1.110	C(CH ₃) ₂		=S	S
1b1.111	O		=O	NH
1b1.112	O		=O	NCH ₃
1b1.113	O	CH ₃	H	NH
1b1.114	O	C ₂ H ₅	H	NH
15 1b1.115	O	CH ₃	CH ₃	NH
1b1.116	O	C ₂ H ₅	C ₂ H ₅	NH
1b1.117	O	CH ₃	H	NCH ₃
1b1.118	O	C ₂ H ₅	H	NCH ₃
1b1.119	O	CH ₃	CH ₃	NCH ₃
20 1b1.120	O	C ₂ H ₅	C ₂ H ₅	NCH ₃
1b1.121	NH		=O	NH
1b1.122	NH		=O	NCH ₃
1b1.123	NCH ₃		=O	NH
1b1.124	NCH ₃		=O	NCH ₃
1b1.125	NC ₂ H ₅		=O	NH
25 1b1.126	NC ₂ H ₅		=O	NC ₂ H ₅

In addition, the following 3-heterocyclyl-substituted benzoyl derivatives of the formula I are particularly extraordinarily preferred:

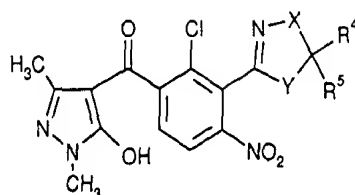
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- The compounds Ib2.1-Ib2.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro.

5

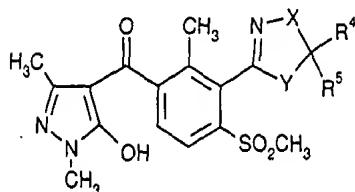


Ib2

10

- The compounds Ib3.1-Ib3.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl and R² is methylsulfonyl.

15

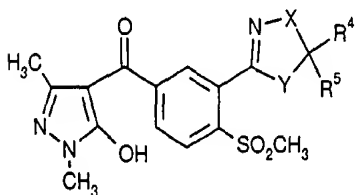


Ib3

20

- The compounds Ib4.1-Ib4.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact R¹ is hydrogen and R² is methylsulfonyl.

25

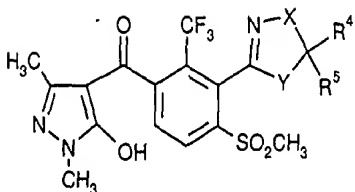


Ib4

30

- The compounds Ib5.1-Ib5.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is trifluoromethyl and R² is methylsulfonyl.

35



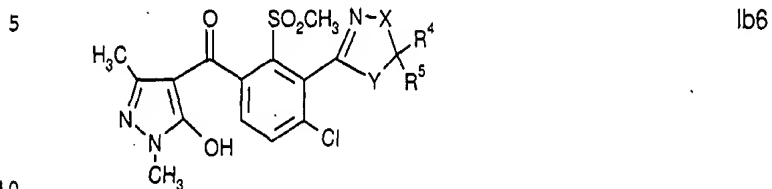
Ib5

40

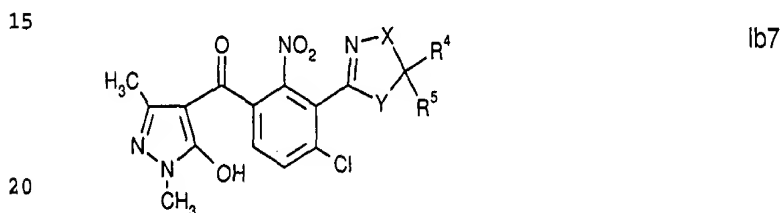
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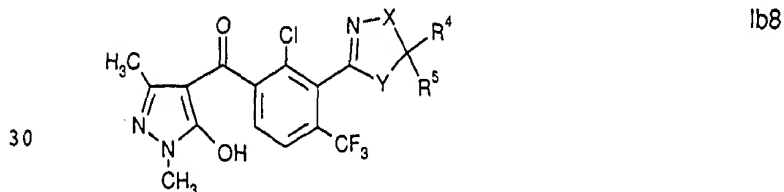
- The compounds Ib6.1-Ib6.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl.



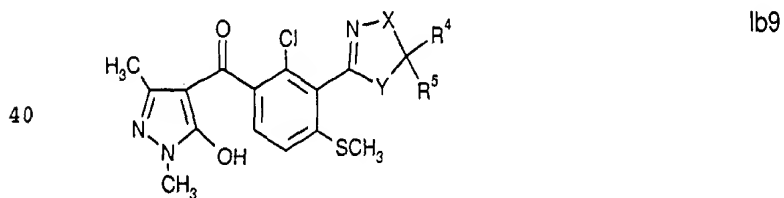
- The compounds Ib7.1-Ib7.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro.



- The compounds Ib8.1-Ib8.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethyl.



- The compounds Ib9.1-Ib9.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylthio.

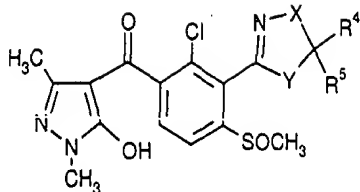


- The compounds Ib10.1-Ib10.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfinyl.
- 45

39

Ib10

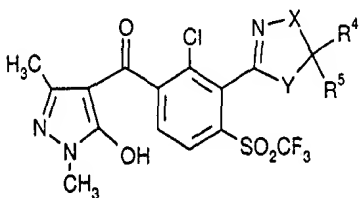
5



- 10 - The compounds Ib11.1-Ib11.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethylsulfonyl.

15

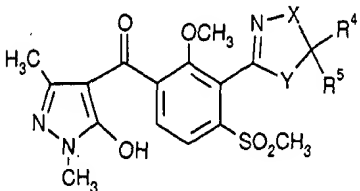
Ib11



- 20 - The compounds Ib12.1-Ib12.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy and R² is methylsulfonyl.

25

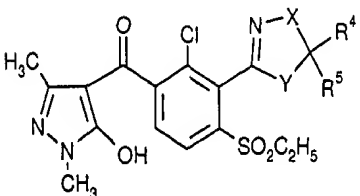
Ib12



- 30 - The compounds Ib13.1-Ib13.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl.

35

Ib13



- 40 - The compounds Ib14.1-Ib14.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact R² is methylsulfonyl and R³ is methyl.

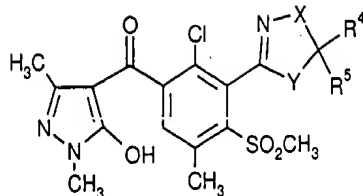
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Ib14

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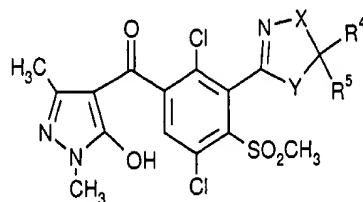


- The compounds Ib15.1-Ib15.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl and R³ is chlorine.

10

Ib15

15

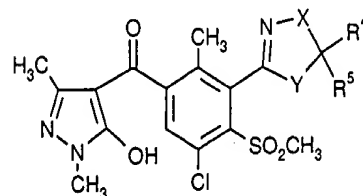


- The compounds Ib16.1-Ib16.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl and R³ is chlorine.

20

Ib16

25

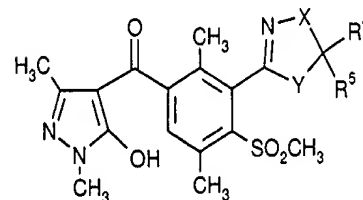


- The compounds Ib17.1-Ib17.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl and R³ is methyl.

30

Ib17

35



- The compounds Ib18.1-Ib18.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl.

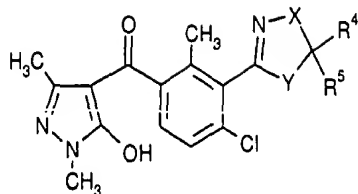
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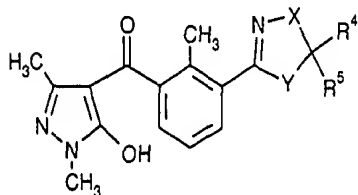
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Ib18

- The compounds Ib19.1-Ib19.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl and R² is hydrogen.

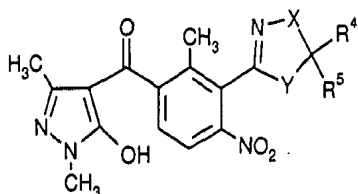
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Ib19

- The compounds Ib20.1-Ib20.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact R¹ is methyl and R² is nitro.

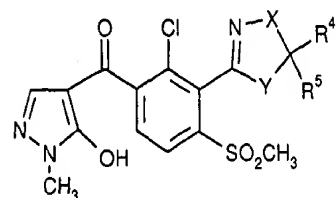
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Ib20

- The compounds Ib21.1-Ib21.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl and R¹⁸ is hydrogen.

35



Ib21

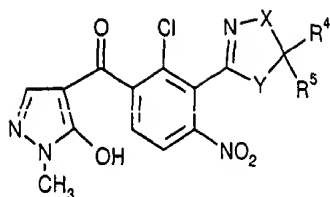
- The compounds Ib22.1-Ib22.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro and R¹⁸ is hydrogen.

45

42

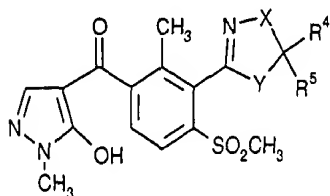
Ib22

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- The compounds Ib23.1-Ib23.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl and R¹⁸ is hydrogen.

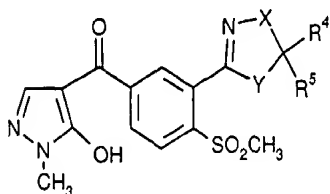
15



Ib23

- The compounds Ib24.1-Ib24.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is hydrogen, R² is methylsulfonyl and R¹⁸ is hydrogen.

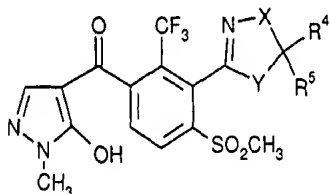
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Ib24

- The compounds Ib25.1-Ib25.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is trifluoromethyl, R² is methylsulfonyl and R¹⁸ is hydrogen.

35



Ib25

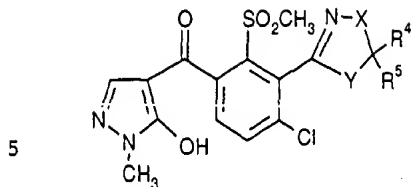
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- The compounds Ib26.1-Ib26.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl and R¹⁸ is hydrogen.

45

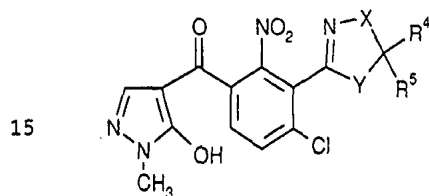
43

Ib26



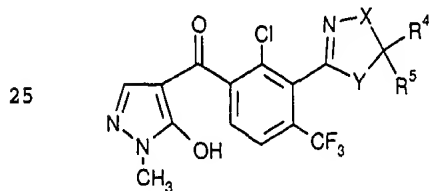
- 10 - The compounds Ib27.1-Ib27.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact R¹ is nitro and R¹⁸ is hydrogen.

Ib27



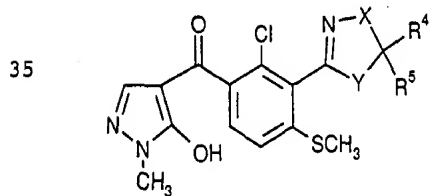
- 20 - The compounds Ib28.1-Ib28.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethyl and R¹⁸ is hydrogen.

Ib28



- 30 - The compounds Ib29.1-Ib29.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylthio and R¹⁸ is hydrogen.

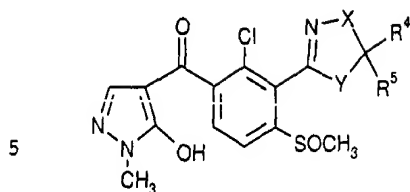
Ib29



- 40 - The compounds Ib30.1-Ib30.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfinyl and R¹⁸ is hydrogen.

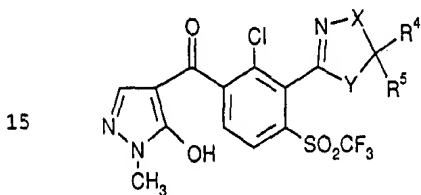
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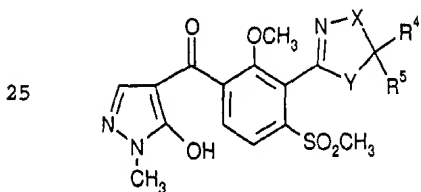
Ib30

- The compounds Ib31.1-Ib31.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethylsulfonyl and R¹⁸ is hydrogen.
- 10



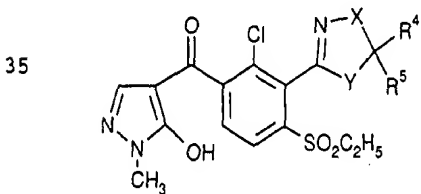
Ib31

- The compounds Ib32.1-Ib32.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl and R¹⁸ is hydrogen.
- 20



Ib32

- The compounds Ib33.1-Ib33.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl and R¹⁸ is hydrogen.
- 30



Ib33

- The compounds Ib34.1-Ib34.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R³ is methyl and R¹⁸ is hydrogen.
- 40

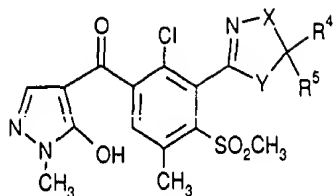
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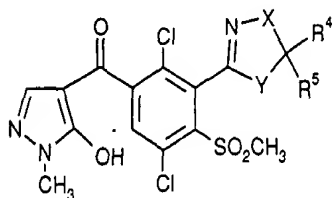
Ib34

5



- The compounds Ib35.1-Ib35.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R³ is chlorine and R¹⁸ is hydrogen.

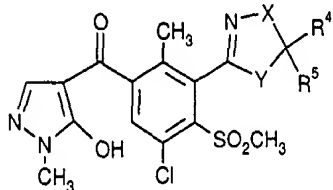
15



Ib35

- The compounds Ib36.1-Ib36.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R³ is chlorine and R¹⁸ is hydrogen.

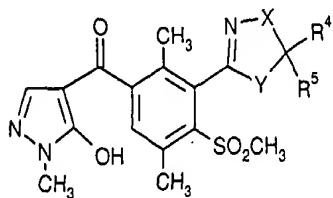
25



Ib36

- The compounds Ib37.1-Ib37.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R³ is methyl and R¹⁸ is hydrogen.

35



Ib37

40

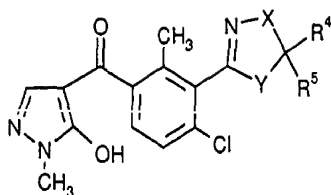
- The compounds Ib38.1-Ib38.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl and R¹⁸ is hydrogen.

45

46

Ib38

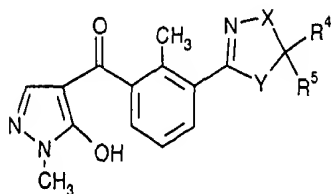
5



10

- The compounds Ib39.1-Ib39.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is hydrogen and R¹⁸ is hydrogen.

15

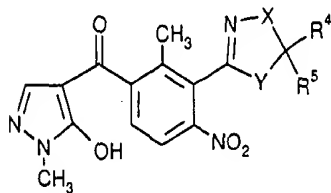


Ib39

20

- The compounds Ib40.1-Ib40.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is nitro and R¹⁸ is hydrogen.

25

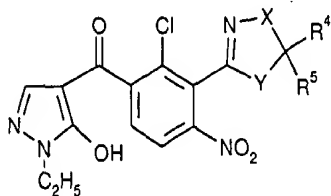


Ib40

30

- The compounds Ib41.1-Ib41.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, R¹⁶ is ethyl and R¹⁸ is hydrogen.

35



Ib41

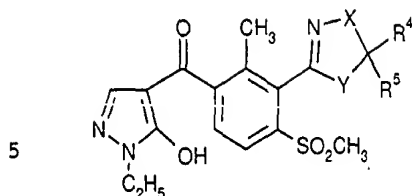
40

- The compounds Ib42.1-Ib42.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

45

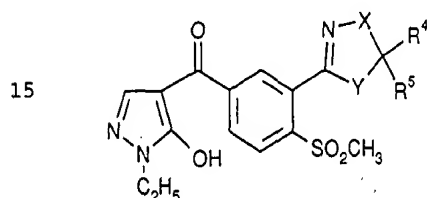
002227-50034200

47



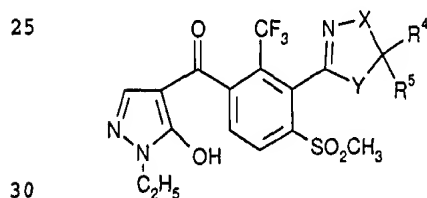
Ib42

- The compounds Ib43.1-Ib43.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is hydrogen, R² is methanesulfonyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.
- 10



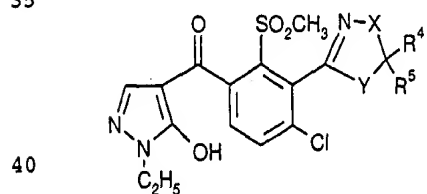
Ib43

- The compounds Ib44.1-Ib44.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is trifluoromethyl, R² is methanesulfonyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.
- 20



Ib44

- The compounds Ib45.1-Ib45.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methanesulfonyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.
- 35



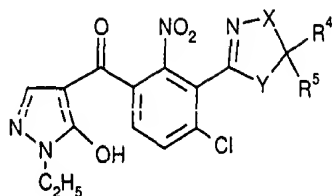
Ib45

- The compounds Ib46.1-Ib46.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R¹⁶ is ethyl and R¹⁸ is hydrogen.
- 45

48

Ib46

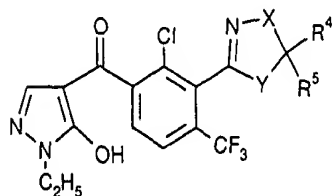
5



- The compounds Ib47.1-Ib47.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

15

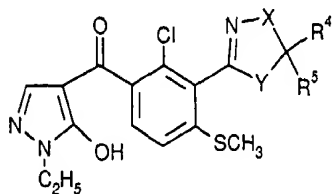
Ib47



- The compounds Ib48.1-Ib48.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylthio, R¹⁶ is ethyl and R¹⁸ is hydrogen.

25

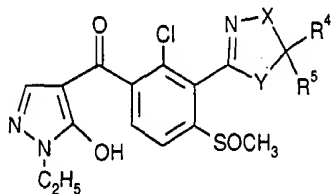
Ib48



- The compounds Ib49.1-Ib49.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfinyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

35

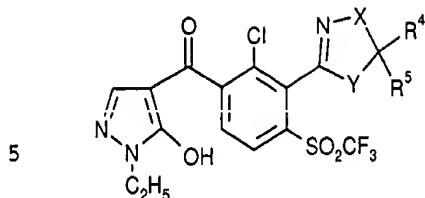
Ib49



- The compounds Ib50.1-Ib50.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethylsulfonyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

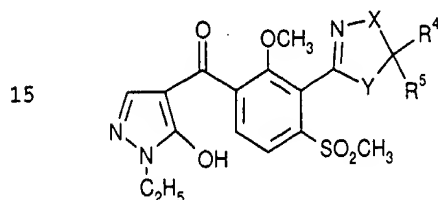
45

Ib50



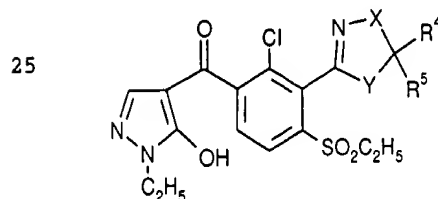
- 10 - The compounds Ib51.1-Ib51.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

Ib51



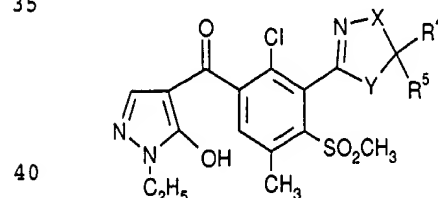
- 20 - The compounds Ib52.1-Ib52.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

Ib52



- 30 - The compounds Ib53.1-Ib53.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R³ is methyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

Ib53

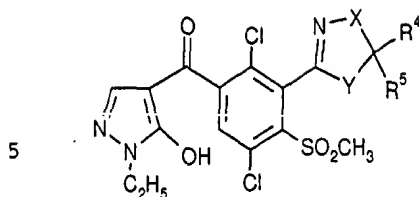


- 45 - The compounds Ib54.1-Ib54.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R³ is chlorine, R¹⁶ is ethyl and R¹⁸ is hydrogen.

00221-50064260

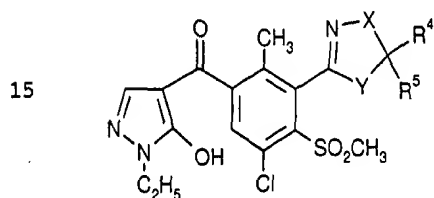
50

Ib54



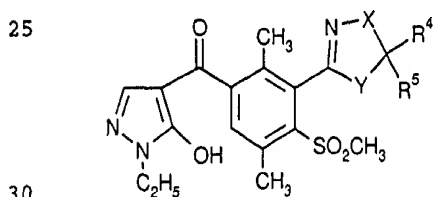
- 10 - The compounds Ib55.1-Ib55.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R³ is chlorine, R¹⁶ is ethyl and R¹⁸ is hydrogen.

Ib55



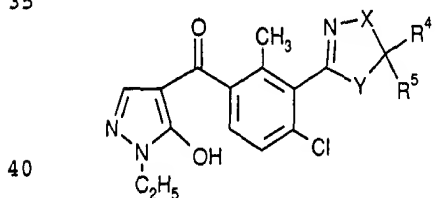
- 20 - The compounds Ib56.1-Ib56.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R³ is methyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

Ib56



- 35 - The compounds Ib57.1-Ib57.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

Ib57

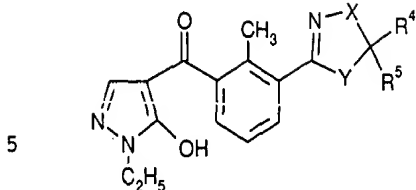


- 45 - The compounds Ib58.1-Ib58.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is hydrogen, R¹⁶ is ethyl and R¹⁸ is hydrogen.

004221-90031260

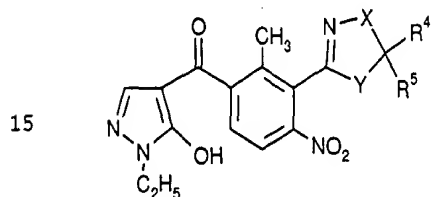
51

Ib58



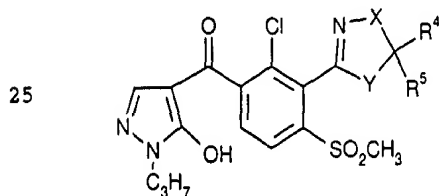
- 10 - The compounds Ib59.1-Ib59.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is nitro, R¹⁶ is ethyl and R¹⁸ is hydrogen.

Ib59



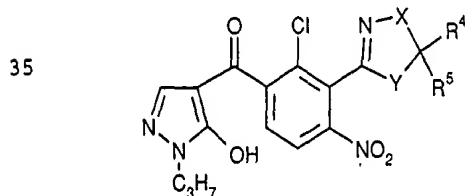
- 20 - The compounds Ib60.1-Ib60.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

Ib60



- 30 - The compounds Ib61.1-Ib61.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

Ib61

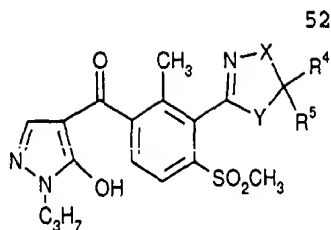


- 40 - The compounds Ib62.1-Ib62.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

45

004221 9008460

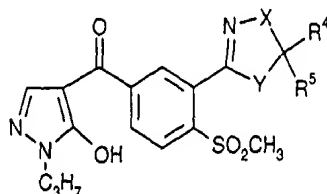
5



Ib62

- The compounds Ib63.1-Ib63.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is hydrogen, R² is methylsulfonyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

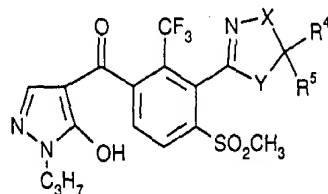
15



Ib63

- The compounds Ib64.1-Ib64.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is trifluoromethyl, R² is methylsulfonyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

25

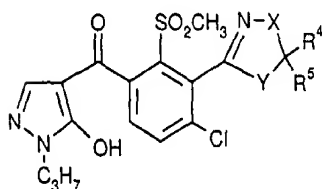


Ib64

30

- The compounds Ib65.1-Ib65.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

35



Ib65

40

- The compounds Ib66.1-Ib66.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

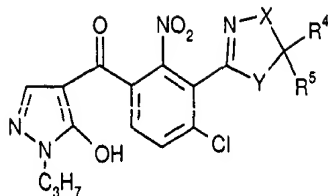
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002227-90084600

53

Ib66

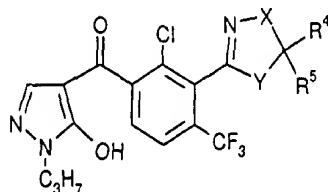
5



- The compounds Ib67.1-Ib67.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

15

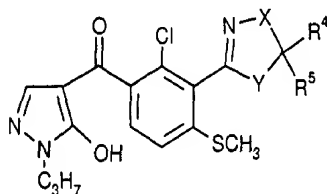
Ib67



- The compounds Ib68.1-Ib68.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylthio, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

25

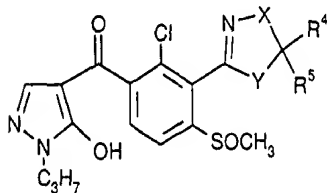
Ib68



- The compounds Ib69.1-Ib69.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfinyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

35

Ib69



40

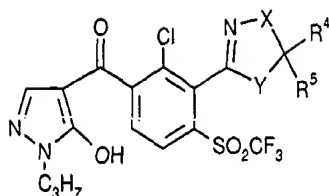
- The compounds Ib70.1-Ib70.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethylsulfonyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

45

54

Ib70

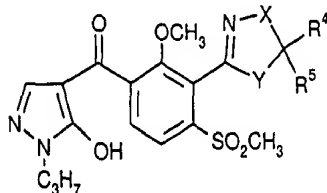
5



- The compounds Ib71.1-Ib71.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

15

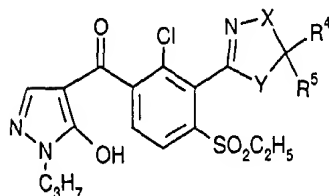
Ib71



- The compounds Ib72.1-Ib72.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

25

Ib72



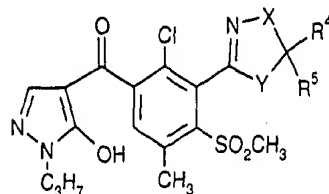
30

- The compounds Ib73.1-Ib73.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R³ is methyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

35

Ib73

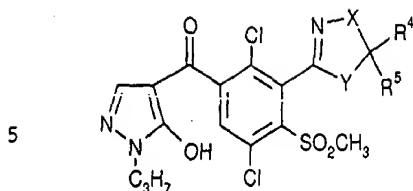
40



- The compounds Ib74.1-Ib74.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R³ is chlorine, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

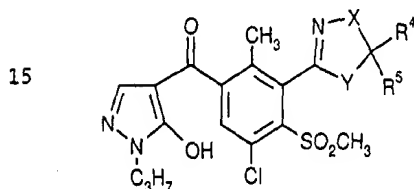
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Ib74



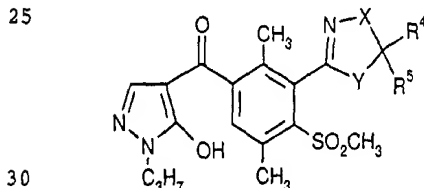
- 10 - The compounds Ib75.1-Ib75.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R³ is chlorine, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

Ib75



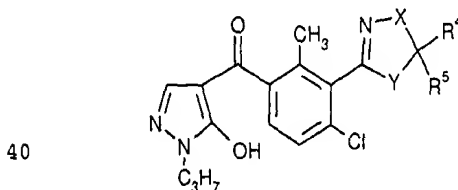
- 20 - The compounds Ib76.1-Ib76.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R³ is methyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

Ib76



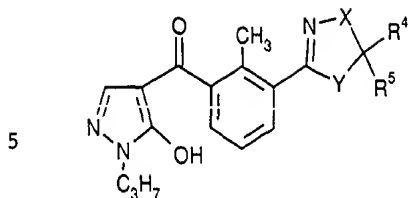
- 35 - The compounds Ib77.1-Ib77.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

Ib77

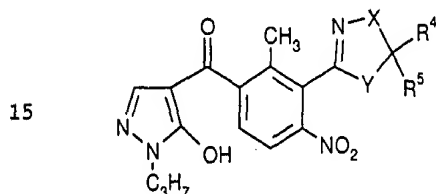


- 45 - The compounds Ib78.1-Ib78.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is hydrogen, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

1b78

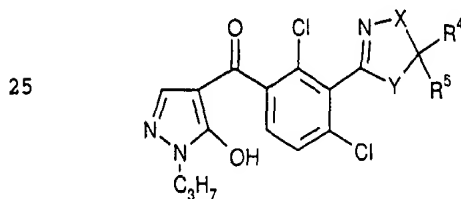


- The compounds Ib79.1-Ib79.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is nitro, R¹⁶ is n-propyl and R¹⁸ is hydrogen.



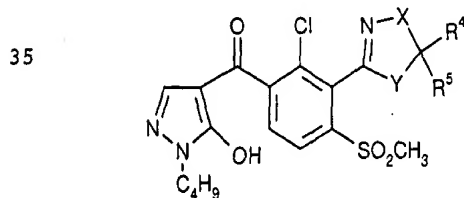
b79

- 20 - The compounds Ib80.1-Ib80.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁶ is n-propyl and R¹⁸ is hydrogen.



b80

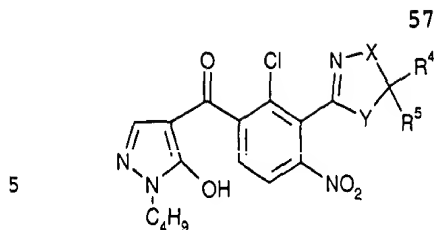
- 30 - The compounds Ib81.1-Ib81.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.



1b81

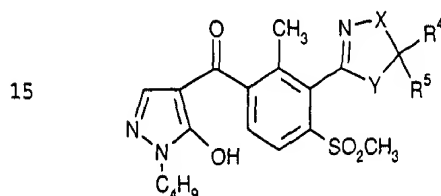
- The compounds Ib82.1-Ib82.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

45



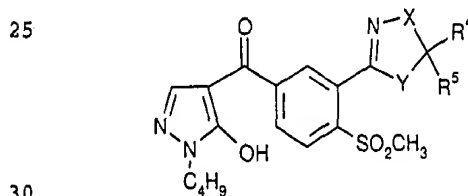
Ib82

- The compounds Ib83.1-Ib83.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.



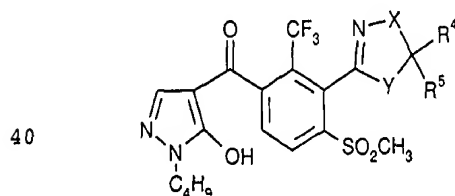
Ib83

- The compounds Ib84.1-Ib84.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is hydrogen, R² is methylsulfonyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.



Ib84

- The compounds Ib85.1-Ib85.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is trifluoromethyl, R² is methylsulfonyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.



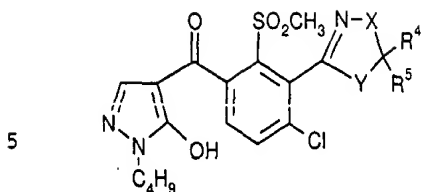
Ib85

- The compounds Ib86.1-Ib86.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

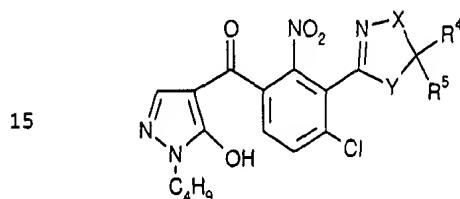
00748006-122700

58

Ib86

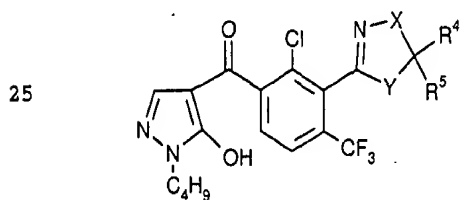


- 10 - The compounds Ib87.1-Ib87.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R¹⁶ is n-butyl and R¹⁸ is hydrogen.



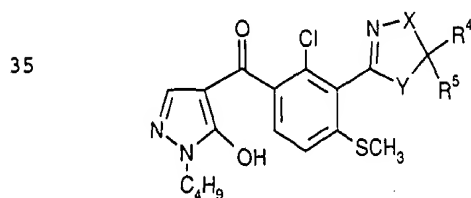
Ib87

- 20 - The compounds Ib88.1-Ib88.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.



Ib88

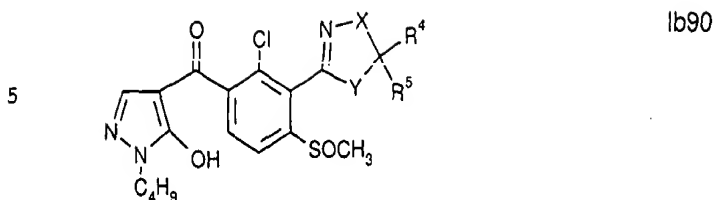
- 30 - The compounds Ib89.1-Ib89.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylthio, R¹⁶ is n-butyl and R¹⁸ is hydrogen.



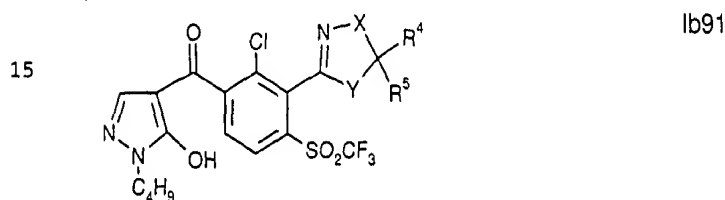
Ib89

- 40 - The compounds Ib90.1-Ib90.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfinyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

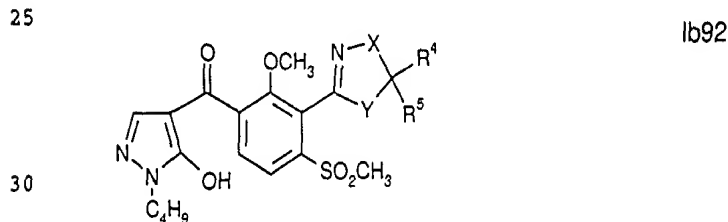
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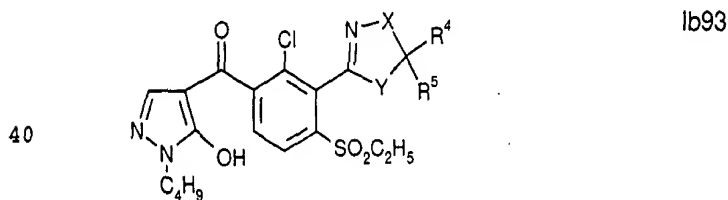
- 10 - The compounds Ib91.1-Ib91.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethylsulfonyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.



- 20 - The compounds Ib92.1-Ib92.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.



- 30 - The compounds Ib93.1-Ib93.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

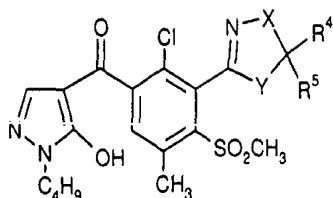


- 40
- 45 - The compounds Ib94.1-Ib94.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R³ is methyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

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Ib94

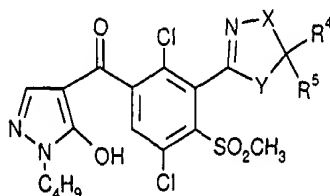
5



- 10 - The compounds Ib95.1-Ib95.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R³ is chlorine, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

Ib95

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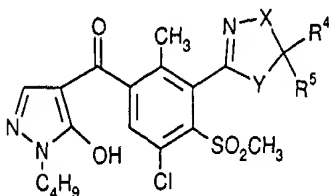
20

- 25 - The compounds Ib96.1-Ib96.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R³ is chlorine, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

25

Ib96

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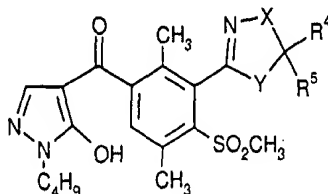


- 35 - The compounds Ib97.1-Ib97.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R³ is methyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

35

Ib97

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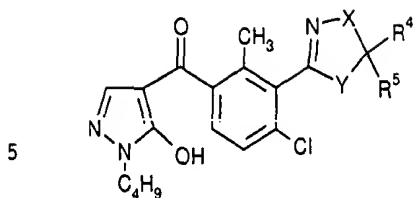


- 45 - The compounds Ib98.1-Ib98.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

45

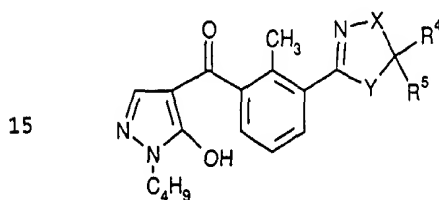
61

Ib98



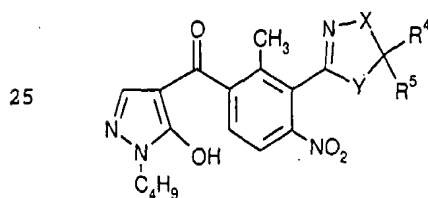
- 10 - The compounds Ib99.1-Ib99.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is hydrogen, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

Ib99



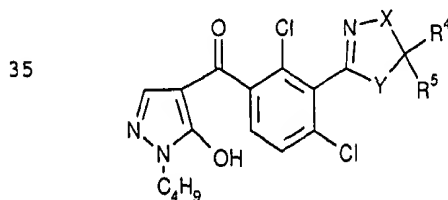
- 20 - The compounds Ib100.1-Ib100.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is nitro, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

Ib100



- 30 - The compounds Ib101.1-Ib101.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁶ is n-butyl and R¹⁸ is hydrogen.

Ib101



- 40 - The compounds Ib102.1-Ib102.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

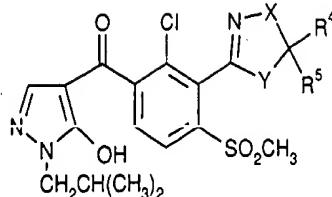
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62

Ib102

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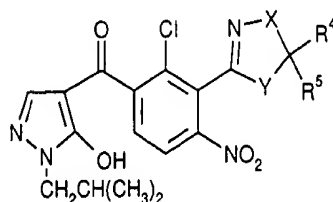


- The compounds Ib103.1-Ib103.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

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Ib103

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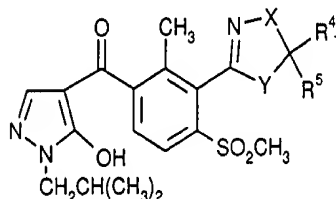


- The compounds Ib104.1-Ib104.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

20

Ib104

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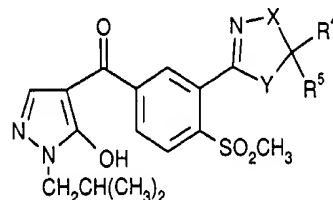
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- The compounds Ib105.1-Ib105.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is hydrogen, R² is methylsulfonyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

35

Ib105

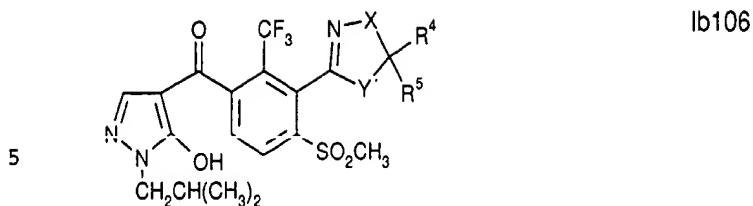
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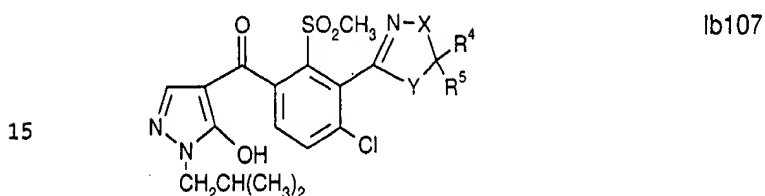
- The compounds Ib106.1-Ib106.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is trifluoromethyl, R² is methylsulfonyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

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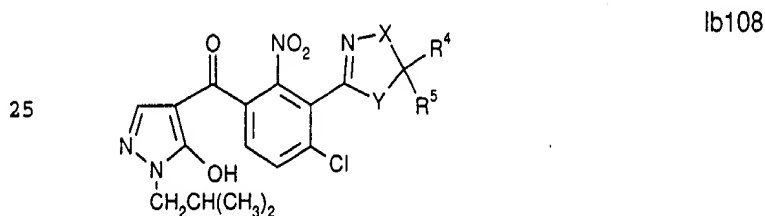
63



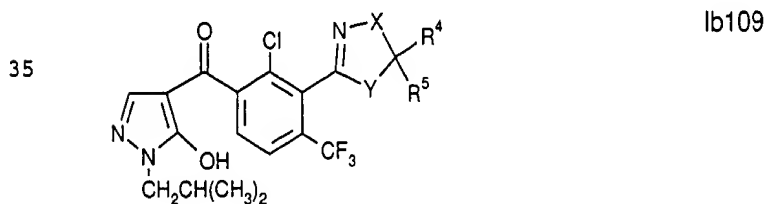
- The compounds Ib107.1-Ib107.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.
- 10



- The compounds Ib108.1-Ib108.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.
- 20



- The compounds Ib109.1-Ib109.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.
- 30

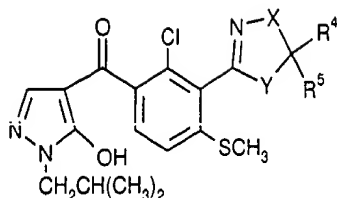


- The compounds Ib110.1-Ib110.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylthio, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.
- 40

64

Ib110

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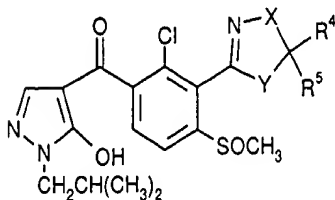


10

- The compounds Ib111.1-Ib111.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfinyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

Ib111

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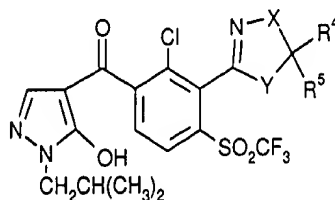


20

- The compounds Ib112.1-Ib112.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethylsulfonyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

Ib112

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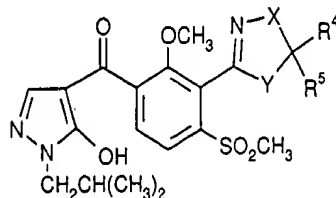


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- The compounds Ib113.1-Ib113.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

Ib113

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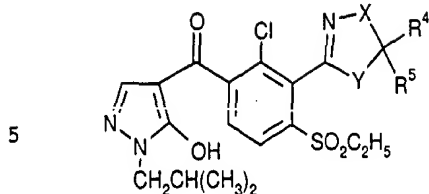
- The compounds Ib114.1-Ib114.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

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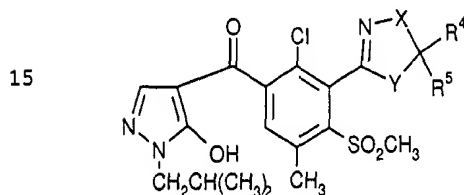
65

Ib114



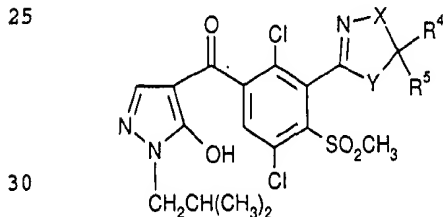
- 10 - The compounds Ib115.1-Ib115.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R³ is methyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

Ib115



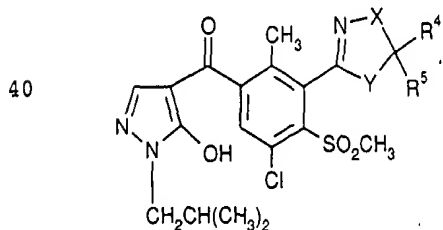
- 20 - The compounds Ib116.1-Ib116.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R³ is chlorine, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

Ib116



- 35 - The compounds Ib117.1-Ib117.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R³ is chlorine, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

Ib117



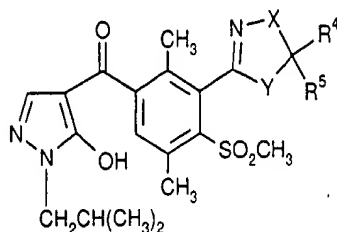
66

- The compounds Ib118.1-Ib118.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R³ is methyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

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Ib118

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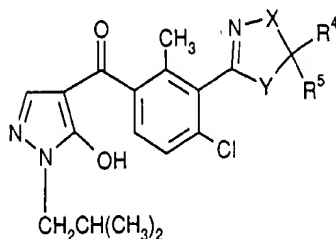


- The compounds Ib119.1-Ib119.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

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Ib119

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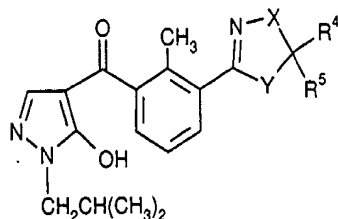
25

- The compounds Ib120.1-Ib120.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is hydrogen, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

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Ib120

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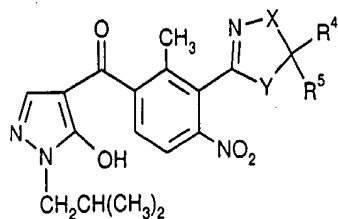


- The compounds Ib121.1-Ib121.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is nitro, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

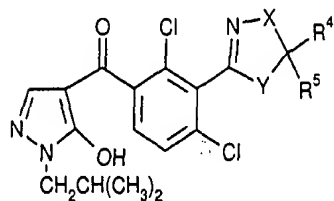
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Ib121

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- The compounds Ib122.1-Ib122.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

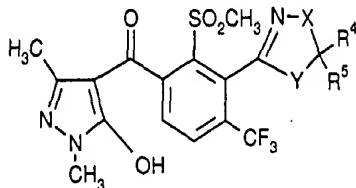


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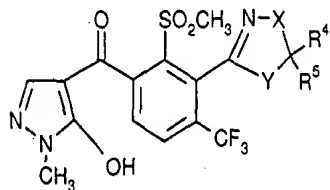
lb123

Chemical structure of compound lb123, showing a central benzene ring substituted with a methylsulfonyl group (SO_2CH_3), a trifluoromethyl group (CF_3), and a 1-methyl-2-hydroxy-1H-indol-3-ylidene group. The indole ring has a methyl group (H_3C) at position 2 and a methyl group (CH_3) on the nitrogen. The benzene ring is also substituted with a group $-\text{C}(=\text{N}-\text{X})-\text{Y}$, where X is bonded to R^4 and Y is bonded to R^5 .



30

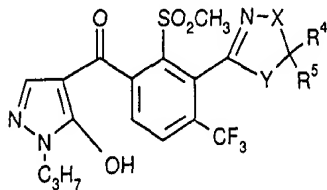
Chemical structure 30 is a benzimidazole derivative. It features a benzimidazole ring system with a methyl group (CH_3) at position 2 and a hydroxyl group (OH) at position 3. The benzimidazole ring is connected at position 4 to a carbonyl group ($\text{C}=\text{O}$), which is further connected to a benzene ring. This benzene ring has a methanesulfonyl group (SO_2CH_3) at position 1, a trifluoromethyl group (CF_3) at position 3, and a side chain at position 4. The side chain consists of a carbon atom double-bonded to a nitrogen atom ($\text{N}-\text{X}$) and single-bonded to a Y atom. The Y atom is further connected to a carbon atom bonded to R^4 and R^5 groups.



40

Chemical structure 40: A benzimidazole derivative. The benzimidazole ring system is substituted with a C₃H₇ group at position 2, a hydroxyl group (OH) at position 3, and a carbonyl group (C=O) at position 4. The carbonyl group is attached to a benzene ring. This benzene ring is substituted with a methanesulfonyl group (SO₂CH₃) at position 1, a trifluoromethyl group (CF₃) at position 3, and a group -C(=N-X)(Y)-R⁴-R⁵ at position 4.

lb125



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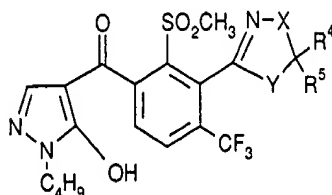
68

- The compounds Ib126.1-Ib126.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R² is trifluoromethyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

5

Ib126

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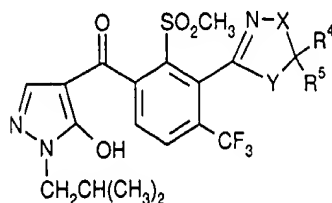


15

- The compounds Ib127.1-Ib127.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R² is trifluoromethyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

20

Ib127

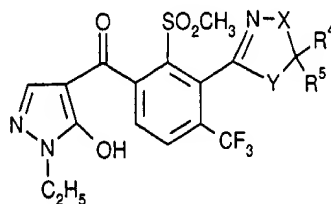


25

- The compounds Ib128.1-Ib128.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R² is trifluoromethyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

30

Ib128



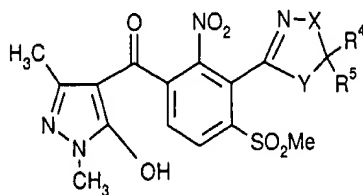
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- The compounds Ib129.1-Ib129.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro and R² is methylsulfonyl.

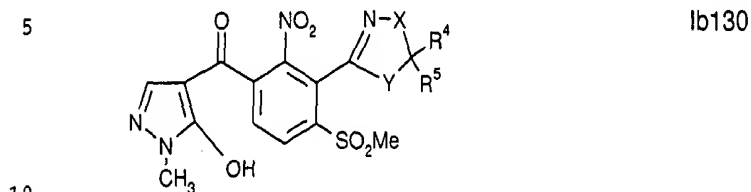
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Ib129

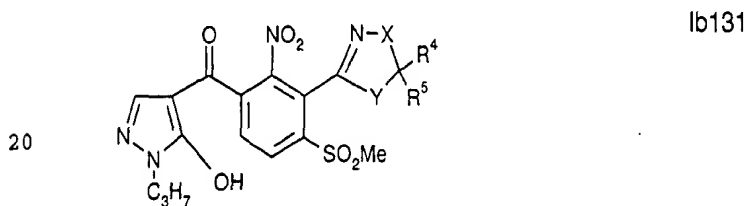
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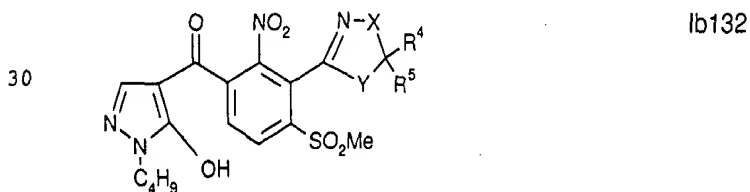
- The compounds Ib130.1-Ib130.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R² is methylsulfonyl and R¹⁸ is hydrogen.



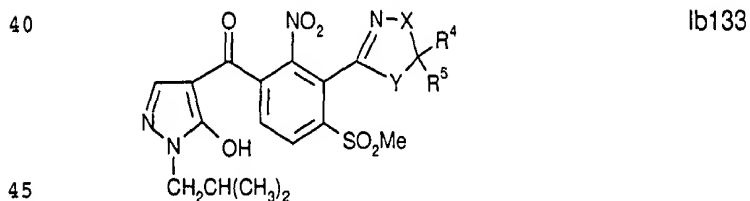
- The compounds Ib131.1-Ib131.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R² is methylsulfonyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.
- 15



- The compounds Ib132.1-Ib132.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R² is methylsulfonyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.
- 25



- 35 - The compounds Ib133.1-Ib133.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R² is methylsulfonyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.



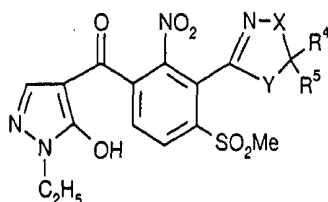
00748006-12300

- The compounds Ib134.1-Ib134.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R² is methylsulfonyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

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Ib134

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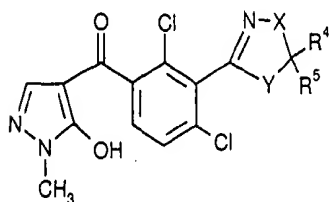


- The compounds Ib135.1-Ib135.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁸ is hydrogen.

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Ib135

20

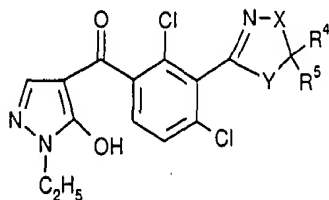


25

- The compounds Ib136.1-Ib136.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁶ is ethyl and R¹⁸ is hydrogen.

30

Ib136

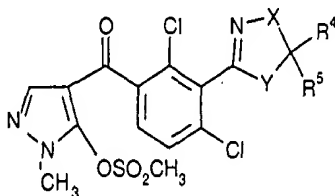


35

- The compounds Ib137.1-Ib137.126 which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is methylsulfonyl and R¹⁸ is hydrogen.

40

Ib137

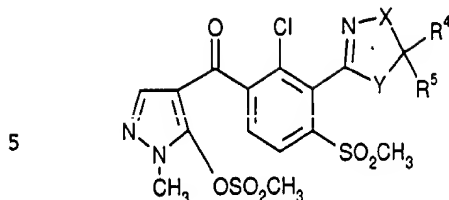


45

- The compounds Ib138.1-Ib138.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, Z is methylsulfonyl and R¹⁸ is hydrogen.

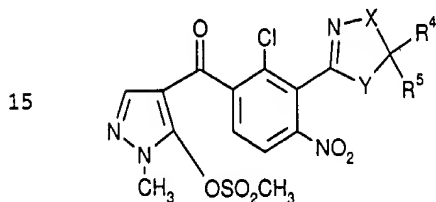
71

Ib138



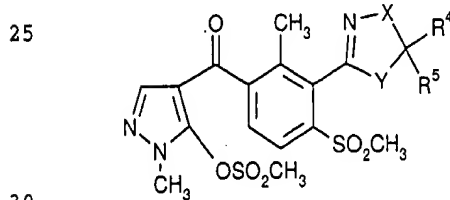
- 10 - The compounds Ib139.1-Ib139.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, Z is methanesulfonyl and R¹⁸ is hydrogen.

Ib139



- 20 - The compounds Ib140.1-Ib140.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methanesulfonyl, Z is methanesulfonyl and R¹⁸ is hydrogen.

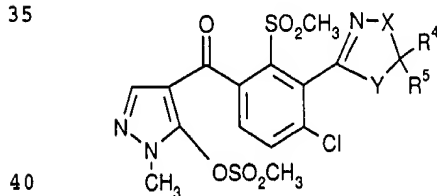
Ib140



30

- 35 - The compounds Ib141.1-Ib141.126 which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methanesulfonyl, Z is methanesulfonyl and R¹⁸ is hydrogen.

Ib141

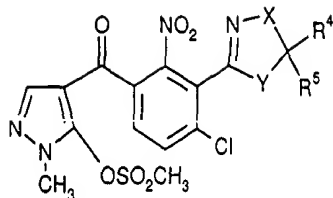


- 45 - The compounds Ib142.1-Ib142.126 which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, Z is methanesulfonyl and R¹⁸ is hydrogen.

72

Ib142

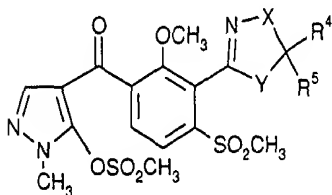
5



- 10 - The compounds Ib143.1-Ib143.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact R¹ is methoxy, R² and Z are methylsulfonyl and R¹⁸ is hydrogen.

Ib143

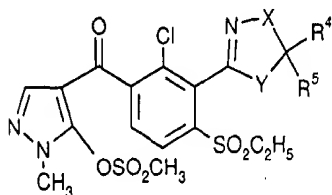
15



- 20 - The compounds Ib144.1-Ib144.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, Z is methylsulfonyl and R¹⁸ is hydrogen.

Ib144

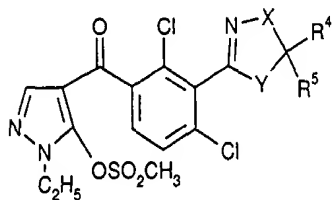
25



- 30 - The compounds Ib145.1-Ib145.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁶ is ethyl, Z is methylsulfonyl and R¹⁸ is hydrogen.

Ib145

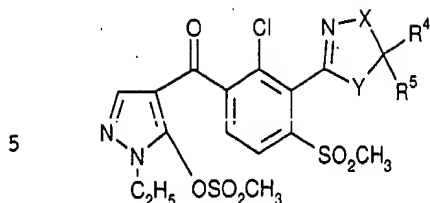
35



40

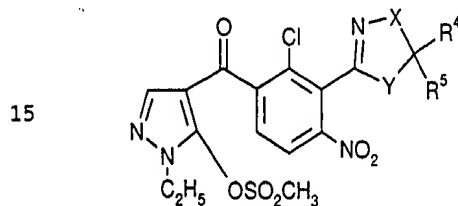
- 45 - The compounds Ib146.1-Ib146.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is ethyl, Z is methylsulfonyl and R¹⁸ is hydrogen.

Ib146



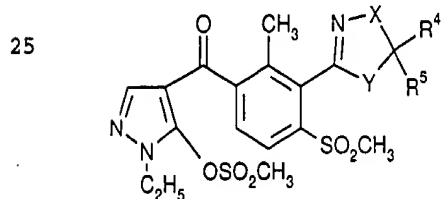
- 10 - The compounds Ib147.1-Ib147.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, R¹⁶ is ethyl, Z is methylsulfonyl and R¹⁸ is hydrogen.

Ib147



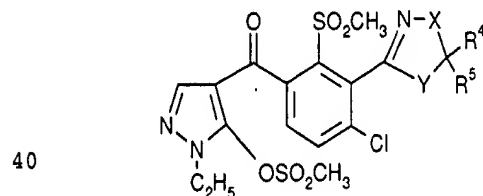
- 20 - The compounds Ib148.1-Ib148.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹⁶ is ethyl, Z is methylsulfonyl and R¹⁸ is hydrogen.

Ib148



- 30 - The compounds Ib149.1-Ib149.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R¹⁶ is ethyl, Z is methylsulfonyl and R¹⁸ is hydrogen.

Ib149

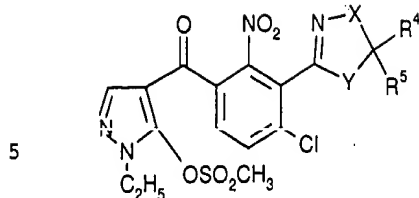


- 45 - The compounds Ib150.1-Ib150.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R¹⁶ is ethyl, Z is methylsulfonyl and R¹⁸ is hydrogen.

00227-90084280

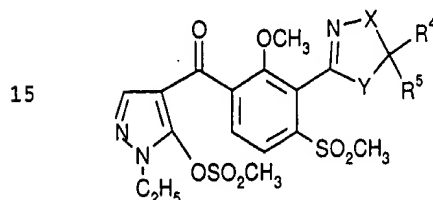
74

Ib150



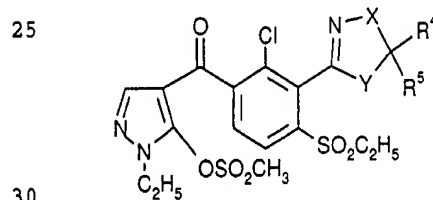
- 10 - The compounds Ib151.1-Ib151.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, R¹⁶ is ethyl, Z is methylsulfonyl and R¹⁸ is hydrogen.

Ib151



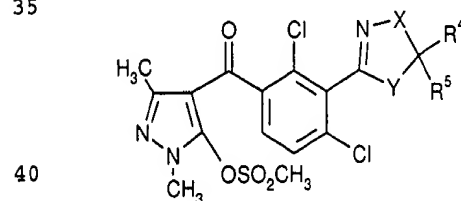
- 20 - The compounds Ib152.1-Ib152.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, R¹⁶ is ethyl, Z is methylsulfonyl and R¹⁸ is hydrogen.

Ib152

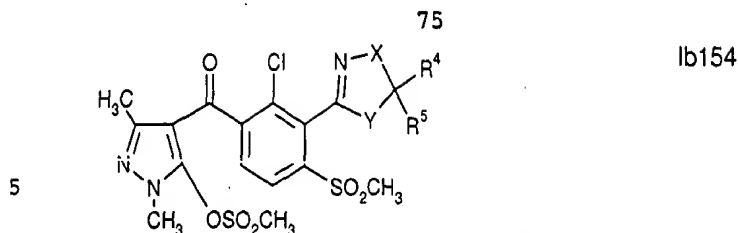


- 35 - The compounds Ib153.1-Ib153.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is methylsulfonyl.

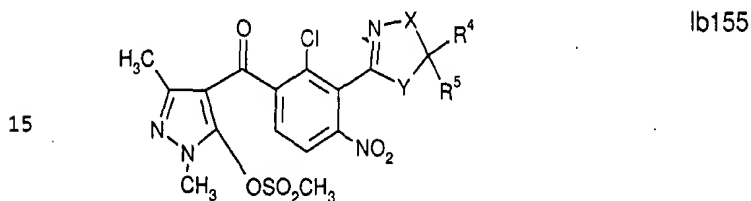
Ib153



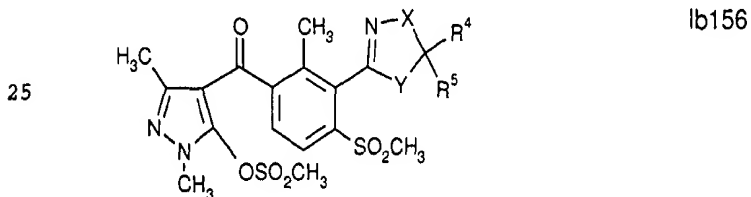
- 45 - The compounds Ib154.1-Ib154.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² and Z are methylsulfonyl.



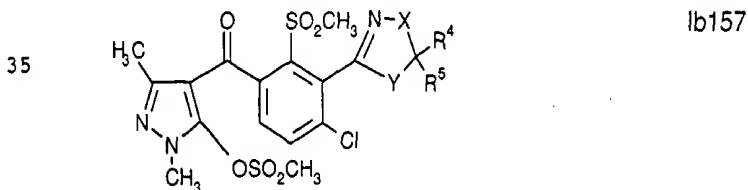
- 10 - The compounds Ib155.1-Ib155.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro and Z is methanesulfonyl.



- 20 - The compounds Ib156.1-Ib156.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² and Z are methanesulfonyl.



- 30 - The compounds Ib157.1-Ib157.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ and Z are methanesulfonyl.

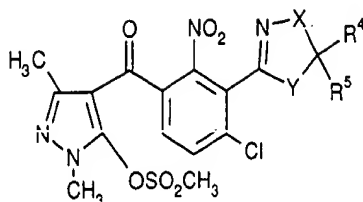


- 40 - The compounds Ib158.1-Ib158.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro and Z is methanesulfonyl.

76

Ib158

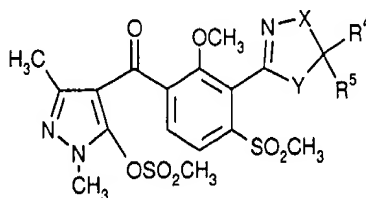
5



- 10 - The compounds Ib159.1-Ib159.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² and Z are methylsulfonyl.

15

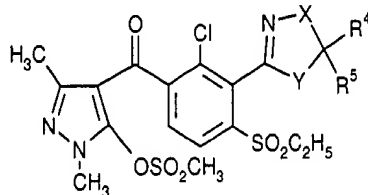
Ib159



- 20 - The compounds Ib160.1-Ib160.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl and Z is methylsulfonyl.

25

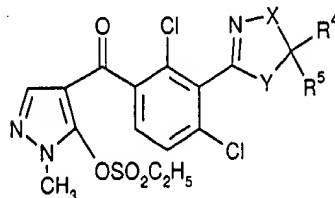
Ib160



- 30 - The compounds Ib161.1-Ib161.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is ethylsulfonyl and R¹⁸ is hydrogen.

35

Ib161



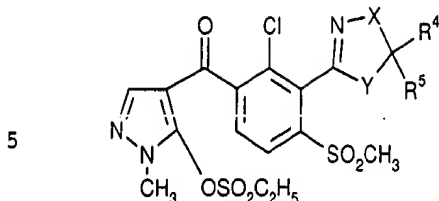
- 40 - The compounds Ib162.1-Ib162.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, Z is ethylsulfonyl and R¹⁸ is hydrogen.

45

0048006-122700

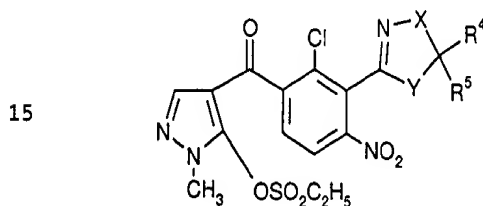
77

Ib162



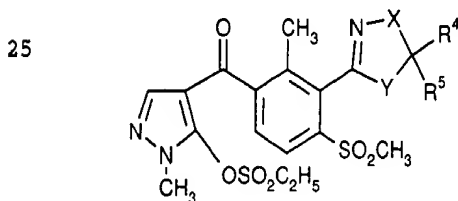
- 10 - The compounds Ib163.1-Ib163.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, Z is ethylsulfonyl and R¹⁸ is hydrogen.

Ib163



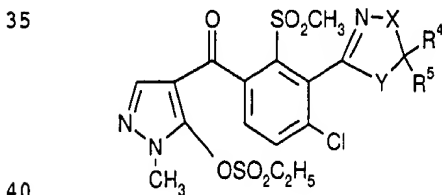
- 20 - The compounds Ib164.1-Ib164.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, Z is ethylsulfonyl and R¹⁸ is hydrogen.

Ib164



- 30 - The compounds Ib165.1-Ib165.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, Z is ethylsulfonyl and R¹⁸ is hydrogen.

Ib165



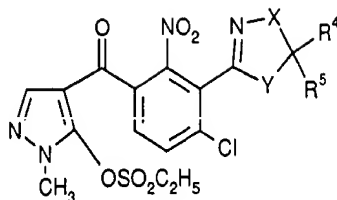
- 40 - The compounds Ib166.1-Ib166.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, Z is ethylsulfonyl and R¹⁸ is hydrogen.

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78

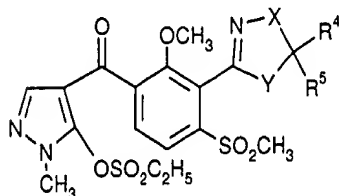
Ib166

5



- The compounds Ib167.1-Ib167.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, Z is ethylsulfonyl and R¹⁸ is hydrogen.

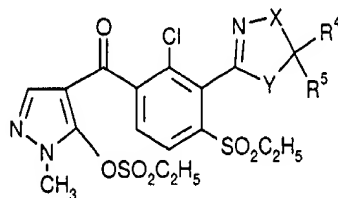
15



Ib167

- The compounds Ib168.1-Ib168.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² and Z are ethylsulfonyl and R¹⁸ is hydrogen.

25

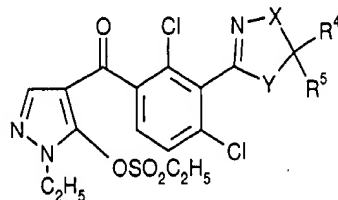


Ib168

30

- The compounds Ib169.1-Ib169.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁶ is ethyl, Z is ethylsulfonyl and R¹⁸ is hydrogen.

35



Ib169

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- The compounds Ib170.1-Ib170.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is ethyl, Z is ethylsulfonyl and R¹⁸ is hydrogen.

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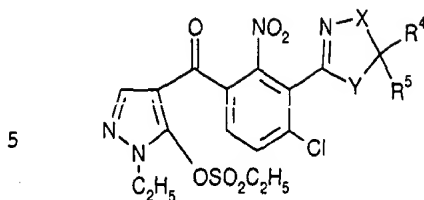


35



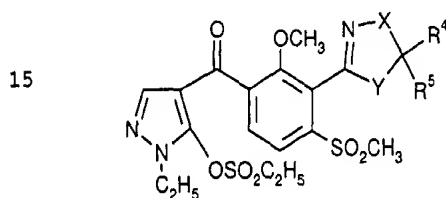
45

Ib174



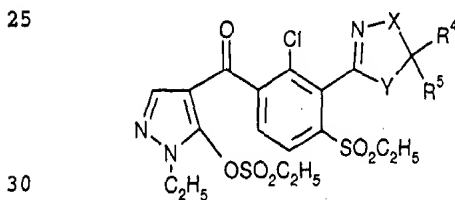
- 10 - The compounds Ib175.1-Ib175.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, R¹⁶ is ethyl, Z is ethylsulfonyl and R¹⁸ is hydrogen.

Ib175



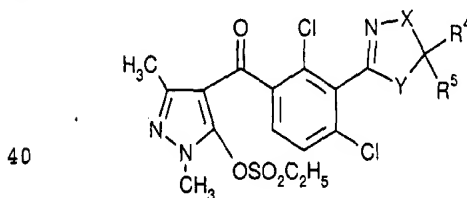
- 20 - The compounds Ib176.1-Ib176.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, R¹⁶ is ethyl, Z is ethylsulfonyl and R¹⁸ is hydrogen.

Ib176



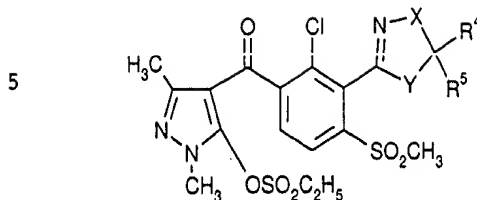
- 35 - The compounds Ib177.1-Ib177.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is ethylsulfonyl.

Ib177



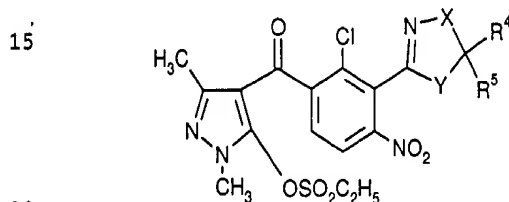
- 45 - The compounds Ib178.1-Ib178.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl and Z is ethylsulfonyl.

Ib178



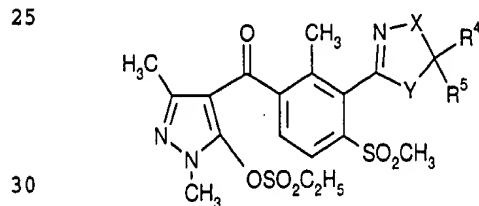
- 10 - The compounds Ib179.1-Ib179.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro and Z is ethylsulfonyl.

Ib179



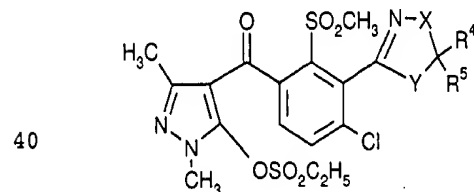
- The compounds Ib180.1-Ib180.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl and Z is ethylsulfonyl.

Ib180



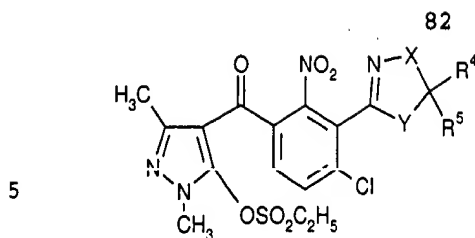
- The compounds Ib181.1-Ib181.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl and Z is ethylsulfonyl.

Ib181



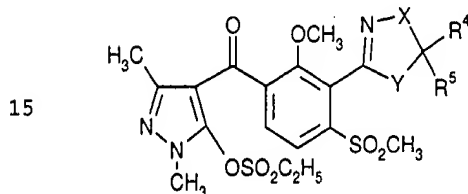
- The compounds Ib182.1-Ib182.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro and Z is ethylsulfonyl.
- 45

00221-50081-260



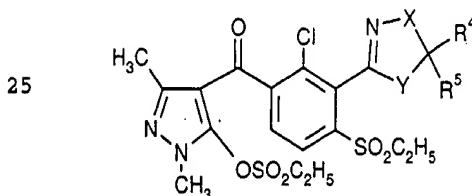
Ib182

- 10 - The compounds Ib183.1-Ib183.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl and Z is ethylsulfonyl.



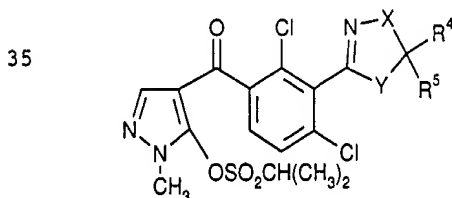
Ib183

- 20 - The compounds Ib184.1-Ib184.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² and Z are ethylsulfonyl.



Ib184

- 30 - The compounds Ib185.1-Ib185.126 which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is iso-propylsulfonyl and R¹⁸ is hydrogen.



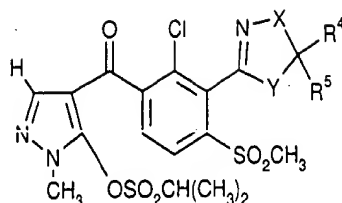
Ib185

- 40 - The compounds Ib186.1-Ib186.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

83

Ib186

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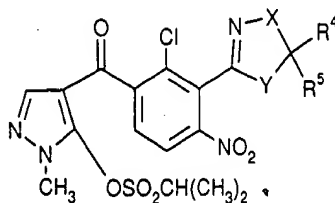


- The compounds Ib187.1-Ib187.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

10

Ib187

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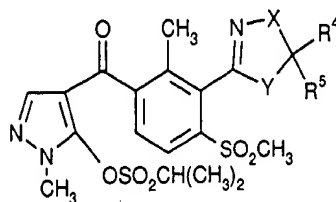


- The compounds Ib188.1-Ib188.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

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Ib188

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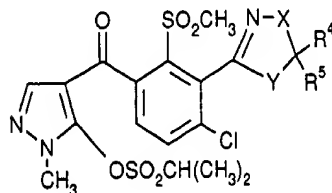
30

- The compounds Ib189.1-Ib189.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

35

Ib189

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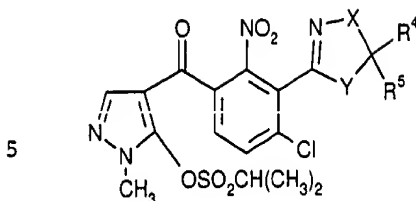


- The compounds Ib190.1-Ib190.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

45

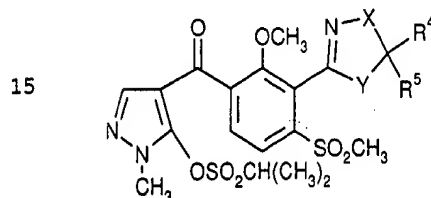
0050/47679

Ib190



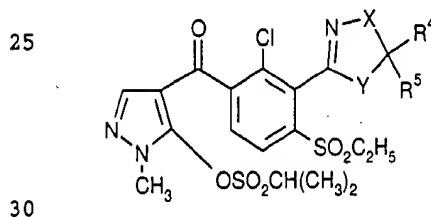
- 10 - The compounds Ib191.1-Ib191.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

Ib191



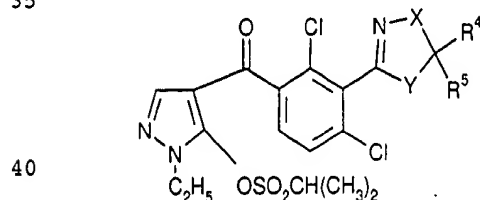
- 20 - The compounds Ib192.1-Ib192.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

Ib192



- 35 - The compounds Ib193.1-Ib193.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁶ is ethyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

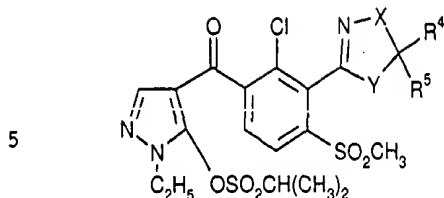
Ib193



- 45 - The compounds Ib194.1-Ib194.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is ethyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

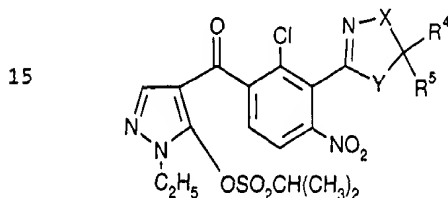
000000-12300

Ib194



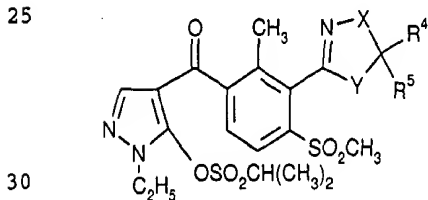
- 10 - The compounds Ib195.1-Ib195.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, R¹⁶ is ethyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

Ib195



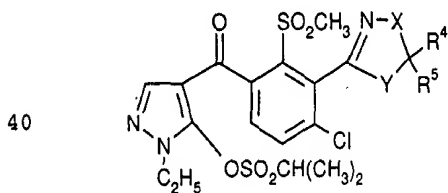
- 20 - The compounds Ib196.1-Ib196.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹⁶ is ethyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

Ib196



- 35 - The compounds Ib197.1-Ib197.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R¹⁶ is ethyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

Ib197



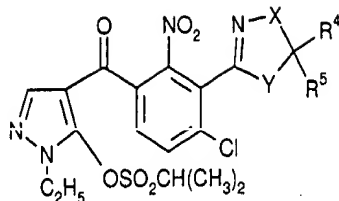
- 45 - The compounds Ib198.1-Ib198.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R¹⁶ is ethyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

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86

Ib198

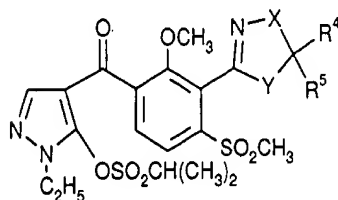
5



- The compounds Ib199.1-Ib199.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, R¹⁶ is ethyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

15

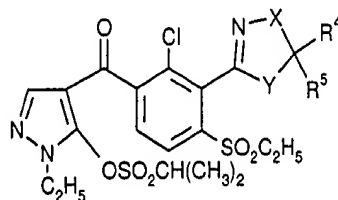
Ib199



- The compounds Ib200.1-Ib200.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, R¹⁶ is ethyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

25

Ib200

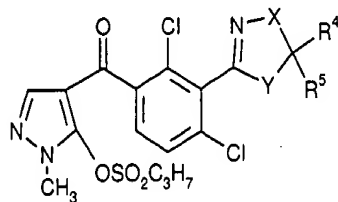


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- The compounds Ib201.1-Ib201.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is n-propylsulfonyl and R¹⁸ is hydrogen.

35

Ib201



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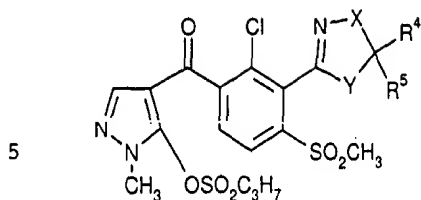
- The compounds Ib202.1-Ib202.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

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004221-50081-200

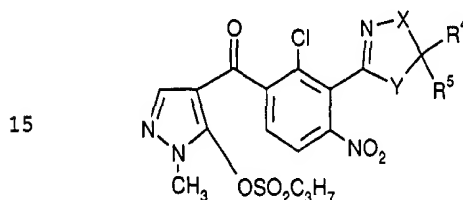
87

Ib202



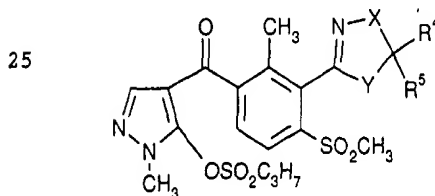
- 10 - The compounds Ib203.1-Ib203.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

Ib203



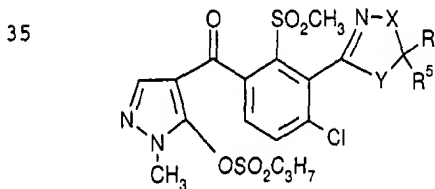
- 20 - The compounds Ib204.1-Ib204.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

Ib204



- 30 - The compounds Ib205.1-Ib205.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

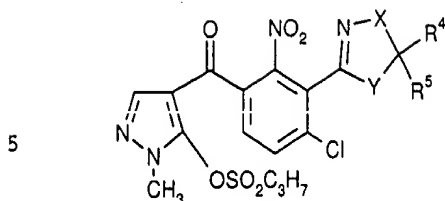
Ib205



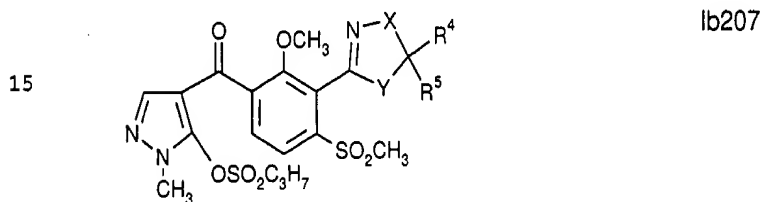
- 40 - The compounds Ib206.1-Ib206.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

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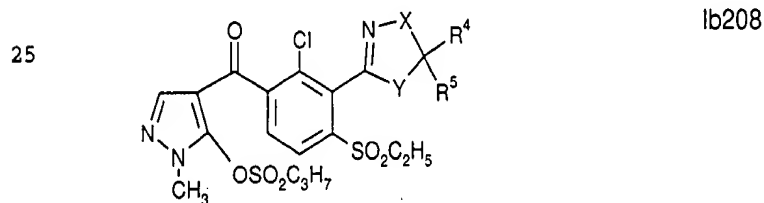
Ib206



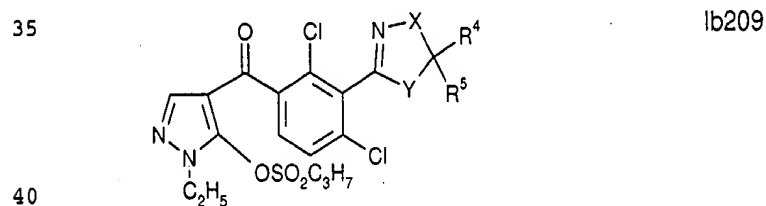
- 10 - The compounds Ib207.1-Ib207.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.



- 20 - The compounds Ib.208.1-Ib208.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.



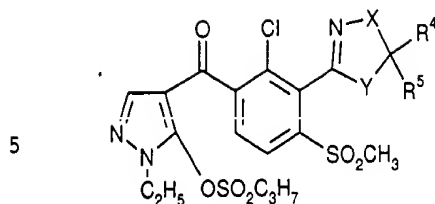
- 30 - The compounds Ib209.1-Ib209.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁶ is ethyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.



- 45 - The compounds Ib210.1-Ib210.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is ethyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

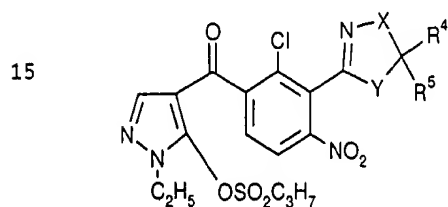
0040006 122700

Ib210



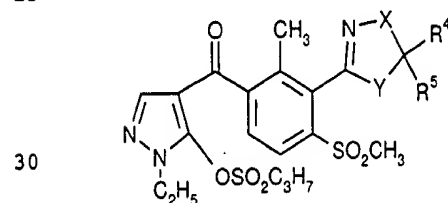
- 10 - The compounds Ib211.1-211.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, R¹⁶ is ethyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

Ib211



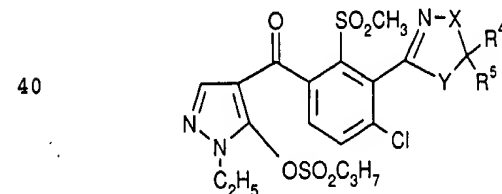
- 20 - The compounds Ib212.1-Ib212.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹⁶ is ethyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

Ib212



- 35 - The compounds Ib213.1-Ib213.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R¹⁶ is ethyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

Ib213



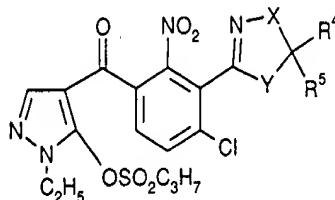
90

- The compounds Ib214.1-Ib214.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R¹⁶ is ethyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

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Ib214

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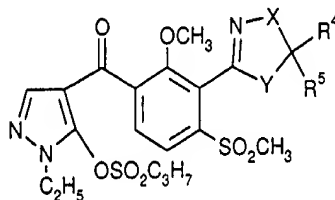


- The compounds Ib215.1-Ib215.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, R¹⁶ is ethyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

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Ib215

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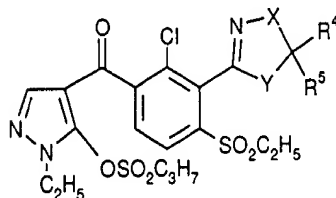


- The compounds Ib216.1-Ib216.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, R¹⁶ is ethyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

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Ib216

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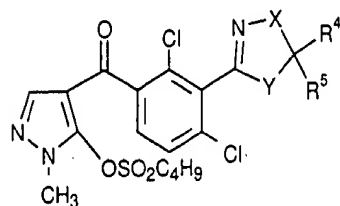
35

- The compounds Ib217.1-Ib217.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is n-butylsulfonyl and R¹⁸ is hydrogen.

40

Ib217

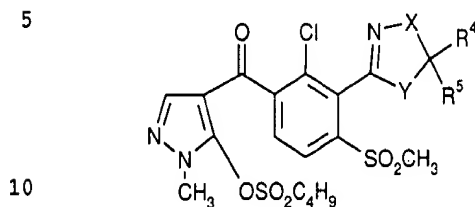
45



91

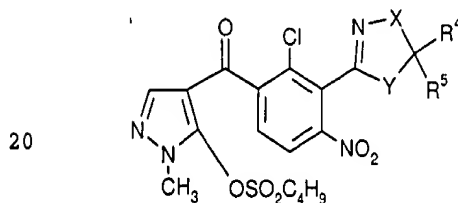
- The compounds Ib218.1-Ib218.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

Ib218



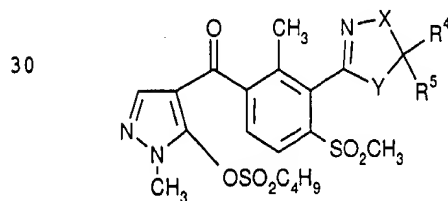
- The compounds Ib219.1-Ib219.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

Ib219



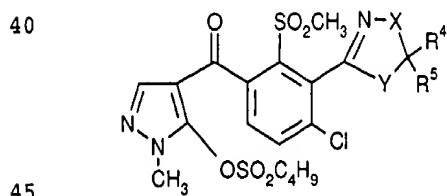
- The compounds Ib220.1-Ib220.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

Ib220



- The compounds Ib221.1-Ib221.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

Ib221



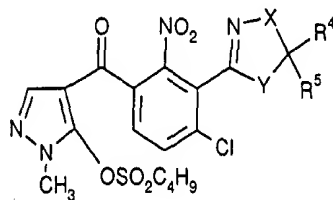
92

- The compounds Ib222.1-Ib222.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

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Ib222

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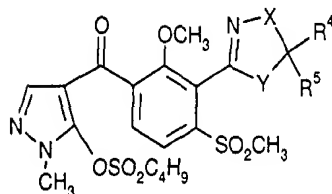


- The compounds Ib223.1-Ib223.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

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Ib223

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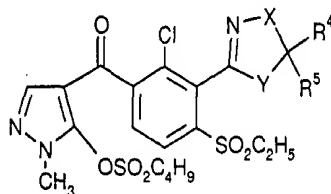


25

- The compounds Ib224.1-Ib224.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

Ib224

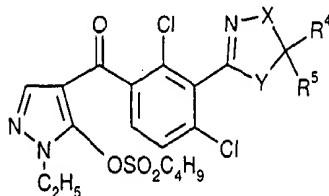
30



- 35 - The compounds Ib225.1-Ib225.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁶ is ethyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

Ib225

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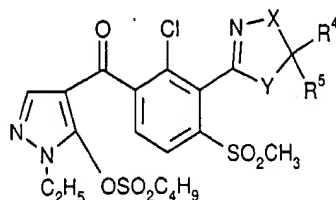
93

- The compounds Ib226.1-Ib226.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is ethyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

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Ib226

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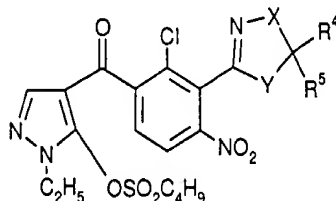


15

- The compounds Ib227.1-Ib227.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, R¹⁶ is ethyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

Ib227

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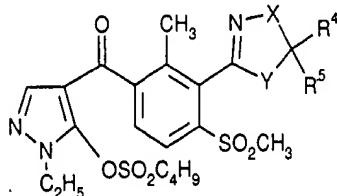


25

- The compounds Ib228.1-Ib228.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹⁶ is ethyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

Ib228

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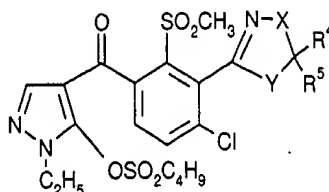
35

- The compounds Ib229.1-Ib229.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R¹⁶ is ethyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

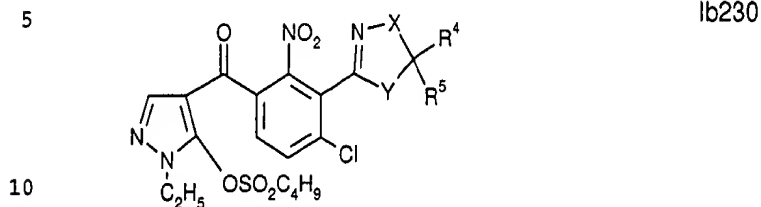
40

Ib229

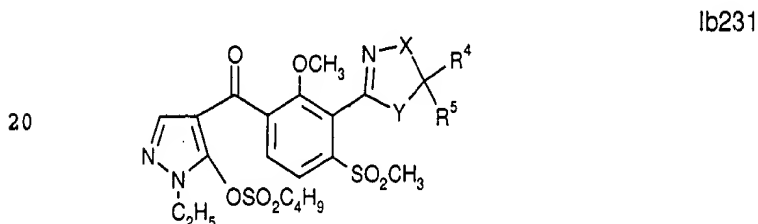
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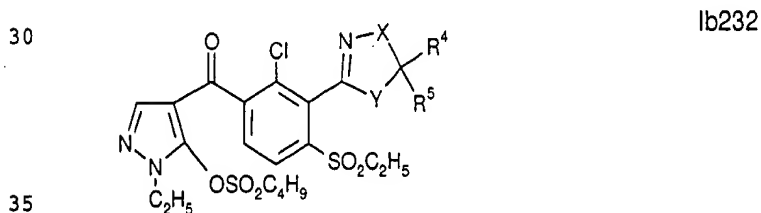
- The compounds Ib230.1-Ib230.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R¹⁶ is ethyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.



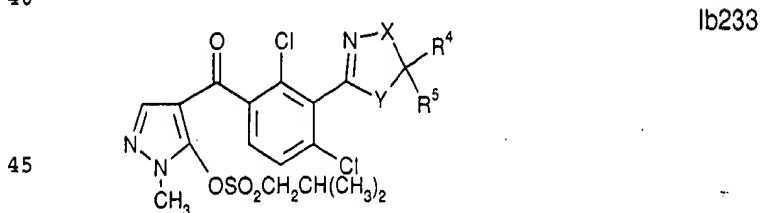
- The compounds Ib231.1-Ib231.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, R¹⁶ is ethyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.
- 15



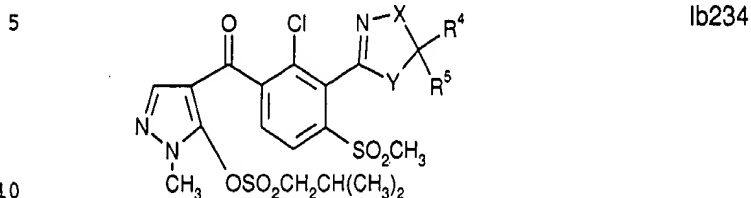
- The compounds Ib232.1-Ib232.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, R¹⁶ is ethyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.
- 30



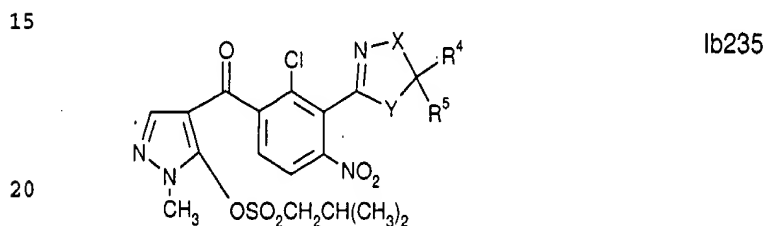
- The compounds Ib233.1-Ib233.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is iso-butylsulfonyl and R¹⁸ is hydrogen.
- 45



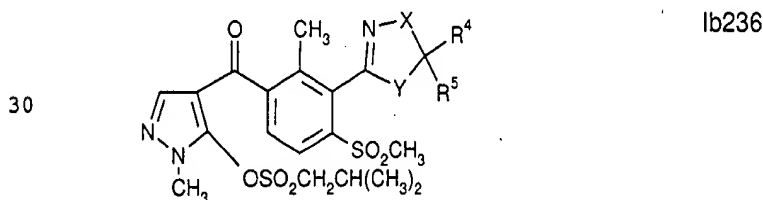
- The compounds Ib234.1-Ib234.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.



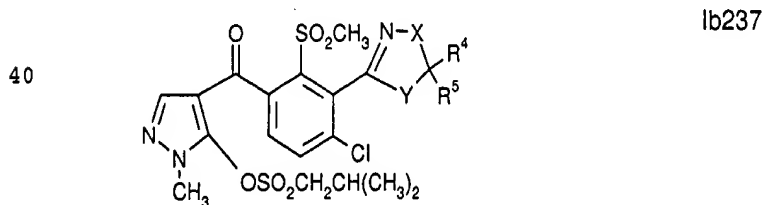
- The compounds Ib235.1-Ib235.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.



- The compounds Ib236.1-Ib236.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.



- The compounds Ib237.1-Ib237.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

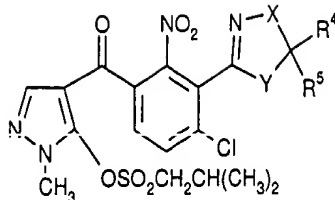


- 45 - The compounds Ib238.1-Ib238.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

96

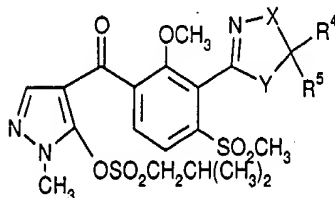
Ib238

5



- The compounds Ib239.1-Ib239.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

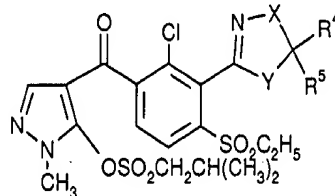
15



Ib239

- The compounds Ib240.1-Ib240.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

25

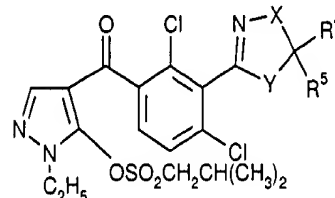


Ib240

- The compounds Ib241.1-Ib241.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁶ is ethyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

35

Ib241

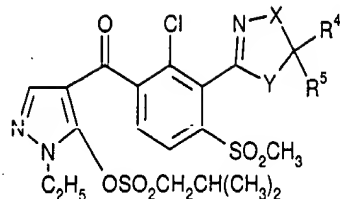


40

- The compounds Ib242.1-Ib242.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is ethyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

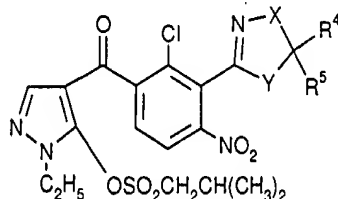
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b242



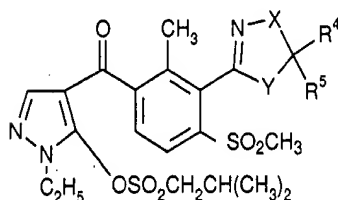
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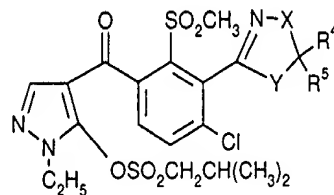
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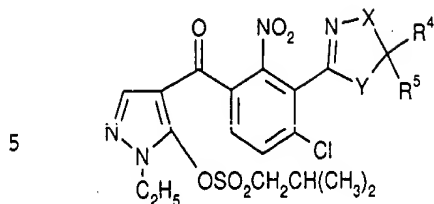
- 35

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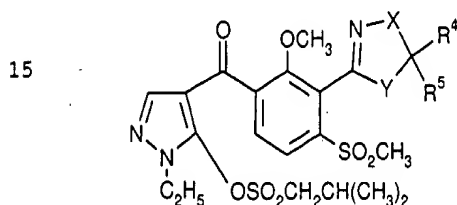
- 45

Ib246



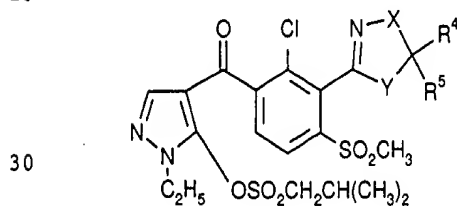
- 10 - The compounds Ib247.1-Ib247.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, R¹⁶ is ethyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

Ib247



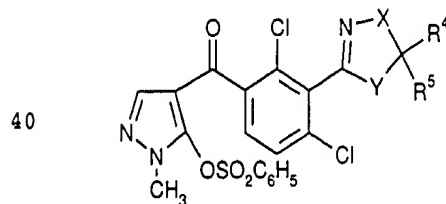
- 20 - The compounds Ib248.1-Ib248.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is ethyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

Ib248



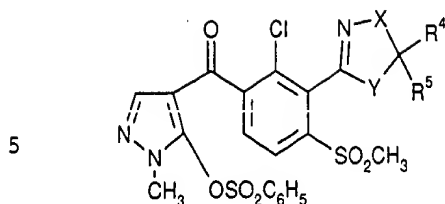
- 30 - The compounds Ib249.1-Ib249.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is phenylsulfonyl and R¹⁸ is hydrogen.

Ib249



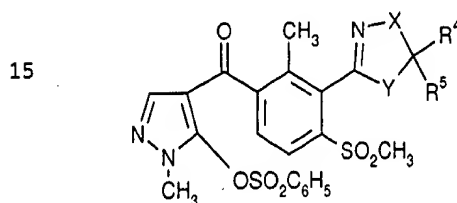
- 40 - The compounds Ib250.1-Ib250.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, Z is phenylsulfonyl and R¹⁸ is hydrogen.

Ib250



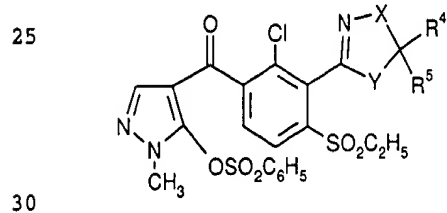
- 10 - The compounds Ib251.1-Ib251.126 which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, Z is phenylsulfonyl and R¹⁸ is hydrogen.

Ib251



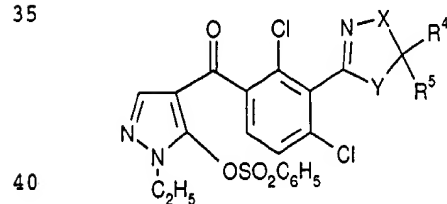
- 20 - The compounds Ib252.1-Ib252.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, Z is phenylsulfonyl and R¹⁸ is hydrogen.

Ib252



- 30 - The compounds Ib253.1-Ib253.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁶ is ethyl, Z is phenylsulfonyl and R¹⁸ is hydrogen.

Ib253

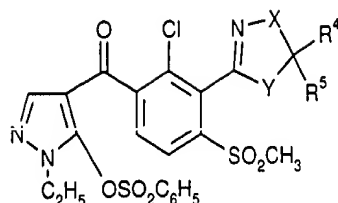


- 40 - The compounds Ib254.1-Ib254.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is ethyl, Z is phenylsulfonyl and R¹⁸ is hydrogen.
- 45

100

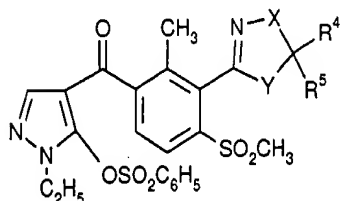
Ib254

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- The compounds Ib255.1-Ib255.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹⁶ is ethyl, Z is phenylsulfonyl and R¹⁸ is hydrogen.

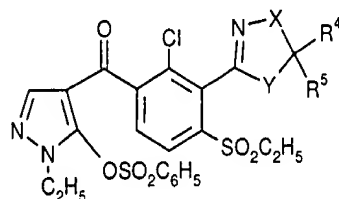
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Ib255

- The compounds Ib256.1-Ib256.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, R¹⁶ is ethyl, Z is phenylsulfonyl and R¹⁸ is hydrogen.

25

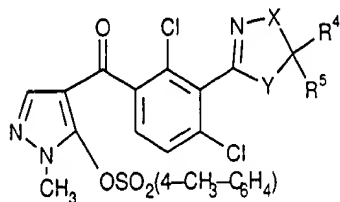


Ib256

30

- The compounds Ib257.1-Ib257.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is p-toluenesulfonyl and R¹⁸ is hydrogen.

35

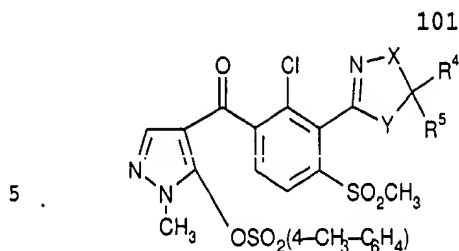


Ib257

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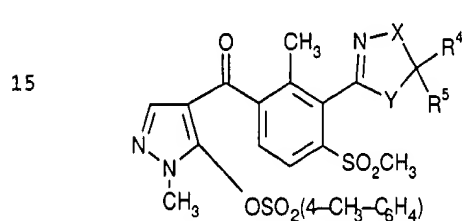
- The compounds Ib258.1-Ib258.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, Z is p-toluenesulfonyl and R¹⁸ is hydrogen.

45



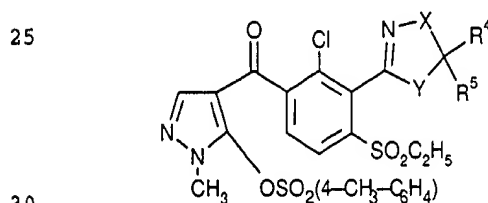
Ib258

- 10
- The compounds Ib259.1-Ib259.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, Z is p-toluenesulfonyl and R¹⁸ is hydrogen.



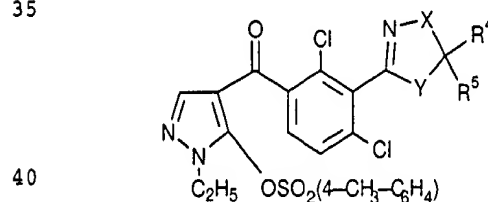
Ib259

- 20
- The compounds Ib260.1-Ib260.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, Z is p-toluenesulfonyl and R¹⁸ is hydrogen.



Ib260

- 30
- The compounds Ib261.1-Ib261.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁶ is ethyl, Z is p-toluenesulfonyl and R¹⁸ is hydrogen.



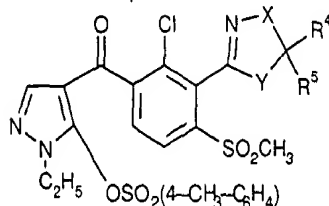
Ib261

- The compounds Ib262.1-Ib262.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is ethyl, Z is p-toluenesulfonyl and R¹⁸ is hydrogen.

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Ib262

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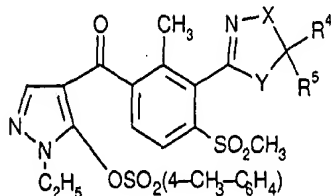


15

- The compounds Ib263.1-Ib263.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹⁶ is ethyl, Z is p-toluenesulfonyl and R¹⁸ is hydrogen.

20

Ib263



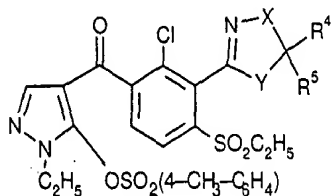
25

- The compounds Ib264-Ib264.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, R¹⁶ is ethyl, Z is p-toluenesulfonyl and R¹⁸ is hydrogen.

30

Ib264

35



Also particularly preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where:

40

R¹ is halogen, C₁-C₆-alkyl, C₁-C₆-alkylthio or C₁-C₆-alkylsulfonyl;
in particular chlorine, methyl, methylthio or methylsulfonyl;

45

R² is hydrogen, nitro, halogen, C₁-C₆-alkylthio, C₁-C₆-alkylsulfinyl or C₁-C₆-alkylsulfonyl;

in particular hydrogen, nitro, chlorine, methylthio, methylsulfinyl, methylsulfonyl, ethylsulfonyl or propylsulfonyl;

5 R³ is hydrogen;

R⁴, R⁵ are hydrogen, halogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-alkylthio or COR⁶;
 10 in particular hydrogen, fluorine, methyl, ethyl, propyl, trifluoromethyl, chloromethyl, 1-chloroeth-1-yl, methoxy, ethoxy, ethylthio or ethoxycarbonyl;

or

15 R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to polysubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

20 R⁶ is C₁-C₄-alkoxy;
 in particular ethyl;

X is O or CR¹⁰R¹¹;

25 Y is O, S or CR¹³R¹⁴;

R¹⁰, R¹¹, R¹³, R¹⁴ are hydrogen, C₁-C₄-alkyl or C₁-C₄-haloalkyl; in particular hydrogen, methyl or chloromethyl;

30 or

R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to polysubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is
 35 unsubstituted or substituted by C₁-C₄-alkyl;
 in particular 1,3-propanediyl;

R¹⁶ is C₁-C₆-alkyl;
 in particular methyl, ethyl, propyl, 2-methylpropyl or
 40 butyl;

Z is H or SO₂R¹⁷;

R¹⁷ is C₁-C₄-alkyl;
 45 in particular methyl, ethyl, propyl or 2-methylpropyl;

0050/47679

with the exception of 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1-ethyl-5-hydroxy-1H-pyrazole, 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole, 4-[2-chloro-3-(5-cyano-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole and 4-[2-chloro-3-(4,5-dihydrothiazol-2-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole;

10 and the agriculturally useful salts thereof;
in particular alkali metal salts and ammonium salts.

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The 3-heterocyclyl-substituted benzoyl derivatives of the formula I are obtainable by various routes, for example by the following process:

5

Process A:

Reaction of pyrazoles of the formula II (where Z = H) with an
10 activated benzoic acid III α or a benzoic acid III β , which is preferably activated in situ to give the acylating product and subsequently subjecting the latter to a rearrangement reaction.

15

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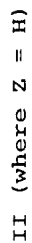
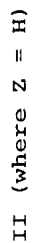
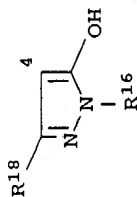
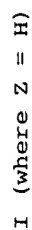
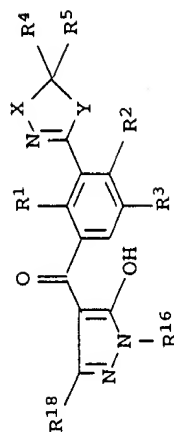
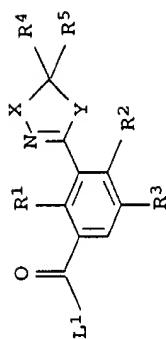
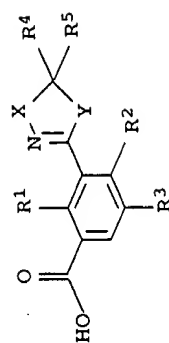
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L¹ is a nucleophilically displaceable leaving group such as halogen, eg. bromine, chlorine, hetaryl, eg. imidazolyl, pyridyl, carboxylate, eg. acetate, trifluoroacetate, and the like.

5

The activated benzoic acid can be employed directly, as in the case of the benzoyl halides, or it can be prepared in situ, for example with dicyclohexylcarbodiimide, triphenylphosphine/azodicarboxylic ester, 2-pyridine disulfide/triphenylphosphine, carbonyldiimidazole and the like.

10

It may be advantageous to carry out the acylation reaction in the presence of a base. The reactants and the auxiliary base are expediently employed in equimolar amounts. A small excess of the auxiliary base, for example 1.2 to 1.5 mol equivalents based on II, may be advantageous under certain circumstances.

15

Suitable auxiliary bases are tertiary alkylamines, pyridine or alkali metal carbonates. Examples of solvents which can be used are chlorinated hydrocarbons such as methylene chloride, 1,2-dichloroethane, aromatic hydrocarbons such as toluene, xylene, chlorobenzene, ethers such as diethyl ether, methyl tert-butyl ether, tetrahydrofuran, dioxane, polar aprotic solvents such as acetonitrile, dimethylformamide, dimethyl sulfoxide, or esters such as ethyl acetate, or mixtures of these.

20

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If benzoyl halides are employed as activated carboxylic acid component, it may be expedient to cool the reaction mixture to 0-10°C when adding this reactant. The mixture is subsequently stirred at 20 - 100°C, preferably at 25 - 50°C, until the reaction is complete. Work-up is carried out in the customary manner, for example the reaction mixture is poured into water and the product of value is extracted. Especially suitable solvents for this purpose are methylene chloride, diethyl ether and ethyl acetate. After the organic phase has been dried and the solvent removed, the crude ester can be employed without further purification for the rearrangement reaction.

30

35

Rearrangement of the esters to give the compounds of the formula I is expediently carried out at from 20 to 40°C in a solvent and in the presence of a base and, if appropriate, with the aid of a cyano compound as catalyst.

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Examples of solvents which can be used are acetonitrile, methylene chloride, 1,2-dichlorethane, dioxane, ethyl acetate, toluene or mixtures of these. Preferred solvents are acetonitrile and dioxane.

5

Suitable bases are tertiary amines such triethylamine, pyridine, or alkali metal carbonates such as sodium carbonate, potassium carbonate, all of which are preferably employed in equimolar amounts or up to a fourfold excess, based on the ester.

10 Triethylamine or alkali metal carbonate are preferably used, but by preference in a ratio of twice the equimolar amount based on the ester.

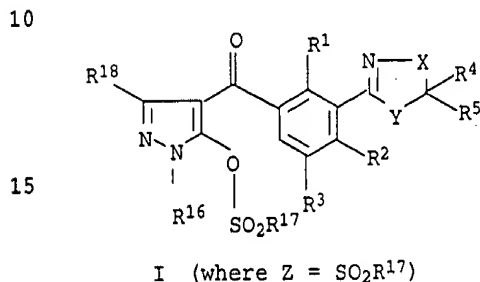
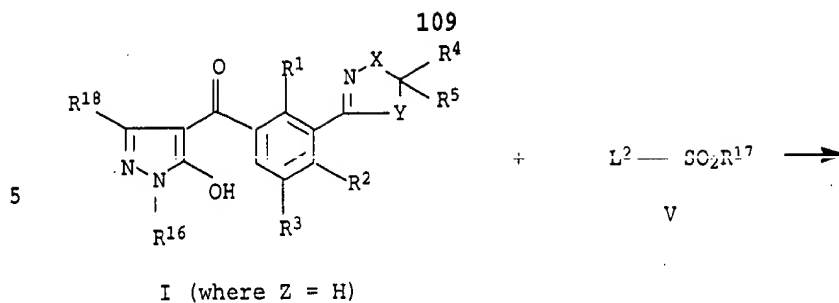
15 Suitable cyano compounds are inorganic cyanides such as sodium cyanide, potassium cyanide, and organic cyano compounds such as acetone cyanohydrin, trimethylsilyl cyanide. They are employed in an amount of from 1 to 50 mol percent, based on the ester. Substances which are preferably employed are acetone cyanohydrin or trimethylsilyl cyanide, for example in an amount of from 5 to 20 15, preferably 10, mol percent, based on the ester.

Work-up can be effected in a manner known per se. For example, the reaction mixture is acidified with dilute mineral acid, such 25 as 5% strength hydrochloric acid or sulfuric acid, and extracted with an organic solvent, eg. methylene chloride or ethyl acetate. The organic extract can be extracted with 5-10% strength alkali metal carbonate solution, eg. sodium carbonate or potassium carbonate solution. The aqueous phase is acidified, and the 30 precipitate which forms is filtered off with suction and/or extracted with methylene chloride or ethyl acetate, dried and concentrated.

(Examples of the synthesis of esters from hydroxypyrazoles and of the rearrangement of the esters are mentioned, for example, in 35 EP-A 282 944 and US 4 643 757).

Process B:

40 Reaction of 3-heterocyclyl-substituted benzoyl derivatives of the formula I (where Z = H) with a compound of the formula V (where Z = SO₂R¹⁷):



²⁰ L² is a nucleophilically displaceable leaving group, such as halogen, eg. bromine, chlorine, hetaryl, eg. imidazolyl, pyridyl, sulfonate, eg. OSO₂R¹⁷.

25 The compounds of the formula V can be employed directly such as, for example, in the case of the sulfonyl halides or sulfonic anhydrides, or they can be prepared in situ, for example activated sulfonic acids (by means of sulfonic acid and dicyclohexylcarbodiimide, carbonyldiimidazole and the like).

30 As a rule, the starting compounds are employed in an equimolar ratio. However, it may also be advantageous to employ an excess of one or the other component.

35 It may be advantageous to carry out the reaction in the presence of a base. The reactants and the auxiliary base are expediently employed in equimolar ratios. An excess of the auxiliary base, for example 1.5 to 3 mol equivalents, based on II, may be advantageous under certain circumstances.

40 Suitable auxiliary bases are tertiary alkylamines such as triethylamine or pyridine, alkali metal carbonates, eg. sodium carbonate or potassium carbonate, and alkali metal hydrides, eg. sodium hydride. Triethylamine and pyridine are preferably used.

45

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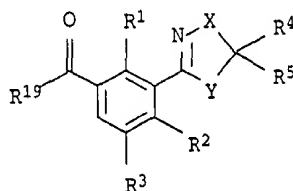
Examples of suitable solvents are chlorinated hydrocarbons such as methylene chloride or 1,2-dichlorethane, aromatic hydrocarbons, eg. toluene, xylene or chlorobenzene, ethers such as diethyl ether, methyl tert-butyl ether, tetrahydrofuran or dioxane, polar aprotic solvents such as acetonitrile, dimethylformamide or dimethyl sulfoxide, or esters such as ethyl acetate, or mixtures of these.

As a rule, the reaction temperature is in the range of from 0°C to the boiling point of the reaction mixture.

Work-up can be effected in a manner known per se to give the product.

Those pyrazoles of the formula II (where Z = H) which are used as starting materials and which are not already known can be prepared by processes known per se (for example EP-A 240 001 and J. Prakt. Chem. 315, 383 (1973)).

Novel 3-heterocyclyl-substituted benzoic acid derivatives of the formula III



III

are those where the variables have the following meanings:

R¹, R² are hydrogen, nitro, halogen, cyano, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkylthio, C₁-C₆-haloalkylthio, C₁-C₆-alkylsulfinyl, C₁-C₆-haloalkylsulfinyl, C₁-C₆-alkylsulfonyl or C₁-C₆-haloalkylsulfonyl;

R³ is hydrogen, halogen or C₁-C₆-alkyl;

R⁴, R⁵ are hydrogen, halogen, cyano, nitro, C₁-C₄-alkyl, C₁-C₄-alkoxy-C₁-C₄-alkyl, di(C₁-C₄-alkoxy)-C₁-C₄-alkyl, di(C₁-C₄-alkyl)amino-C₁-C₄-alkyl, [2,2-di(C₁-C₄-alkyl)hydrazino-1]-C₁-C₄-alkyl, C₁-C₆-alkyliminoxy-C₁-C₄-alkyl,

C₁-C₄-alkoxycarbonyl-C₁-C₄-alkyl,
 C₁-C₄-alkylthio-C₁-C₄-alkyl, C₁-C₄-haloalkyl,
 C₁-C₄-cyanoalkyl, C₃-C₈-cycloalkyl, C₁-C₄-alkoxy,
 C₁-C₄-alkoxy-C₂-C₄-alkoxy, C₁-C₄-haloalkoxy, hydroxyl,
 C₁-C₄-alkylcarbonyloxy, C₁-C₄-alkylthio,
 C₁-C₄-haloalkylthio, di(C₁-C₄-alkyl)amino, COR⁶, phenyl or
 benzyl, it being possible for the two last-mentioned
 substituents to be partially or fully halogenated and/or
 to have attached to them one to three of the following
 groups:
 nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy
 or C₁-C₄-haloalkoxy;

or

R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be
 mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can
 be interrupted by oxygen or by a nitrogen which is
 unsubstituted or substituted by C₁-C₄-alkyl;

or

R⁴ and R⁵ together with the corresponding carbon form a carbonyl
 or a thiocarbonyl group;

R⁶ is hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy,
 C₁-C₄-alkoxy-C₂-C₄-alkoxy, C₁-C₄-haloalkoxy,
 C₃-C₆-alkenyloxy, C₃-C₆-alkynyloxy or NR⁷R⁸;

R⁷ is hydrogen or C₁-C₄-alkyl;

R⁸ is C₁-C₄-alkyl;

X is O, S, NR⁹, CO or CR¹⁰R¹¹;

Y is O, S, NR¹², CO or CR¹³R¹⁴;

R⁹, R¹² are hydrogen or C₁-C₄-alkyl;

R¹⁰, R¹¹, R¹³, R¹⁴ are hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl,
 C₁-C₄-alkoxycarbonyl, C₁-C₄-haloalkoxycarbonyl or CONR⁷R⁸;

or

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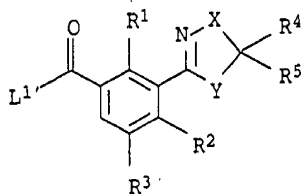
R⁴ and R⁹ or R⁴ and R¹⁰ or R⁵ and R¹² or R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

R¹⁹ is hydroxyl or a radical which can be removed by hydrolysis;

with the exception of methyl 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate, methyl 2'-chloro-3-(4,5-dihydroisoxazol-2-yl)-4-methylsulfonylbenzoate and methyl 2,4-dichloro-3-(5-methylcarbonyloxy-4,5-dihydroisoxazol-3-yl)-benzoate.

Examples of radicals which can be removed by hydrolysis are alkoxy, phenoxy, alkylthio and phenylthio radicals which are unsubstituted or substituted, halides, hetaryl radicals which are bonded via nitrogen; amino, imino radicals which are unsubstituted or substituted, and the like.

Preferred are 3-heterocyclyl-substituted benzoic acid halides of the formula IIIa', where L¹ = halogen (\cong III where R¹⁹ = halogen)



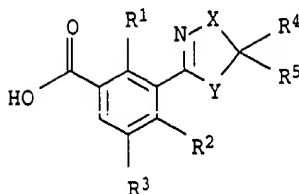
IIIa'

where the variables R¹ to R⁵, X and Y have the meanings given under the formula III and

L¹ is halogen, in particular chlorine or bromine.

Equally preferred are 3-heterocyclyl-substituted benzoic acids of the formula III β (\cong III where R¹⁹ = hydroxyl)

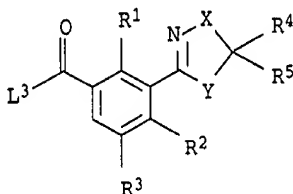
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IIIβ

where the variables R¹ to R⁵, X and Y have the meanings given under formula III.

Equally preferred are 3-heterocyclyl-substituted benzoic esters of the formula IIIγ (≡ III where R¹⁹ = C₁-C₆-alkoxy)



IIIγ

where the variables R¹ to R⁵, X and Y have the meanings given under formula III and

L³ is C₁-C₆-alkoxy.

The specially preferred embodiments of the 3-heterocyclyl-substituted benzoic acid derivatives of the formula III with regard to the variables R¹ to R⁵, X and Y correspond to those of the 3-heterocyclyl-substituted benzoyl derivatives of the formula I.

Also preferred are 3-heterocyclyl-substituted benzoic acid derivatives of the formula III, where:

R¹ is halogen, C₁-C₆-alkyl, C₁-C₆-alkylthio or C₁-C₆-alkylsulfonyl; in particular chlorine, methyl, methylthio or methylsulfonyl; extraordinarily preferably chlorine;

R² is hydrogen, nitro, halogen, C₁-C₆-alkylthio, C₁-C₆-alkylsulfinyl or C₁-C₆-alkylsulfonyl;

- in particular hydrogen, nitro, chlorine, methylthio, methylsulfinyl, methylsulfonyl, ethylsulfonyl or propylsulfonyl;
extraordinarily preferably hydrogen, chlorine,
- 5 methylthio, methylsulfonyl, ethylsulfonyl or propylsulfonyl;
- R³ is hydrogen;
- 10 R⁴, R⁵ are hydrogen, halogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, hydroxyl, C₁-C₄-alkylcarbonyloxy, C₁-C₄-alkylthio or COR⁶;
in particular hydrogen, fluorine, methyl, ethyl, propyl, trifluoromethyl, chloromethyl, 2-chloroeth-1-yl, methoxy,
- 15 ethoxy, 2-methylprop-1-oxy, hydroxyl, methylcarbonyloxy, ethylthio, formyl, methylcarbonyl, methoxycarbonyl or ethoxycarbonyl;
extraordinarily preferably hydrogen, fluorine, methyl, ethyl, trifluoromethyl, chloromethyl, 2-chloroeth-1-yl,
- 20 methoxy, ethoxy, 2-methylprop-1-oxy, hydroxyl, methylcarbonyloxy, ethylthio, formyl, methylcarbonyl, methoxycarbonyl or ethoxycarbonyl;
- or
- 25 R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to polysubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;
- 30 in particular 1,4-butanediyl, 2-oxo-1,5-pentanediy;
- or
- R⁴ and R⁵ together with the corresponding carbon atoms form a
- 35 carbonyl group
- R⁶ is hydrogen, C₁-C₄-alkyl or C₁-C₄-alkoxy;
in particular hydrogen, methyl, methoxy or ethoxy;
- 40 X is O, S, CO, CR¹⁰R¹¹;
- Y is O, S, CR¹³R¹⁴;

R¹⁰, R¹¹, R¹³, R¹⁴ are hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl or C₁-C₄-alkoxycarbonyl;
in particular hydrogen, methyl, chloromethyl or methoxycarbonyl;

5

or

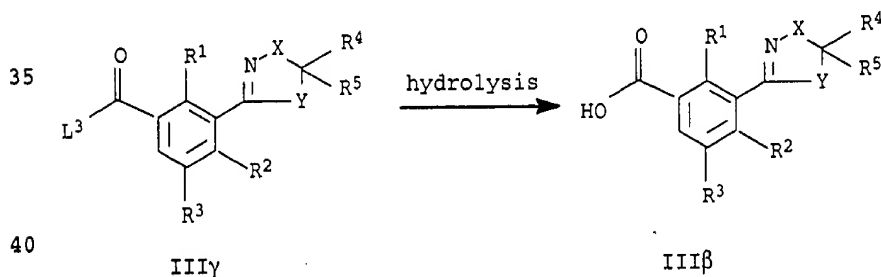
R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to polysubstituted by C₁-C₄-alkyl and/or which can
10 be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;
in particular 1,3-propanediyl;

R¹⁹ is hydroxyl, halogen or C₁-C₆-alkoxy;
15 in particular hydroxyl, chlorine, methoxy or ethoxy;

with the exception of methyl 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate, methyl 2-chloro-3-(4,5-dihydroisoxazol-2-yl)-4-methylsulfonylbenzoate and methyl 2,4-dichloro-
20 3-(5-methylcarbonyloxy-4,5-dihydroisoxazol-3-yl)benzoate.

The benzoyl halides of the formula IIIa' (where L¹ = Cl, Br) can be prepared in a manner known per se by reacting the benzoic acids of the formula IIIβ with halogenating reagents such as
25 thionyl chloride, thionyl bromide, phosgene, diphosgene, triphosgene, oxalyl chloride or oxalyl bromide.

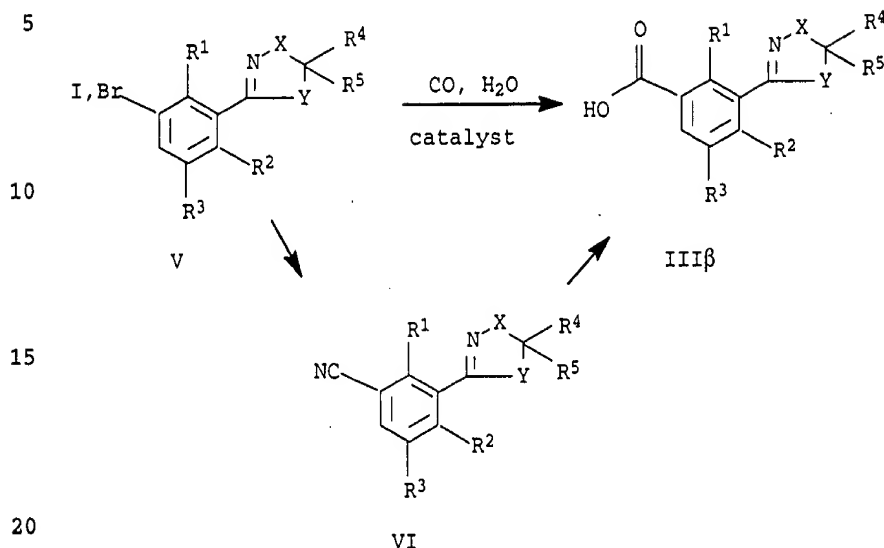
The benzoic acids of the formula IIIβ can be prepared in a known
30 manner from the corresponding esters of the formula IIIγ (L³ = C₁-C₆-alkoxy) by means of acid or basic hydrolysis.



Equally, the benzoic acids of the formula IIIβ can be obtained by reacting corresponding bromine- or iodine-substituted compounds
45 of the formula V, with carbon monoxide and water under elevated

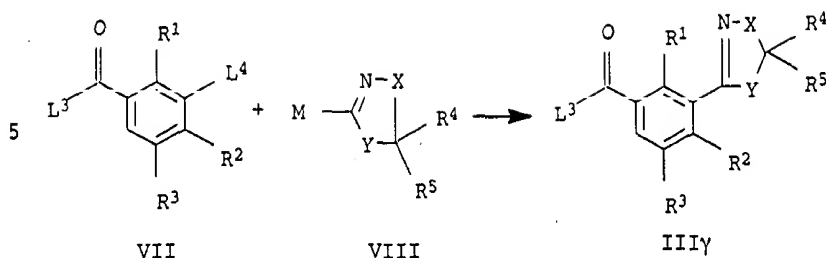
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pressure in the presence of a palladium, nickel, cobalt or rhodium transition metal catalyst and a base.



Furthermore, it is possible to convert compounds of the formula V into the corresponding nitriles of the formula VI by a Rosenmund-von Braun reaction (cf., for example, Org. Synth. Vol III (1955), 212) and to convert these nitriles into the compounds of the formula IIIβ by subsequent hydrolysis.

The esters of the formula IIIγ can be obtained by reacting arylhalogen compounds or arylsulfonates of the formula VII, where L⁴ is a leaving group such as bromine, iodine, triflate, fluorosulfonyloxy and the like with heterocyclyl stannates (Stille couplings), heterocyclyl-boron compounds (Suzuki couplings) or heterocyclyl-zinc compounds (Negishi reaction) VIII, where M is Sn(C₁-C₄-alkyl)₃, B(OH)₂, ZnHal (where Hal = chlorine, bromine) and the like, respectively, in a manner known per se (cf., for example, Tetrahedron Lett. 27 (1986), 5269) in the presence of a palladium or nickel transition metal catalyst and in the presence or absence of a base.

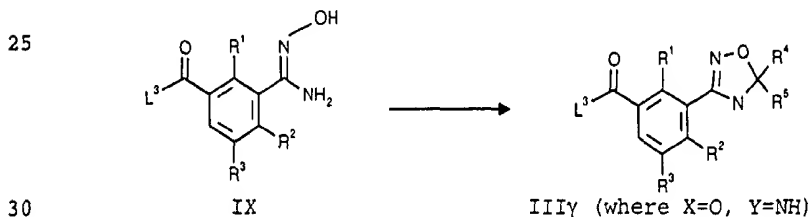


- 10 (where $L^4 = \text{Br, I, OSO}_2\text{CF}_3, \text{OSO}_2\text{F}$) (where $M = \text{Sn}(\text{C}_1\text{-C}_4\text{-Alkyl})_3, \text{B}(\text{OH})_2, \text{ZnHal}$, where Hal is Cl or Br)

15

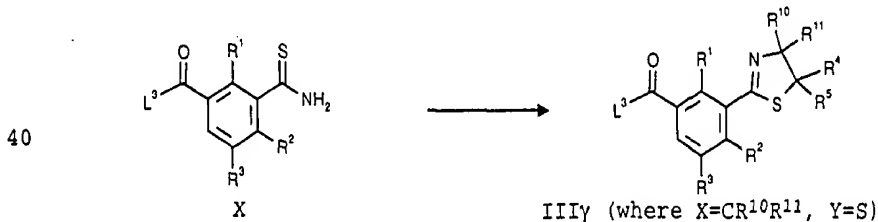
Equally, it is possible to obtain esters of the formula IIIy by synthesizing the heterocycle which is bonded in the 3-position.

- 20 For example, 1,2,4-oxadiazolin-3-yl derivatives (IIIy where $X=\text{O}$, $Y=\text{NH}$) can be prepared from amidoximes of the formula IX by condensation with aldehydes or ketones (cf., for example, Arch. Phar. 326 (1993), 383-389).



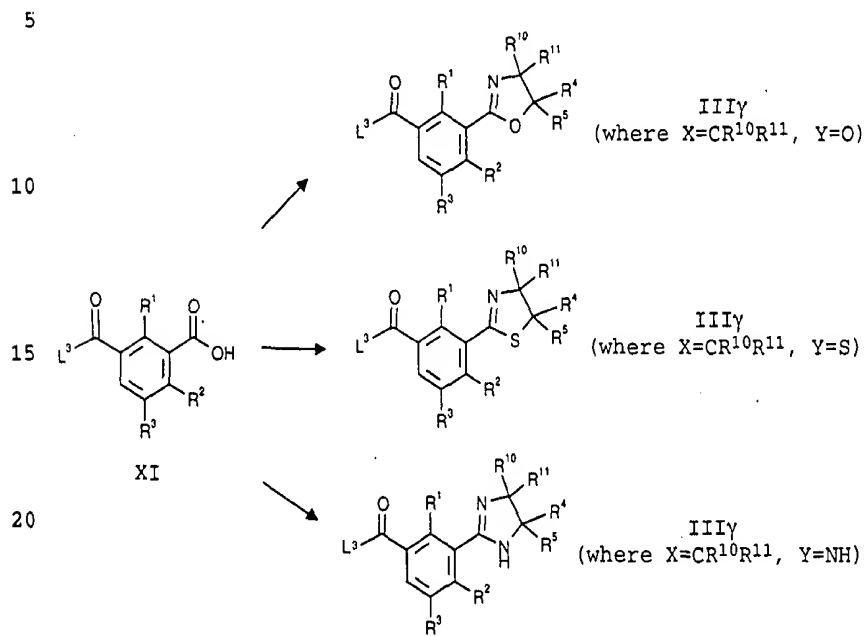
Thioamides of the formula X are suitable precursors for 2-thiazolinylnyl derivatives I (where $X=\text{CR}^{10}\text{R}^{11}$, $Y=\text{S}$) (cf., for example, Tetrahedron 42 (1986), 1449-1460).

35

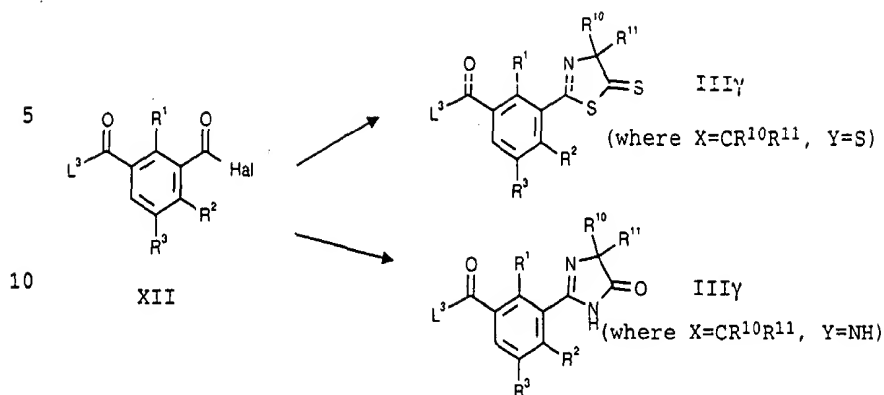


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2-Oxazolinyl, 2-thiazolinyl and 2-imidazolinyl derivatives (IIIy where $X=CR^{10}R^{11}$, $Y=O$ or $Y=S$ or $Y=NH$) are accessible from the carboxylic acids of the formula XI (cf., for example, Tetrahedron Let. 22 (1981), 4471-4474).

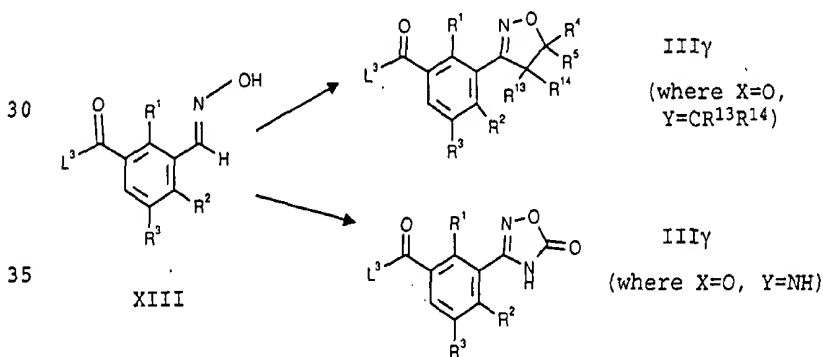


1,3-Thiazol-5(4H)-thion-2-yl (cf., for example, Helv. Chim. Acta 69 (1986), 374-388) and 5-oxo-2-imidazolin-2-yl derivatives (cf., for example, Heterocycles 29 (1989), 1185-1189) (III where $X=CR^{10}R^{11}$, $Y=S$ or $Y=NH$) can be prepared by processes known from the literature from carboxylic acid halides of the formula XII where Hal is halogen, in particular from carboxylic acid chlorides.



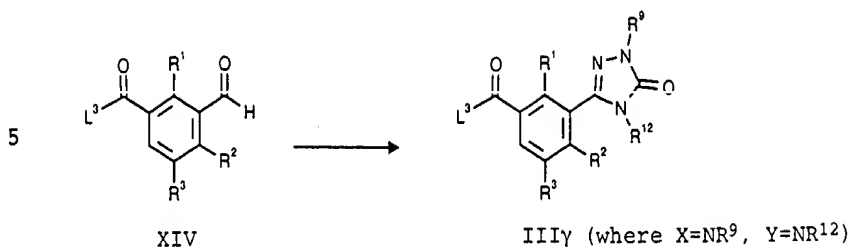
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The oximes of the formula XIII can be converted into 4,5-dihydroisoxazol-3-yl derivatives (IIIy where $X=O$, $Y=CR^{13}R^{14}$) in a manner known per se via the hydroxamic acid chlorides XIV as intermediates. From the latter, nitrile oxides are prepared in situ, and these nitrile oxides react with alkenes to give the desired products (cf., for example, Chem. Ber. 106 (1973), 3258-3274). 1,3-Dipolar cycloaddition reactions of chlorosulfonyl isocyanate with nitrile oxides yield 1,2,4-oxadiazolin-5-on-3-yl derivatives (IIIy where $X=O$, $Y=NH$) (cf., for example, Heterocycles 27 (1988), 683-685).

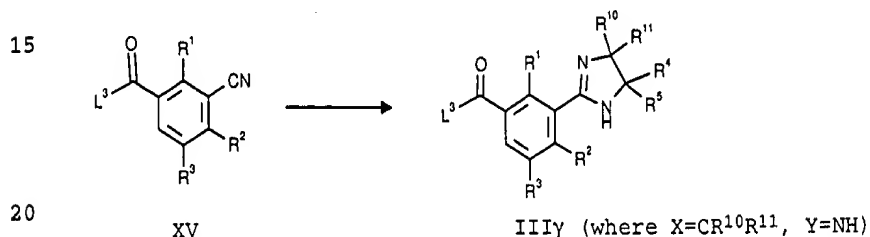


40 The aldehydes of the formula XIV can be converted into 2,4-dihydro-1,2,4-triazol-3-on-5-yl derivatives (IIIy where $X=NR^9$, $X=NR^{12}$) via the semicarbazones as intermediates (cf., for example, J. Heterocyclic Chem. 23 (1986), 881-883).

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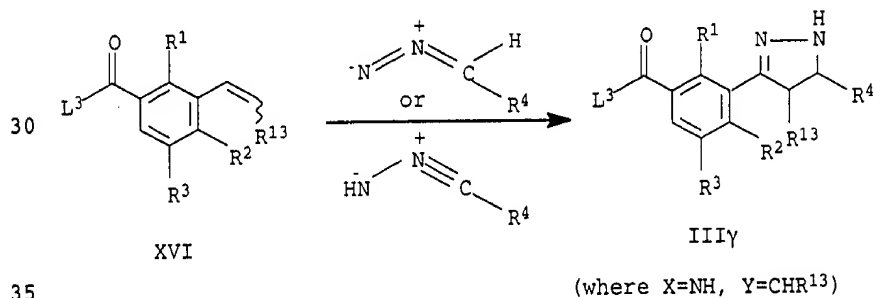


10 2-Imidazoliny derivatives (IIIy where X=CR¹⁰R¹¹, Y=NH) can also be prepared from benzonitriles of the formula XV using known methods (cf., for example, J. Org. Chem. 52 (1987), 1017-1021).



1,3-Dipolar cycloaddition reactions of diazoalkanes or nitriloimines with arylalkenes of the formula XVI can be used for synthesizing 3-pyrazoliny derivatives (IIIy where X=NH, Y=CHR¹³).

25



The bromine- or iodine-substituted compounds of the formula V which are used as starting compounds can be obtained from corresponding anilines by methods similar to those known from the literature, for example by Sandmeyer reaction, and the anilines, in turn, are synthesized by reducing suitable nitro compounds. The bromine-substituted compounds of the formula V can additionally be obtained by direct bromination of suitable starting materials (cf. Monatsh. Chem. 99 (1968), 815-822).

40

45

The nitriles of the formula VI can be obtained as described above. Equally, it is possible to synthesize them from corresponding anilines by means of a Sandmeyer reaction.

- 5 The starting compounds of the formula VII are known (cf., for example, Coll. Czech. Chem. Commun. 40 (1975), 3009-3019) or can be prepared readily by a suitable combination of known syntheses.
- 10 For example, the sulfonates VII ($L^4 = \text{OSO}_2\text{CF}_3, \text{OSO}_2\text{F}$) can be obtained from the corresponding phenols, which, in turn, are known (cf., for example, EP-A 195 247) or can be prepared by known methods (cf., for example, Synthesis 1993, 735-762).
- 15 The halogen compounds VII ($L^4 = \text{Cl}, \text{Br}$ or I) can be obtained, for example, from the corresponding anilines of the formula XIX by a Sandmeyer reaction.

The amidoximes of the formula IX, the thioamides of the formula X
20 and the carboxylic acids of the formula XI can be synthesized
from the nitriles of the formula XV in a manner known per se.

- Furthermore, it is possible to prepare the carboxylic acids of the formula XI from the aldehydes of the formula XIV by known processes (cf., for example, J. March, Advanced Organic Chemistry, 3rd edition (1985), p. 629 et seq., Wiley-Interscience Publication).
- The carboxylic acid halides of the formula XII can be obtained from the corresponding carboxylic acids of the formula XI by methods similar to standard processes.

The oximes of the formula XIII are advantageously obtained by
35 reacting aldehydes of the formula XIV with hydroxylamine in a
manner known per se (cf., for example, J. March, Advanced Organic
Chemistry, 3rd ed. (1985), pp. 805-806, Wiley-Interscience
Publication).

- 40 Those aldehydes of the formula XIV which are not already known
can be prepared by methods similar to known processes. Thus, they
can be synthesized from methyl compounds of the formula XVII by
means of bromination, for example with N-bromosuccinimide or
1,3-dibromo-5,5-dimethylhydantoin, followed by oxidation (cf.
45 Synth. Commun. 22 (1992), 1967 - 1971).

The oximes of the formula XIII can also be converted into nitriles of the formula XV by processes which are known per se (cf., for example, J. March, *Advanced Organic Chemistry*, 3rd ed. (1985), pp. 931-932, Wiley-Interscience Publication).

5

Arylalkenes of the formula XVI can be synthesized starting from the halogen compounds or sulfonates of the formula VII ($L^4 = \text{Br}$, Cl , OSO_2CF_3 , OSO_2F) by, inter alia, Heck reaction with olefins in the presence of a palladium catalyst (cf., for example, Heck, *Palladium Reagents in Organic Synthesis*, Academic Press, London 1985; *Synthesis* 1993, 735 - 762).

15

20

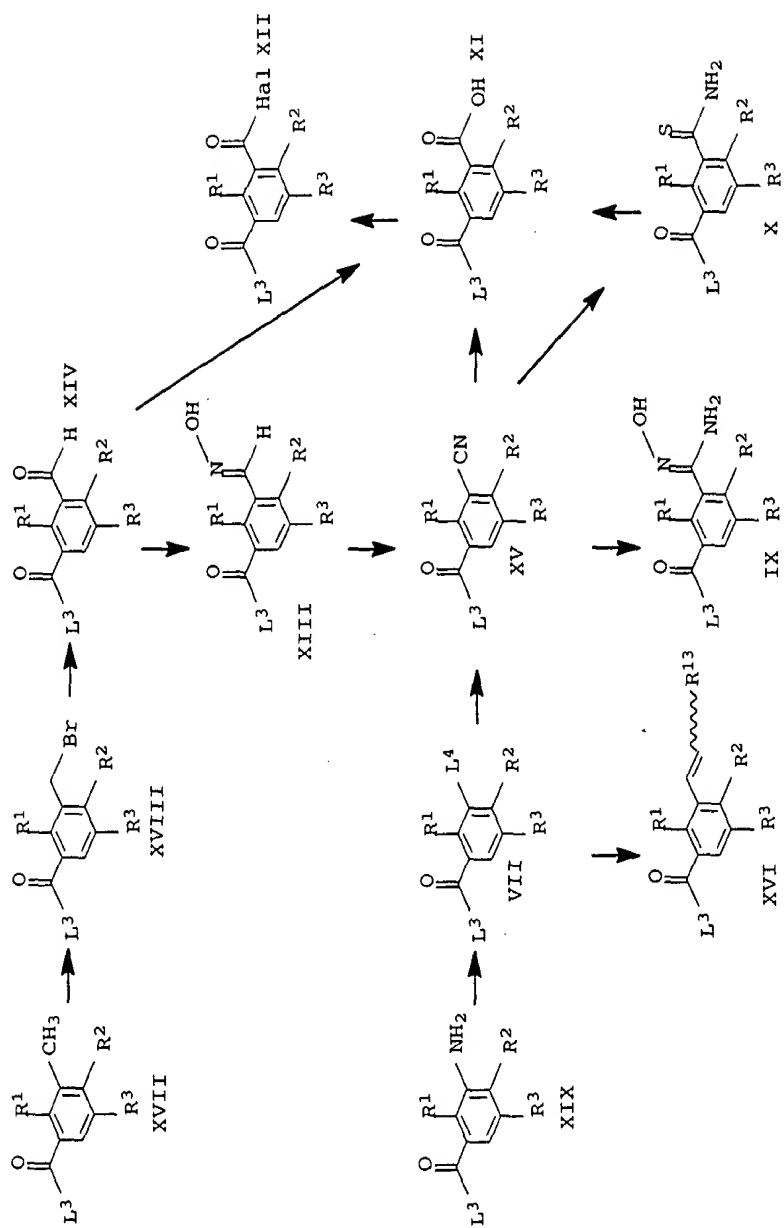
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Preparation examples:

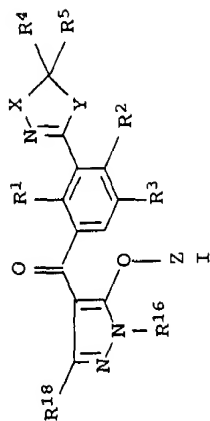
- 5 4-[2-Chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonyl-benzoyl]-5-hydroxy-1-methyl-1H-pyrazole (compound 3.35)

43.60 g (0.13 mol) of 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl chloride in 375 ml of anhydrous
10 dioxane and 13.56 g (0.134 mol) of triethylamine in 375 ml of anhydrous dioxane are simultaneously added dropwise at room temperature under a protective gas atmosphere to a solution 12.74 g (0.13 mol) of 5-hydroxy-1-methylpyrazole and 300 ml of anhydrous dioxane. After the reaction mixture had been stirred
15 for 2 hours at room temperature, it was filtered through silica gel and the residue was washed with dioxane. The eluate was concentrated in vacuo to approximately 500 ml, and 17.94 g (0.13 mol) of dried, finely powdered potassium carbonate were added. After the mixture had been refluxed for 6 hours, the
20 solvent was distilled off in vacuo and the residue was taken up in approximately 700 ml of water. Insoluble constituents were filtered off, and the pH of the filtrate was brought to 2 - 3 by slow addition of 10% strength hydrochloric acid. The precipitate which formed was filtered off with suction. This gave 46.16 g
25 (92% of theory) of 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-5-hydroxy-1-methyl-1H-pyrazole.
(m.p. > 250°C)

Table 3 shows the above compound and, in addition, other
30 3-heterocyclyl-substituted benzoyl derivatives of the formula I which were prepared, or can be prepared, in a similar manner (if the end products had not precipitated upon acidification with 10% strength hydrochloric acid, they were extracted with ethyl acetate or dichloromethane; the organic phase was subsequently
35 dried and concentrated in vacuo):

40

45



No.	R ¹	R ²	R ³	X	R ⁴	R ⁵	Y	R ¹⁶	Z	R ¹⁸	Physical data m.p. [°C]; ¹ H NMR [δ in ppm]
3.1	Cl	Cl	H	O	H	H	CH ₂	n-C ₄ H ₉	H	H	116 - 117
3.2	Cl	Cl	H	O	H	H	CH ₂	i-C ₄ H ₉	H	H	148 - 151
3.3	Cl	Cl	H	O	H	H	CH ₂	n-C ₄ H ₉	C ₂ H ₅ SO ₂	H	0.95 (t); 1.32 (m); 1.62 (t); 1.92 (quin); 3.30 (t); 3.78 (quar); 4.17 (t); 4.61 (t); 7.42 (d); 7.48 (m).

00227 30037460

No.	R ¹	R ²	R ³	X	R ⁴	R ⁵	Y	R ¹⁶	Z	R ¹⁸	Physical data m.p. [°C]; ¹ H NMR [δ in ppm]
3.4	Cl	Cl	H	O	H	H	CH ₂	i-C ₄ H ₉	i-C ₄ H ₉ SO ₂	H	0.96(d); 1.21(d); 2.33(m); 2.48(m); 3.30(t); 3.67(d); 3.97(d); 4.58(t); 7.42(d); 7.50(m).
3.5	Cl	Cl	H	O	H	H	CH ₂	n-C ₃ H ₇	i-C ₄ H ₉ SO ₂	H	0.97(t); 1.20(d); 1.96(m); 2.49(m); 3.30(t); 3.68(d); 4.12(t); 4.59(t); 7.42(d); 7.49(d); 7.52(s).
3.6	Cl	Cl	H	O	H	H	CH ₂	n-C ₃ H ₇	C ₂ H ₅ SO ₂	H	0.97(t); 1.12(d); 1.63(t); 1.94(m); 3.29(t); 3.76(q); 4.14(t); 4.50(t); 7.42(d); 7.48(d); 7.51(s).
3.7	Cl	SO ₂ CH ₃	H	O	COOC ₂ H ₅	H	CH ₂	CH ₃	H	H	70 - 75
3.8	Cl	SO ₂ CH ₃	H	O	COOC ₂ H ₅	H	CH ₂	C ₂ H ₅	H	H	65 - 70
3.9	Cl	SO ₂ CH ₃	H	O	CH ₃	H	CH ₂	CH ₃	H	H	230 - 235
3.10	Cl	SO ₂ CH ₃	H	O	CH ₃	H	CH ₂	C ₂ H ₅	H	H	210 - 215
3.11	Cl	SO ₂ CH ₃	H	O	CH ₃	H	CH ₂	n-C ₃ H ₇	H	H	95 - 100
3.12	Cl	SO ₂ CH ₃	H	O	CH ₃	H	CH ₂	CH ₃	C ₂ H ₅ SO ₂	H	70 - 75

002227-500811460

No.	R ¹	R ²	R ³	X	R ⁴	R ⁵	Y	R ¹⁶	Z	R ¹⁸	Physical data: m.p. [°C]; ¹ H NMR [δ in ppm]
3.13	Cl	SO ₂ CH ₃	H	O	CH ₃	H	CH ₂	C ₂ H ₅	C ₂ H ₅ SO ₂	H	78 - 83
3.14	Cl	SO ₂ CH ₃	H	O	CH ₃	H	CH ₂	C ₂ H ₅	i-C ₄ H ₉ SO ₂	H	1.24(2d); 1.53(t); 2.52(m); 3.05(dd); 3.29(s); 3.52(dd); 3.73(d); 4.24(q), 5.05(m); 7.49(s); 7.66(d); 8.18(d).
3.15	Cl	SO ₂ CH ₃	H	O	CH ₃	H	CH ₂	n-C ₃ H ₇	C ₂ H ₅ SO ₂	H	0.96(t); 1.53(d); 1.68(t); 1.95(sext); 3.07(dd); 3.32(s); 3.58(dd); 3.86(quant); 4.15(t); 5.03(m); 7.46(d); 7.64(d); 8.18(d).
3.16	Cl	SO ₂ CH ₃	H	O	CH ₃	CH ₃	CH ₂	CH ₃	H	H	220 - 225
3.17	Cl	SO ₂ CH ₃	H	O	CH ₃	CH ₃	CH ₂	C ₂ H ₅	H	H	82 - 86
3.18	Cl	SO ₂ CH ₃	H	O	CH ₃	CH ₃	CH ₂	n-C ₃ H ₇	H	H	70 - 75
3.19	Cl	SO ₂ CH ₃	H	O	CH ₃	CH ₃	CH ₂	n-C ₄ H ₉	H	H	68 - 73
3.20	Cl	SO ₂ CH ₃	H	O	CH ₃	CH ₃	CH ₂	i-C ₄ H ₉	H	H	45 - 50
3.21	Cl	SO ₂ CH ₃	H	O	C ₂ H ₅	H	CH ₂	CH ₃	H	H	220 - 225
3.22	Cl	SO ₂ CH ₃	H	O	C ₂ H ₅	H	CH ₂	C ₂ H ₅	H	H	170 - 175

002227-50034400

No.	R ¹	R ²	R ³	X	R ⁴	R ⁵	Y	R ¹⁶	Z	R ¹⁸	Physical data m.p. [°C]; ¹ H NMR [δ in ppm]
3.23	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	n-C ₃ H ₇	H	H	65 - 70
3.24	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	n-C ₄ H ₉	H	H	55 - 60
3.25	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	i-C ₄ H ₉	H	H	58 - 63
3.26	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	n-C ₄ H ₉	C ₂ H ₅ SO ₂	H	78 - 83
3.27	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	n-C ₄ H ₉	i-C ₄ H ₉ SO ₂	H	0.94 (t); 1.19 (d); 1.22 (t); 1.38 (m); 1.74 (br); 1.91 (m); 2.53 (m); 3.26 (s); 4.45 (t); 3.76 (d); 4.18 (t); 4.62 (t); 7.45 (s); 7.64 (d); 8.16 (d).
3.28	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	i-C ₄ H ₉	i-C ₄ H ₉ SO ₂	H	0.96 (d); 1.21 (d); 2.33 (m); 2.51 (m); 3.28 (s); 3.44 (t); 3.75 (d); 3.99 (d); 4.61 (t); 7.45 (s); 7.66 (d); 8.17 (d).
3.29	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	i-C ₄ H ₉	C ₂ H ₅ SO ₂	H	0.97 (d); 1.66 (t); 2.36 (m); 3.29 (s); 3.43 (t); 3.82 (q); 3.99 (d); 4.60 (t); 7.47 (s); 7.68 (d); 8.18 (d).

002227-90084460

No.	R ¹	R ²	R ³	X	R ⁴	R ⁵	Y	R ¹⁶	Z	R ¹⁸	Physical data m.p. [°C]; ¹ H NMR [δ in ppm]
3.30	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	CH ₃	C ₂ H ₅ SO ₂	H	1.68(t); 3.29(s); 3.43(t); 3.78(q); 3.92(s); 3.63(t); 7.46(s); 7.62(d); 8.17(d).
3.31	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	CH ₃	i-C ₄ H ₉ SO ₂	H	1.23(d); 2.53(m); 3.28(s); 3.43(t); 3.70(d); 3.91(s); 4.61(t); 7.48(s); 7.66(d); 8.18(d).
3.32	Cl	Cl	H	O	H	H	CH ₂	n-C ₃ H ₇	H	H	119 - 121
3.33	Cl	Cl	H	O	H	H	CH ₂	CH ₃	H	CH ₃	115 - 117
3.34	Cl	NO ₂	H	O	H	H	CH ₂	C ₂ H ₅	H	H	217 - 218
3.35	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	CH ₃	H	H	> 250
3.36	Cl	Cl	H	O	H	H	CH ₂	C ₂ H ₅	H	H	125 - 128
3.37	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	C ₂ H ₅	n-C ₃ H ₇ SO ₂	H	78 - 83
3.38	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	C ₂ H ₅	C ₂ H ₅ SO ₂	H	1.52(t); 1.68(t); 3.29(s); 3.43(t); 3.82(q); 4.24(q); 4.63(t); 7.48(s); 7.65(d); 8.07(d).
3.39	Cl	SO ₂ C ₂ H ₅	H	O	CH ₃	CH ₃	CH ₂	CH ₃	H	H	> 200
3.40	Cl	SO ₂ C ₂ H ₅	H	O	CH ₃	H	CH ₂	CH ₃	H	H	220 - 223

00222T-500844-660

No.	R ¹	R ²	R ³	X	R ⁴	R ⁵	Y	R ¹⁶	Z	R ¹⁸	Physical data m.p. [°C]; ¹ H NMR [δ in ppm]
3.41	Cl	SO ₂ C ₂ H ₅	H	O	CH ₃	H	CH ₂	C ₂ H ₅	H	H	> 230
3.42	Cl	SO ₂ -n-C ₃ H ₇	H	O	CH ₃	H	CH ₂	CH ₃	H	H	1.12(t); 1.53(d); 1.76(quin); 3.18(dd); 3.38(t); 3.55(dd); 3.73(s); 5.04(m); 5.55(s, br.); 7.37(s); 7.68(d); 8.13(d).
3.43	Cl	SO ₂ -n-C ₃ H ₇	H	O	CH ₃	H	CH ₂	C ₂ H ₅	H	H	1.07(t); 1.50(m); 1.78(quin); 3.07(dd); 3.39(t); 3.55(dd); 4.12(t); 5.08(m); 7.38(s); 7.69(d); 8.11(d).
3.44	Cl	SO ₂ CH ₃	H	CH ₂	H	H	O	CH ₃	H	H	
3.45 a)	Cl	SO ₂ CH ₃	H	C(CH ₃) ₂	H	H	O	CH ₃	H	H	1.33(s); 3.40(s); 4.17(s); 7.43(s); 7.79(d); 8.04(d).
3.46	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	C ₂ H ₅	Na ⁺	H	218 - 220
3.47	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	C ₂ H ₅	K ⁺	H	193
3.48	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	C ₂ H ₅	Li ⁺	H	> 230
3.49	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	C ₂ H ₅	NH ₄ ⁺	H	170 - 175

00227-90084.600

No.	R ¹	R ²	R ³	X	R ⁴	R ⁵	Y	R ¹⁶	Z	R ¹⁸	Physical data m.p. [°C]; ¹ H NMR [δ in ppm]
3.50	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	CH ₃	Na ⁺	H	> 240
3.51	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	CH ₃	K ⁺	H	206 - 214
3.52	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	CH ₃	Li ⁺	H	> 240
3.53	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	CH ₃	NH ₄ ⁺	H	
3.54 a)	Cl	SO ₂ CH ₃	H	C(CH ₃) ₂	H	H	O	C ₂ H ₅	H	H	1.27 (t); 1.36 (s); 3.41 (q); 4.01 (q); 4.18 (s); 7.47 (s); 7.83 (d); 8.07 (d).
3.55	Cl	SO ₂ CH ₃	H	O	H	-(CH ₂) ₃ CH-		C ₂ H ₅	H	H	99-104
3.56	Cl	SO ₂ CH ₃	H	O	H	-(CH ₂) ₃ CH-		CH ₃	H	H	95-100
3.57	Cl	SO ₂ CH ₃	H	O	- (CH ₂) ₄ -	CH ₂	CH ₂	CH ₃	H	H	230-235
3.58	Cl	SO ₂ CH ₃	H	O	- (CH ₂) ₄ -	CH ₂	CH ₂	C ₂ H ₅	H	H	190-195
3.59	Cl	SO ₂ CH ₃	H	O	-(CH ₂) ₂ O(CH ₂) ₂	CH ₂	CH ₂	C ₂ H ₅	H	H	95-100
3.60	Cl	SO ₂ C ₂ H ₅	H	O	CH ₃	CH ₃	CH ₂	CH ₃	H	H	< 230
3.61	Cl	SO ₂ C ₂ H ₅	H	O	CH ₃	CH ₃	CH ₂	C ₂ H ₅	H	H	198-200
3.62	Cl	SO ₂ C ₂ H ₅	H	O	H	H	CH ₂	CH ₃	H	H	215-218
3.63	Cl	SO ₂ C ₂ H ₅	H	O	H	H	CH ₂	C ₂ H ₅	H	H	213-215
3.64	Cl	SO ₂ -n-C ₃ H ₇	H	O	H	H	CH ₂	CH ₃	H	H	186-190

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No.	R ¹	R ²	R ³	X	R ⁴	R ⁵	Y	R ¹⁶	Z	R ¹⁸	Physical data m.p. [°C]; 1H-NMR [δ in ppm]
3.76	Cl	SO ₂ C ₂ H ₅	H	C(CH ₃) ₂	H	H	O	CH ₃	H	H	120-123
3.77	Cl	SO ₂ -n-C ₃ H ₇	H	O	CH ₃	CH ₃	CH ₂	C ₂ H ₅	H	H	152-158
3.78	Cl	SO ₂ -n-C ₃ H ₇	H	O	CH ₃	CH ₃	CH ₂	CH ₃	H	H	172-176
3.79	Cl	SO ₂ -n-C ₃ H ₇	H	O	CH ₃	H	CH ₂	CH ₃	H	H	188-205
3.80	Cl	SCH ₃	H	O	H	H	CH ₂	C ₂ H ₅	H	H	1.29(t); 2.56(s); 3.28(t); 3.93(q); 4.49(t); 7.40(s); 7.43(d); 7.55(d).
3.81	Cl	SO ₂ CH ₃	H	O	CH ₂ Cl	H	CH ₂	C ₂ H ₅	H	H	78-82
3.82	CH ₃	H	H	CH ₂	H	H	S	C ₂ H ₅	H	H	1.44(t); 2.50(s); 3.49(t); 4.09(q); 4.53(t); 7.35(m); 7.48(d); 7.62(d).
3.83	Cl	SO ₂ CH ₃	H	O	CH ₂ Cl	H	CH ₂	CH ₃	H	H	81-85
3.84	Cl	SCH ₃	H	O	H	H	CH ₂	CH ₃	H	H	151-153
3.85	Cl	SOCH ₃	H	O	H	H	CH ₂	C ₂ H ₅	H	H	1.28(t); 2.82(s); 3.40(m); 3.52(m); 4.52(t); 7.45(s); 7.82(d); 8.10(d).
3.86	CH ₃	SO ₂ CH ₃	H	O	H	H	CH ₂	CH ₃	H	H	205-210
3.87	Cl	Cl	H	CH ₂	H	H	S	C ₂ H ₅	H	H	173-179
3.88	Cl	SCH ₃	H	CH ₂	H	H	S	C ₂ H ₅	H	H	1.43(t); 2.51(s); 3.59(t); 4.08(q); 4.51(t); 7.22(d); 7.41(s); 7.50(d).

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No.	R ¹	R ²	R ³	X	R ⁴	R ⁵	Y	R ¹⁶	Z	R ¹⁸	Physical data m.p. [°C]; 1H-NMR [δ in ppm]
3.89	Cl	SO ₂ CH ₃	H	CH ₂	H	H	S	C ₂ H ₅	H	H	1.50(t); 3.28(s); 3.62(t); 4.10(g); 4.49(t); 7.36(s); 7.68(d); 8.19(d).
3.90	CH ₃	SO ₂ CH ₃	H	O	H	H	CH ₂	C ₂ H ₅	H	H	174-180
3.91	Cl	SO ₂ CH ₃	H	O	CH ₂ Cl	H	CH ₂	CH ₃	H	H	77-83
3.92	Cl	SO ₂ CH ₃	H	O	F	H	CH ₂	CH ₃	H	H	
3.93	Cl	SO ₂ CH ₃	H	O	F	H	CH ₂	C ₂ H ₅	H	H	
3.94	Cl	SO ₂ CH ₃	H	O	F	F	CH ₂	CH ₃	H	H	
3.95	Cl	SO ₂ CH ₃	H	O	F	F	CH ₂	C ₂ H ₅	H	H	
3.96	Cl	SO ₂ CH ₃	H	O	CH ₃	H	CHCH ₃	C ₂ H ₅	H	H	183-184
3.97	Cl	SO ₂ CH ₃	H	O	CF ₃	H	CH ₂	CH ₃	H	H	223-225
3.98	Cl	SO ₂ CH ₃	H	O	CF ₃	H	CH ₂	C ₂ H ₅	H	H	183-184
3.99	Cl	SO ₂ CH ₃	H	O	SC ₂ H ₅	H	CH ₂	CH ₃	H	H	195-196
3.100	Cl	SO ₂ CH ₃	H	O	SC ₂ H ₅	H	CH ₂	C ₂ H ₅	H	H	199-200
3.101	Cl	SO ₂ CH ₃	H	O	CH ₃	H	CHCH ₃	CH ₃	H	H	230-233
3.102	Cl	SO ₂ CH ₃	H	O	CHCl(CH ₃)	H	CH ₂	C ₂ H ₅	H	H	102-107
3.103	Cl	SO ₂ CH ₃	H	O	CHCl(CH ₃)	H	CH ₂	CH ₃	H	H	80-85
3.104	Cl	SO ₂ CH ₃	H	O	n-C ₃ H ₇	H	CH ₂	CH ₃	H	H	
3.105	Cl	SO ₂ CH ₃	H	O	n-C ₃ H ₇	H	CH ₂	C ₂ H ₅	H	H	
3.106	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	CH ₃	+NH ₂ (CH ₃) ₂	H	200

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No.	R ¹	R ²	R ³	X	R ⁴	R ⁵	Y	R ¹⁶	Z	R ¹⁸	Physical data m.p. [°C]; 1H-NMR (δ in ppm)
3.107	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	CH ₃	⁺ NH ₂ (CH ₂ CH ₂ OH)	H	187
3.108	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	CH ₃	⁺ NH ₃ (CH ₂ CH ₂ OCH ₂ CH ₂ OH)	H	180
3.109	SCH ₃	SCH ₃	H	O	H	H	CH ₂	CH ₃	H	H	2.33(s); 2.51(s); 3.40(t); 3.70(s); 4.58(t); 5.15(brs); 7.21(s); 7.31(d); 7.42(d).
3.110	SCH ₃	SCH ₃	H	O	H	H	CH ₂	C ₂ H ₅	H	H	1.38(t); 2.33(s); 2.49(s); 3.41(t); 4.10(q); 4.58(t); 7.25(s); 7.32(d); 7.41(d); 7.82(brs).
3.111	SO ₂ CH ₃	SO ₂ CH ₃	H	O	H	H	CH ₂	CH ₃	H	H	Oil
3.112	SO ₂ CH ₃	SO ₂ CH ₃	H	O	H	H	CH ₂	C ₂ H ₅	H	H	Oil

a) Prepared from 2-chloro-3-(1'-chloro-2',2'-dimethylethylaminocarbonyl)-4-methylsulfonylbenzoyl chloride with two equivalents of potassium carbonate.

The syntheses of some starting materials are given below:

- 5 2-Chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl
chloride (compound 4.5)

Step a) 2-Chloro-3-methyl-4-methylthioacetophenone

- 10 A solution of 157 g (2 mol) of acetyl chloride in 420 ml
of 1,2-dichloroethane was added dropwise to a suspension
of 286 g (2.14 mol) of aluminum trichloride in 420 ml of
1,2-dichloroethane at 15-20°C. A solution of 346 g
(2 mol) of 2-chloro-6-methylthiotoluene in 1 l of
15 1,2-dichloroethane was subsequently added dropwise. After
the reaction mixture had been stirred for 12 hours, it
was poured into a mixture of 3 l of ice and 1 l of
concentrated HCl. The mixture was extracted with
methylene chloride, and the organic phase was washed with
20 water, dried with sodium sulfate and concentrated. The
residue was distilled in vacuo. This gave 256 g (60% of
theory) of 2-chloro-3-methyl-4-methylthioacetophenone.
(m.p.: 46°C)

- 25 Step b) 2-Chloro-3-methyl-4-methylsulfonylacetophenone

- 163.0 g (0.76 mol) of 2-chloro-3-methyl-4-
methylthioacetophenone were dissolved in 1.5 l of glacial
acetic acid, 18.6 g of sodium tungstate were added, and
30 173.3 g of a 30% strength hydrogen peroxide solution were
added dropwise with cooling. Stirring was continued for 2
days and the mixture was subsequently diluted with water.
The solid which had precipitated was filtered off with
suction, washed with water and dried. This gave 164.0 g
35 (88% of theory) of 2-chloro-3-methyl-4-methylsulfonyl-
acetophenone.
(m.p.: 110-111°C)

- 40 Step c) 2-Chloro-3-methyl-4-methylsulfonylbenzoic acid

- 82 g (0.33 mol) of 2-chloro-3-methyl-4-methyl-
sulfonylacetophenone were dissolved in 700 ml of dioxane,
and 1 l of a 12.5% strength sodium hypochlorite solution
45 was added at room temperature. Stirring was continued for
1 hour at 80°C. After cooling, two phases formed, of
which the bottom phase was diluted with water and

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acidified weakly. The solid which had precipitated was filtered off with suction, washed with water and dried. This gave 60 g (73% of theory) of 2-chloro-3-methyl-4-methylsulfonylbenzoic acid.

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(m.p.: 230-231°C)

Step d) Methyl 2-chloro-3-methyl-4-methylsulfonylbenzoate

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100 g (0.4 mol) of 2-chloro-3-methyl-4-methylsulfonylbenzoic acid were dissolved in 1 l of methanol and hydrogen chloride gas was passed in for 5 hours at reflux temperature. The mixture was subsequently concentrated. This gave 88.5 g (84% of theory) of methyl 2-chloro-3-methyl-4-methylsulfonylbenzoate.

15

(m.p.: 107-108°C)

Step e) Methyl 3-bromomethyl-2-chloro-4-methylsulfonylbenzoate

20

82 g (0.1 mol) of methyl 2-chloro-3-methyl-4-methylsulfonylbenzoate are dissolved in 2 l of tetrachloromethane, and 56 g (0.31 mol) of N-bromosuccinimide are added in portions with exposure to light. The reaction mixture was filtered, the filtrate was concentrated, and the residue was taken up in 200 ml of methyl tert-butyl ether. The solution was treated with petroleum ether and the solid which had precipitated was filtered off with suction and dried. This gave 74.5 g (70% of theory) of methyl 3-bromomethyl-2-chloro-4-methylsulfonylbenzoate.

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30

(m.p.: 74-75°C)

Step f) Methyl 2-chloro-3-formyl-4-methylsulfonylbenzoate

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A solution of 41.0 g (0.12 mol) of methyl 3-bromomethyl-2-chloro-4-methylsulfonylbenzoate in 250 ml of acetonitrile was treated with 42.1 g (0.36 mol) of N-methylmorpholine N-oxide. The batch was stirred for 12 hours at room temperature and subsequently concentrated, and the residue was taken up in ethyl acetate. The solution was extracted with water, dried with sodium sulfate and concentrated. This gave 31.2 g (94% of theory) of methyl 2-chloro-3-formyl-4-methylsulfonylbenzoate

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(m.p.: 98-105°C)

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Step g) 2-Chloro-3-hydroxyiminomethyl-4-methylsulfonylbenzoic acid

5 15.00 g (54 mmol) of methyl 2-chloro-3-formyl-4-methylsulfonylbenzoate and 4.20 g (60 mmol) of hydroxylamine hydrochloride were taken up in 300 ml of methanol, and a solution of 3.18 g (30 mmol) of sodium carbonate in 80 ml of water was added dropwise. After the mixture had been stirred for 12 hours at room temperature, the methanol was distilled off, the residue was diluted with water and the mixture was extracted with diethyl ether. After the organic phase had been dried, the solvent was removed. This gave 14.40 g (91% of theory) of methyl 2-chloro-3-hydroxyiminomethyl-4-methylsulfonylbenzoate. 15 (m.p.: 126-128°C).

Step h) Methyl 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate (compound 4.3)

20 Ethylene was passed for 30 minutes at 15-20°C into a solution of 158.0 g (0.54 mol) of methyl 2-chloro-3-hydroxyiminomethyl-4-methylsulfonylbenzoate and 1 l of dichloromethane. After 1.6 g of sodium acetate 25 had been added, 454 ml of sodium hypochlorite solution were added dropwise at 10°C while simultaneously passing in ethylene. Ethylene was subsequently passed in at 10°C for a further 15 minutes. After the mixture had been stirred for 12 hours, the phases were separated, and the organic phase was washed with water, dried and 30 concentrated. This gave 156.5 g (90% of theory) of methyl 2-chloro-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate. (1H NMR (δ in ppm): 3.24 (s); 3.42 (t); 3.99 (s); 4.60 35 (t); 7.96 (d); 8.10 (d)).

Step i) 2-Chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoic acid (compound 4.4)

40 A solution of 32.8 g of sodium hydroxide, dissolved in 330 ml of methanol, was slowly added dropwise to a mixture of 170.0 g (0.54 mol) of methyl 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate and 1 l of methanol at 40-45°C. The suspension was stirred for 45 5 hours at 50°C. After the solvent had been distilled off, the residue was taken up in 1.5 l of water, and the aqueous phase was extracted three times with ethyl

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acetate. The aqueous phase was acidified with hydrochloric acid and extracted three times with ethyl acetate. The combined organic phases were subsequently washed to neutrality with water, dried and concentrated. This gave 148.8 g (91% of theory) of 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoic acid. (¹H NMR (δ in ppm): 3.26 (s); 3.45 (t); 4.63 (t); 8.15 (s); 8.53 (s, br)).

Step j) 2-Chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl chloride (compound 4.5)

74.8 g (0.63 mol) of thionyl chloride in 50 ml of dry toluene were added dropwise at 50°C to a solution of 139.0 g of 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoic acid, 1 ml of dimethylformamide and 1 l of dry toluene. After the mixture had been heated for 6 hours at 110°C, the solvent was distilled off. This gave 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl chloride in quantitative yield. (¹H NMR (δ in ppm): 3.25 (s); 3.46 (t); 4.62 (t); 8.21 (dd)).

2-Chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl chloride (compound 4.39)

Step a) Methyl 2-chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate (compound 4.25)

Propene was passed for 30 minutes at room temperature into a solution of 15.0 g (52 mmol) of methyl 2-chloro-3-hydroxyiminomethyl-4-methylsulfonylbenzoate and 200 ml of dichloromethane. After 1.6 g of sodium acetate had been added, 42.8 ml of sodium hypochlorite solution were added dropwise at room temperature while simultaneously passing in propene. Propene was subsequently passed in for a further 15 minutes at room temperature. After the mixture had been refluxed for 3 hours, it was stirred for 12 hours at room temperature, propene was again passed in for 5 hours under reflux, and the mixture was stirred for a further 12 hours at room temperature. After the phases had been separated, the organic phase was washed with water, dried and concentrated. This gave 15.5 g (89% of theory) of methyl 2-chloro-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methyl-

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sulfonylbenzoate.
(m.p.: 130-135°C).

- 5 Step b) 2-Chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methyl-sulfonylbenzoic acid (compound 4.26)

10 A solution of 3.52 g (88 mmol) of sodium hydroxide, dissolved in 100 ml of methanol, was slowly added dropwise to a mixture of 15.00 g (45 mmol) of methyl 2-chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methyl-sulfonylbenzoate and 200 ml of methanol. The suspension was stirred for 48 hours at room temperature. After the solvent had been distilled off, the residue was taken up in water, and the aqueous phase was washed three times with ethyl acetate. The aqueous phase was acidified with hydrochloric acid and extracted three times with ethyl acetate. The combined organic phases were subsequently washed to neutrality with water, dried and concentrated. This gave 13.20 g (92% of theory) of 2-chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoic acid. (m.p.: 173-178°C).

- 25 Step c) 2-Chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methyl-sulfonylbenzoyl chloride (compound 4.39)

30 5.7 g (51 mmol) of thionyl chloride were added dropwise at room temperature to a solution of 13.0 g (41 mmol) of 2-chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methyl-sulfonylbenzoic acid, 1 ml of dimethylformamide and 250 ml of dry toluene. The mixture was subsequently refluxed until the reaction was complete. After cooling, the solvent was distilled off. This gave 14.2 g of 35 2-chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methyl-benzoyl chloride in quantitative yield.

2-Chloro-3-(1'-chloro-2',2'-dimethylethylaminocarbonyl)-4-methyl-sulfonylbenzoyl chloride
40

- Step a) Methyl 2-chloro-3-hydroxycarbonyl-4-methyl-sulfonylbenzoate

45 13.8 g (0.11 mol) of sodium hydrogen phosphate monohydrate in 170 ml of water, 49.3 g (0.43 mol) of 30% strength hydrogen peroxide solution and 66.2 g (0.59 mol)

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of 80% strength aqueous sodium chlorite solution were added in succession at 5°C to a solution of 115.3 g (0.42 mol) of methyl 2-chloro-3-formyl-4-methylsulfonylbenzoate in 2000 ml of acetonitrile. The reaction solution was subsequently stirred for 1 hour at 5°C and for 12 hours at room temperature. The pH was then brought to 1 with 10% strength hydrochloric acid, and 1500 ml of aqueous 40% strength sodium hydrogen sulfite solution were added. After the mixture had been stirred for 1 hour at room temperature, the aqueous phase was extracted three times with ethyl acetate. The combined organic phases were washed with sodium hydrogen sulfite solution and dried. After the solvent had been distilled off, 102.0 g of methyl 2-chloro-3-hydroxycarbonyl-4-methylsulfonylbenzoate were obtained. (¹H NMR (δ in ppm): 3.34 (s); 3.93 (s); 8.08 (s); 14.50 (s, br.).)

Step b) Methyl 2-chloro-3-chlorocarbonyl-4-methylsulfonylbenzoate

2 drops of dimethylformamide and 11.9 g (0.1 mol) of thionyl chloride were added to a solution of 6.0 g (0.021 mol) of methyl 2-chloro-3-hydroxycarbonyl-4-methylsulfonylbenzoate and 50 ml of dry toluene. The solution was refluxed for 4 hours. After the solvent had been removed in vacuo, 6.2 g of methyl 2-chloro-3-chlorocarbonyl-4-methylsulfonylbenzoate were obtained. (¹H NMR (δ in ppm): 3.21 (s); 4.02 (s); 8.02 (d); 8.07 (d).)

Step c) Methyl 2-chloro-3-(1'-hydroxy-2',2'-dimethylethylaminocarbonyl)-4-methylsulfonylbenzoate

A solution of 7.80 g (25 mmol) of methyl 2-chloro-3-chlorocarbonyl-4-methylsulfonylbenzoate was added dropwise at 0-5°C to a solution of 4.54 g (50 mmol) of 2,2-dimethylethanolamine in 40 ml of dichloromethane. After the reaction solution had been stirred for 6 hours at room temperature, it was extracted three times with water, dried and concentrated. This gave 8.20 g (80% of theory) of methyl 2-chloro-3-(1'-hydroxy-2',2'-dimethylethylaminocarbonyl)-4-methylsulfonylbenzoate. (m.p.: 70-72°C).

Step d) Methyl 2-chloro-3-(1'-chloro-2',2'-dimethylethylaminocarbonyl)-4-methylsulfonylbenzoate

5 A mixture of 6.9 g (20 mmol) of methyl
2-chloro-3-(1'-hydroxy-2',2'-dimethylethylamino-
carbonyl)-4-methylsulfonylbenzoate and 5 ml of thionyl
chloride was stirred for 6 hours at room temperature. The
10 solution was diluted with 50 ml of dichloromethane and
subsequently concentrated. The residue was dissolved in
20 ml of dichloromethane. The addition of cyclohexane
resulted in a crystalline precipitate which was filtered
off with suction and dried. This gave 6.4 g (88% of
theory) of methyl 2-chloro-3-(1'-chloro-2',2'-
dimethylethylaminocarbonyl)-4-methylsulfonylbenzoate.

15 Step e) 2-Chloro-3-(4',4'-dimethyl-4',5'-dihydroxazol-2-yl)-4-
methylsulfonylbenzoic acid (compound 4.38)

20 A solution of 5.82 g (15 mmol) of methyl
2-chloro-3-(1'-chloro-2',2'-dimethylethyl-
aminocarbonyl)-4-methylsulfonylbenzoate and 0.81 g
(20 mmol) of sodium hydroxide in 80 ml of methanol was
stirred for 8 hours at room temperature. After the solvent
25 had been distilled off, the residue was taken up in water
and the mixture was washed three times with ethyl
acetate. The aqueous phase was acidified with
hydrochloric acid and extracted three times with ethyl
acetate. After the organic phase had been dried, the
30 solvent was removed in vacuo. This gave 3.10 g (56% of
theory) of 2-chloro-3-(4',4'-dimethyl-4',5'-
dihydroxazol-2-yl)-4-methylsulfonylbenzoic acid.
(¹H NMR (δ in ppm): 1.34 (s); 3.40 (s); 4.13 (s); 8.07
(s); 13.95 (s, br)).

35 Step f) 2-Chloro-3-(1'-chloro-2',2'-dimethylethylaminocarbonyl)-
4-methylsulfonylbenzoyl chloride.

40 A solution of 3.00 g (9 mmol) of 2-chloro-3-(4',4'-
dimethyl-4',5'-dihydroxazol-2-yl)-4-methylsulfonyl-
benzoic acid, 1.43 g of thionyl chloride and 1 drop of
dimethylformamide in 80 ml of dry toluene was refluxed
for 3 hours. After cooling, the solvent was distilled off
in vacuo. This gave 3.43 g (86% of theory) of
45 2-chloro-3-(1'-chloro-2',2'-dimethylethylaminocarbonyl)-
4-methylsulfonylbenzoyl chloride.

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Methyl 2-chloro-3-(1,3,4-oxathiazolin-2-on-5-yl)-4-methylsulfonylbenzoate (compound 4.22)

5 Step a) Methyl 3-aminocarbonyl-2-chloro-4-methylsulfonylbenzoate

10 Ammonia was passed for 2 hours into a solution of 15.0 g (48 mmol) of methyl 2-chloro-3-chlorocarbonyl-4-methylsulfonylbenzoate and 300 ml of dry dioxane. The precipitate formed was filtered off with suction and the filtrate was concentrated. This gave 15.2 g of methyl 3-aminocarbonyl-2-chloro-4-methylsulfonylbenzoate in quantitative yield.

15 Step b) Methyl 2-chloro-3-(1,3,4-oxathiazolin-2-on-5-yl)-4-methylsulfonylbenzoate

20 9.80 g (75 mmol) of chlorocarbonylsulfonyl chloride were added dropwise to a solution of 4.37 g (15 mmol) of methyl 3-aminocarbonyl-2-chloro-4-methylsulfonylbenzoate in 150 ml of dry toluene. After the mixture had been stirred for 48 hours under reflux, the solvent was removed in vacuo and the residue was chromatographed on silica gel (eluent: ethyl acetate/cyclohexane = 1/1).
25 This gave 3.70 g (70% of theory) of methyl 2-chloro-3-(1,3,4-oxathiazolin-2-on-5-yl)-4-methylsulfonylbenzoate.

Methyl 2-chloro-4-methylsulfonyl-3-(4,5-dihydrooxazol-3-yl)-benzoate (compound 4.41)

30 At room temperature, 41.8 g (0.41 mol) of triethylamine and then 31.1 g (0.10 mol) of methyl 2-chloro-3-chlorocarbonyl-4-methylsulfonylbenzoate in 150 ml of toluene were added dropwise to 26.6 g (0.13 mol) of 1-amino-2-bromoethane hydrobromide in
35 500 ml of toluene. The mixture was heated under reflux for 5 hours and then stirred at room temperature for 12 hours, another 5.0 g (0.02 mol) of 1-amino-2-bromoethane hydrobromide were added and the mixture was heated under reflux for 7.5 hours. The reaction mixture was allowed to cool, diluted with ethyl
40 acetate, washed with water, dried and concentrated. The residue was then recrystallized from methyl tert-butyl ether/ethyl acetate. 14.5 g (46% of theory) of methyl 2-chloro-4-methylsulfonyl-3-(4,5-dihydrooxazol-2-yl)benzoate were obtained.

45 2-Chloro-3-(5-methoxy-5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoic acid (compound 4.60)

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Step a) Methyl 2-chloro-3-(5-methoxy-5-methyl-4,5-dihydro-isoxazol-3-yl)-4-methylsulfonylbenzoate

5 7.3 g (102 mmol) of 2-methoxy-1-propene, 28 ml of sodium hypochlorite solution (12.5% strength) and a spatula-tip of sodium acetate were added successively to 10.0 g (34 mmol) of methyl 2-chloro-3-(hydroxyiminomethyl)-4-methylsulfonylbenzoate in 200 ml of methylene chloride. The mixture was stirred at room temperature for 12 hours, 10 the solvent was removed and the residue was taken up in ethyl acetate, washed with water, dried and concentrated. The residue was chromatographed over silica gel (eluent: cyclohexane:ethyl acetate = 3:2). This gave 5.8 g (47% of theory) of methyl 2-chloro-3-(5-methoxy-5-methyl-15 4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate. (mp.: 100-105°C)

Step b) 2-Chloro-3-(5-methoxy-5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate

20 At reflux temperature, 5.5 g (15.0 mmol) of methyl 2-chloro-3-(5-methoxy-5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate in 100 ml of pyridine were added dropwise to 5.0 g (37.5 mmol) of lithium iodide in 200 ml 25 of pyridine. The mixture was stirred at this temperature for 4 hours and then cooled, the solvent was distilled off and the residue was taken up in toluene and reconcentrated. The residue was subsequently admixed with water and washed with methylene chloride, and the pH was 30 adjusted to 1 using hydrochloric acid. The aqueous phase was extracted with methylene chloride and the resulting organic phase was dried and concentrated. This gave 4.7 g (90% of theory) of 2-chloro-(5-methoxy-5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate. 35 (mp.: 40-45°C)

Methyl 2-chloro-3-(2-methyl-2H-1,3,4-dioxazol-5-yl)-4-methylsulfonylbenzoate (compound 4.44)

40 8.0 g (27.4 mmol) of methyl 2-chloro-3-(hydroxyiminomethyl)-4-methylsulfonylbenzoate in 150 ml of methylene chloride were admixed dropwise with 16.0 g (27.4 mmol) of a 12.5% strength sodium hypochlorite solution, and a spatula-tip of sodium acetate was added. After 1 hour, 34.4 g (0.74 mol) of acetaldehyde were 45 added a little at a time within a period of 36 hours, and the mixture was slowly heated to 55°C. The mixture was subsequently stirred at room temperature for 48 hours, washed with water,

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dried and concentrated. The residue was then taken up in methylene chloride, 10.0 g (0.23 mol) of acetaldehyde and a spatula-tip of sodium acetate were added and the mixture was heated under reflux for 8 hours. After 72 hours, a further 10.0 g (0.23 mol) of acetaldehyde were added and the mixture was stirred at room temperature. The mixture was subsequently washed with water, dried and concentrated. The residue was passed through silica gel (eluent: isopropanol:cyclohexane = 1:9). This gave 5.0 g (55% of theory) of methyl 2-chloro-3-(2-methyl-2H-1,3,4-dioxazol-5-yl)-4-methylsulfonylbenzoate.

Table 4 which follows lists the compounds which have been described above and also further benzoic acid derivatives of the formula III which were prepared, or can be prepared, by a similar method.

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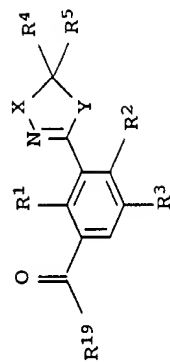
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Table 4:



III

No.	R ¹	R ²	R ³	X	R ⁴	R ⁵	Y	R ¹⁹	Physical data m.p. [°C]; ¹ H NMR [δ in ppm]
4.1	Cl	Cl	H	O	H	H	CH ₂	OCH ₃	3.29 (t); 3.91 (s); 4.58 (t); 7.46 (d); 7.83 (d).
4.2	Cl	Cl	H	O	H	H	CH ₂	OH	3.28 (t); 4.60 (t); 7.02 (s, br); 7.46 (d); 7.98 (d).
4.3	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	OCH ₃	3.24 (s); 3.42 (t); 3.99 (s); 4.60 (t); 7.96 (d); 8.10 (d).
4.4	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	OH	3.26 (s); 3.45 (t); 4.63 (t); 8.15 (s); 8.53 (s, br).
4.5	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	Cl	3.25 (s); 3.46 (t); 4.62 (t); 8.21 (dd).
4.6	Cl	Cl	H	C(CH ₃) ₂	H	H	O	OH	1.31 (s); 4.16 (s); 7.69 (d); 7.90 (d); 13.8 (s, br).

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No.	R ¹	R ²	R ³	X	R ⁴	R ⁵	Y	R ¹⁹	Physical data m.p. [°C]; ¹ H NMR [δ in ppm]
4.7	Cl	SO ₂ C ₂ H ₅	H	O	CH ₃	CH ₃	CH ₂	OCH ₃	1.25 (t); 1.57 (s); 3.21 (s); 3.42 (q); 3.99 (s); 7.94 (d); 8.07 (d).
4.8	Cl	SO ₂ C ₂ H ₅	H	O	CH ₃	CH ₃	CH ₂	OH	1.13 (t); 1.47 (s); 3.15 (s); 3.43 (q); 8.06 (s); 13.8 (s, br).
4.9	Cl	SO ₂ C ₂ H ₅	H	O	H	H	CH ₂	OCH ₃	1.28 (t); 3.41 (m); 4.02 (s); 4.62 (t); 7.95 (d); 8.06 (d).
4.10	Cl	SO ₂ C ₂ H ₅	H	O	H	H	CH ₂	OH	137-140
4.11	Cl	SO ₂ C ₂ H ₅	H	O	CH ₃	H	CH ₂	OCH ₃	1.26 (t); 1.53 (d); 3.06 (dd); 3.42 (q); 3.49 (dd); 5.05 (m); 7.95 (d); 8.07 (d).
4.12	Cl	SO ₂ C ₂ H ₅	H	O	CH ₃	H	CH ₂	OH	140-143
4.13	Cl	SO ₂ CH ₃	H	CH ₂	H	H	O	OCH ₃	3.30 (s); 3.98 (s); 4.11 (t); 4.55 (t); 7.97 (d); 8.08 (d).
4.14	Cl	SO ₂ CH ₃	H	CH ₂	H	H	O	OH	3.38 (s); 4.00 (t); 4.46 (t); 8.08 (s).
4.15	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	OH	3.30 (s); 3.35 (t); 4.15 (s, br); 4.50 (t); 8.05 (s).
4.16	Cl	SO ₂ -n-C ₃ H ₇	H	O	CH ₃	CH ₃	CH ₂	OCH ₃	0.95 (t); 1.47 (s); 1.58 (quin); 3.12 (s); 3.31 (s); 3.43 (t); 3.93 (s); 8.09 (dd).
4.17	Cl	SO ₂ -n-C ₃ H ₇	H	O	CH ₃	CH ₃	CH ₂	OH	0.93 (t); 1.47 (s); 1.58 (quin); 3.15 (s); 3.42 (t); 8.05 (s).

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No.	R1	R2	R3	X	R4	R5	Y	R19	Physical data m.p. [°C]; 1H NMR [δ in ppm]
4.18	Cl	SO ₂ -n-C ₃ H ₇	H	O	H	H	CH ₂	OCH ₃	0.92 (t); 1.55 (quin); 3.39 (m); 3.93 (s); 4.50 (t); 8.08 (dd).
4.19	Cl	SO ₂ -n-C ₃ H ₇	H	O	H	H	CH ₂	OH	148-150
4.20	Cl	SO ₂ -n-C ₃ H ₇	H	O	CH ₃	H	CH ₂	OCH ₃	0.93 (t); 1.49 (d); 1.58 (quin); 2.94 (dd); 3.42 (m); 3.93 (s); 4.97 (m); 8.10 (dd).
4.21	Cl	SO ₂ -n-C ₃ H ₇	H	O	CH ₃	H	CH ₂	OH	0.94 (t); 1.39 (d); 1.58 (quin); 2.96 (dd); 3.50 (m); 4.95 (m); 8.05 (s).
4.22	Cl	SO ₂ CH ₃	H	S	=O		O	OCH ₃	3.24 (s); 4.02 (s); 8.14 (dd).
4.23	Cl	SO ₂ CH ₃	H	O	COOC ₂ H ₅	H	CH ₂	OCH ₃	118-121
4.24	Cl	SO ₂ CH ₃	H	O	COOC ₂ H ₅	H	CH ₂	OH	
4.25	Cl	SO ₂ CH ₃	H	O	CH ₃	H	CH ₂	OCH ₃	130-135
4.26	Cl	SO ₂ CH ₃	H	O	CH ₃	H	CH ₂	OH	173-178
4.27	Cl	SO ₂ CH ₃	H	O	CH ₃	CH ₃	CH ₂	OCH ₃	1.57 (s); 3.18 (s); 3.27 (s); 4.01 (s); 7.97 (d); 8.12 (d).
4.28	Cl	SO ₂ CH ₃	H	O	CH ₃	CH ₃	CH ₂	OH	1.48 (s); 3.15 (s); 3.34 (s); 8.08 (dd).
4.29	Cl	SO ₂ CH ₃	H	O	C ₂ H ₅	H	CH ₂	OCH ₃	0.97 (t); 1.72 (m); 3.10 (dd); 3.32 (s); 3.37 (dd); 4.72 (m); 8.08 (dd).

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No.	R ¹	R ²	R ³	X	R ⁴	R ⁵	Y	R ¹⁹	Physical data m.p. [°C]; ¹ H NMR [δ in ppm]
4.30	Cl	SO ₂ CH ₃	H	O	H	-(CH ₂) ₃ -CH-		OCH ₃	1.57 (m); 1.81 (m); 2.21 (m); 3.20 (s); 4.02 (s); 4.32 (t); 5.35 (dd); 7.92 (d); 8.18 (d).
4.31	Cl	SO ₂ CH ₃	H	O	H	-(CH ₂) ₃ -CH-		OH	1.72 (m); 2.01 (m); 3.27 (s); 4.24 (t); 5.23 (dd); 8.05 (d); 8.15 (d); 13.8 (s, br).
4.32	Cl	SO ₂ CH ₃	H	O	-(CH ₂) ₂ -O-(CH ₂) ₂ -		CH ₂	OCH ₃	2.00 (m); 3.23 (s); 3.27 (s); 3.72 (m); 4.00 (s); 7.96 (d); 8.04 (d).
4.33	Cl	SO ₂ CH ₃	H	O	-(CH ₂) ₂ -O-(CH ₂) ₂ -		CH ₂	OH	78-83
4.34	Cl	SO ₂ CH ₃	H	O	-(CH ₂) ₄ -		CH ₂	OCH ₃	1.78 (m); 2.24 (m); 3.27 (s); 3.36 (s); 3.98 (s); 7.94 (d); 8.12 (d).
4.35	Cl	SO ₂ CH ₃	H	O	-(CH ₂) ₄ -		CH ₂	OH	1.76 (m); 2.05 (m); 3.30 (s); 3.33 (s); 8.09 (dd).
4.36	Cl	SO ₂ CH ₃	H	O	C ₂ H ₅	C ₂ H ₅	CH ₂	OCH ₃	1.00 (t); 1.85 (m); 3.13 (s); 3.27 (s); 3.98 (s); 7.94 (d); 8.11 (d).
4.37	Cl	SO ₂ CH ₃	H	O	C ₂ H ₅	C ₂ H ₅	CH ₂	OH	0.91 (t); 1.76 (m); 3.12 (s); 3.33 (s); 8.07 (dd); 13.75 (s, br).
4.38	Cl	SO ₂ CH ₃	H	C(CH ₃) ₂	H	H	O	OH	1.34 (s); 3.40 (s); 4.13 (s); 8.07 (s); 13.95 (s, br).
4.39	Cl	SO ₂ CH ₃	H	O	CH ₃	H	CH ₂	Cl	

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No.	R ¹	R ²	R ³	X	R ⁴	R ⁵	Y	R ¹⁹	Physical Data m.p. [°C]; 1H-NMR [δ in ppm]
4.40	Cl	SO ₂ CH ₃	H	CH ₂	H	H	O	OH	> 260
4.41	Cl	SO ₂ CH ₃	H	CH ₂	H	H	O	OCH ₃	3.29 (3H); 3.96 (3H); 4.12 (2H); 4.55 (2H); 7.98 (1H); 8.09 (1H).
4.42	Cl	SCH ₃	H	O	H	H	CH ₂	OCH ₃	202-203
4.43	Cl	SO ₂ CH ₃	H	O	COOMe	H	CHCO ₂ CH ₃	OCH ₃	1.05 (3H); 1.35 (3H); 3.19 (3H); 4.01 (3H); 4.09 (2H); 4.35 (2H); 5.06 (1H); 5.77 (1H); 8.08 (1H); 8.17 (1H).
4.44	Cl	SO ₂ CH ₃	H	O	CH ₃	H	O	OCH ₃	1.78 (3H); 3.30 (3H); 3.98 (3H); 6.40 (1H); 8.08 (1H); 8.15 (1H).
4.45	Cl	SO ₂ CH ₃	H	O	CHO	H	CHCH ₃	OCH ₃	80-85
4.46	Cl	SO ₂ CH ₃	H	O	CH ₃	H	CHCH ₂ Cl	OCH ₃	1.65 (3H); 3.27 (3H); 3.50 (2H); 4.00 (3H); 4.22 (1H); 4.88/5.08 (1H); 7.99 (1H); 8.12 (1H).
4.47	Cl	SO ₂ CH ₃	H	O	CH ₃	H	CHCH ₂ Cl	OH	100-105
4.48	Cl	SO ₂ CH ₃	H	O	CHO	H	CHCH ₃	OH	180-185
4.49	Cl	SO ₂ CH ₃	H	O	SC ₂ H ₅	H	CH ₂	OCH ₃	1.30 (3H); 2.75 (2H); 3.25 (1H); 3.34 (3H); 3.78 (1H); 3.94 (3H); 6.22 (1H); 8.15 (2H).
4.50	Cl	SO ₂ CH ₃	H	O	SC ₂ H ₅	H	CH ₂	OH	65-67
4.51	Cl	SO ₂ CH ₃	H	O	CH ₃	H	CHCH ₃	OCH ₃	1.01 (3H); 1.28 (3H); 3.33 (4H); 3.96 (3H); 4.98 (1H); 8.12 (1H); 8.20 (1H).

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No.	R ¹	R ²	R ³	X	R ⁴	R ⁵	Y	R ¹⁹	Physical Data m.p. [°C] ; 1H-NMR [δ in ppm]
4.52	Cl	SO ₂ CH ₃	H	O	CH ₃	H	CHCH ₃	OH	68-75
4.53	Cl	SO ₂ CH ₃	H	O	OCOCH ₃	H	CH ₂	OCH ₃	105-110
4.54	Cl	SO ₂ CH ₃	H	O	H	H	CH ₂	OH	
4.55	Cl	SO ₂ CH ₃	H	O	OCOCH ₃	H	CH ₂	OH	45-50
4.56	Cl	SO ₂ CH ₃	H	O	OCH ₃	H	CH ₂	OH	60-65
4.57	Cl	SO ₂ CH ₃	H	O	CHCl(CH ₃)	H	CH ₂	OCH ₃	1.63 (3H) ; 3.23 (3H) ; 3.50 (2H) ; 3.99 (3H) ; 4.25 (1H) ; 4.83/5.03 (1H) ; 7.96 (1H) ; 8.13 (1H) .
4.58	Cl	SO ₂ CH ₃	H	O	CHCl(CH ₃)	H	CH ₂	OH	1.56 (3H) ; 3.33 (3H) ; 3.43 (2H) ; 4.36 (1H) ; 4.93 (1H) ; 8.10 (2H) .
4.59	Cl	SO ₂ CH ₃	H	O	CH ₃	OCH ₃	CH ₂	OCH ₃	100-105
4.60	Cl	SO ₂ CH ₃	H	O	CH ₃	OCH ₃	CH ₂	OH	40-45
4.61	Cl	SO ₂ CH ₃	H	O	CF ₃	OCOCH ₃	CH ₂	OCH ₃	60-65
4.62	Cl	SCH ₃	H	O	H	H	CH ₂	OH	
4.63	Cl	SO ₂ Me	H	O	COCH ₃	H	CH ₂	OCH ₃	2.36 (3H) ; 3.25 (3H) ; 3.66 (2H) ; 4.01 (3H) ; 5.20 (1H) ; 8.01 (1H) ; 8.12 (1H) .
4.64	Cl	SO ₂ CH ₃	H	O	CF ₃	H	CH ₂	OCH ₃	156
4.65	Cl	SO ₂ CH ₃	H	O	CF ₃	H	CH ₂	OH	170
4.66	Cl	SO ₂ CH ₃	H	O	F	F	CH ₂	OCH ₃	
4.67	Cl	SO ₂ CH ₃	H	O	F	F	CH ₂	OH	
4.68	Cl	SO ₂ CH ₃	H	O	F	H	CH ₂	OCH ₃	142-143

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No.	R ¹	R ²	R ³	X	R ⁴	R ⁵	Y	R ¹⁹	Physical Data m.p. [°C]; ¹ H-NMR [δ in ppm]
4.69	Cl	SO ₂ CH ₃	H	O	F	H	CH ₂	OH	
4.70	Cl	SO ₂ CH ₃	H	O	CH ₂ Cl	H	CH ₂	OCH ₃	107-110
4.71	Cl	SO ₂ CH ₃	H	O	CH ₂ Cl	H	CH ₂	OH	60-65
4.72	Cl	SO ₂ CH ₃	H	O	OCH ₃	H	CH ₂	OCH ₃	105-110
4.73	Cl	SO ₂ CH ₃	H	O	OC ₂ H ₅	H	CH ₂	OCH ₃	155-160
4.74	Cl	SO ₂ CH ₃	H	CH ₂	H	H	S	OCH ₃	
4.75	CH ₃	H	H	C=O	H	H	S	OCH ₃	112-120
4.76	Cl	SO ₂ CH ₃	H	O	CF ₃	OH	CH ₂	OH	3.38 (s); 3.56 (d); 3.79 (d); 8.16 (s); 8.67 (s, br).
4.77	Cl	SO ₂ CH ₃	H	O	O-t-C ₄ H ₉	H	CH ₂	OCH ₃	130-135
4.78	Cl	SO ₂ CH ₃	H	O	O-t-C ₄ H ₉	H	CH ₂	OH	1.25 (s); 3.05 (dd); 3.34 (s); 3.45 (dd); 6.17 (m); 8.08 (s).
4.79	Cl	SO ₂ CH ₃	H	O	CH ₃	H	CHCH ₃	OCH ₃	1.01 (d); 1.28 (d); 3.35 (m); 3.96 (s); 4.99 (m); 8.12 (d); 8.20 (d).
4.80	Cl	SO ₂ CH ₃	H	O	CH ₃	H	CHCH ₃	OH	68-75
4.81	Cl	SO ₂ CH ₃	H	O	SC ₂ H ₅	H	CH ₂	OCH ₃	1.30 (t); 2.77 (q); 3.25 (dd); 3.34 (s); 3.78 (dd); 3.94 (s); 6.22 (m), 8.24 (s).
4.82	Cl	SO ₂ CH ₃	H	O	SC ₂ H ₅	H	CH ₂	OH	65-67
4.83	SCH ₃	SCH ₃	H	O	H	H	CH ₂	OCH ₂ CH ₃	1.28 (t); 2.30 (s); 2.46 (s); 3.28 (t); 4.31 (q); 4.45 (t); 7.42 (d); 7.68 (d).

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No.	R ¹	R ²	R ³	X	R ⁴	R ⁵	Y	R ¹⁹	Physical Data m.p. [°C]; ¹ H-NMR [δ in ppm]
4.84	SCH ₃	SCH ₃	H	O	H	H	CH ₂	OH	2.32 (s); 2.48 (s); 3.28 (t); 4.42 (t); 7.48 (d); 7.64 (d); 13.2 (s).
4.85	SO ₂ CH ₃	SO ₂ CH ₃	H	O	H	H	CH ₂	OH	3.25 (s); 3.35 (s); 3.44 (t); 8.05 (d); 8.45 (d).

The 3-heterocyclyl-substituted benzoyl derivatives of the formula I and their agriculturally useful salts are suitable as herbicides, both in the form of isomer mixtures and in the form of the pure isomers. The herbicidal compositions comprising compounds of the formula I effect very good control of vegetation on non-crop areas, especially at high rates of application. In crops such as wheat, rice, maize, soybeans and cotton they act against broad-leaved weeds and grass weeds without damaging the crop plants substantially. This effect is observed especially at low rates of application.

Depending on the application method in question, the compounds of the formula I, or herbicidal compositions comprising them, can additionally be employed in a further number of crop plants for eliminating undesirable plants. Examples of suitable crops are the following:

- 20 *Allium cepa*, *Ananas comosus*, *Arachis hypogaea*, *Asparagus officinalis*, *Beta vulgaris* spec. altissima, *Beta vulgaris* spec. rapa, *Brassica napus* var. napus, *Brassica napus* var. napobrassica, *Brassica rapa* var. silvestris, *Camellia sinensis*, *Carthamus tinctorius*, *Carya illinoensis*, *Citrus limon*, *Citrus sinensis*, *Coffea arabica* (*Coffea canephora*, *Coffea liberica*),
- 25 *Cucumis sativus*, *Cynodon dactylon*, *Daucus carota*, *Elaeis guineensis*, *Fragaria vesca*, *Glycine max*, *Gossypium hirsutum*, (*Gossypium arboreum*, *Gossypium herbaceum*, *Gossypium vitifolium*), *Helianthus annuus*, *Hevea brasiliensis*, *Hordeum vulgare*, *Humulus lupulus*, *Ipomoea batatas*, *Juglans regia*, *Lens culinaris*, *Linum usitatissimum*, *Lycopersicon lycopersicum*, *Malus* spec., *Manihot esculenta*, *Medicago sativa*, *Musa* spec., *Nicotiana tabacum* (*N. rustica*), *Olea europaea*, *Oryza sativa*, *Phaseolus lunatus*, *Phaseolus vulgaris*, *Picea abies*, *Pinus* spec., *Pisum sativum*,
- 30 *Prunus avium*, *Prunus persica*, *Pyrus communis*, *Ribes sylvestre*, *Ricinus communis*, *Saccharum officinarum*, *Secale cereale*, *Solanum tuberosum*, *Sorghum bicolor* (s. vulgare), *Theobroma cacao*, *Trifolium pratense*, *Triticum aestivum*, *Triticum durum*, *Vicia faba*, *Vitis vinifera* and *Zea mays*.

40 Moreover, the compounds of the formula I can also be used in crops which tolerate the action of herbicides due to breeding including genetic engineering methods.

45 The compounds of the formula I, or the herbicidal compositions comprising them, can be employed, for example, in the form of directly sprayable aqueous solutions, powders, suspensions, also

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highly-concentrated aqueous, oily or other suspensions or dispersions, emulsions, oil dispersions, pastes, dusts, materials for spreading or granules, by means of spraying, atomizing, dusting, spreading or pouring. The use forms depend on the intended purposes; in any case, they should guarantee the finest possible distribution of the active ingredients according to the invention.

The herbicidal compositions comprise a herbicidally active amount of at least one compound of the formula I or of an agriculturally useful salt of I and auxiliaries conventionally used for the formulation of crop protection products.

Suitable inert auxiliaries are essentially:
 mineral oil fractions of medium to high boiling point such as kerosene and diesel oil, furthermore coal tar oils and oils of vegetable or animal origin, aliphatic, cyclic and aromatic hydrocarbons, eg. paraffins, tetrahydronaphthalene, alkylated naphthalenes and their derivatives, alkylated benzenes and their derivatives, alcohols such as methanol, ethanol, propanol, butanol and cyclohexanol, ketones such as cyclohexanone, strongly polar solvents, eg. amines such as N-methylpyrrolidone and water.

Aqueous use forms can be prepared from emulsion concentrates, suspensions, pastes, wettable powders or water-dispersible granules by adding water. To prepare emulsions, pastes or oil dispersions, the substances, as such or dissolved in an oil or solvent, can be homogenized in water by means of wetting agent, tackifier, dispersant or emulsifier. However, it is also possible to prepare concentrates composed of active substance, wetting agent, tackifier, dispersant or emulsifier and, if appropriate, solvent or oil, and these concentrates are suitable for dilution with water.

Suitable surfactants (adjuvants) are the alkali metal, alkaline earth metal and ammonium salts of aromatic sulfonic acids, eg. ligno-, phenol-, naphthalene- and dibutylnaphthalenesulfonic acid, and of fatty acids, of alkyl- and alkylaryl sulfonates, of alkyl sulfates, lauryl ether sulfates and fatty alcohol sulfates, and salts of sulfated hexa-, hepta- and octadecanols, and of fatty alcohol glycol ether, condensates of sulfonated naphthalene and its derivatives with formaldehyde, condensates of naphthalene, or of the naphthalenesulfonic acids, with phenol and formaldehyde, polyoxyethylene octylphenyl ether, ethoxylated isooctyl-, octyl- or nonylphenol, alkylphenyl, tributylphenyl polyglycol ether, alkylaryl polyether alcohols, isotridecyl

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alcohol, fatty alcohol ethylene oxide condensates, ethoxylated castor oil, polyoxyethylene alkyl ethers or polyoxypropylene alkyl ethers, lauryl alcohol polyglycol ether acetate, sorbitol esters, lignin-sulfite waste liquors or methylcellulose.

5

Powders, materials for spreading and dusts can be prepared by mixing or concomitantly grinding the active substances with a solid carrier.

10

Granules, eg. coated granules, impregnated granules and homogeneous granules, can be prepared by binding the active ingredients to solid carriers. Solid carriers are mineral earths such as silicas, silica gels, silicates, talc, kaolin, limestone,

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lime, chalk, bolus, loess, clay, dolomite, diatomaceous earth, calcium sulfate, magnesium sulfate, magnesium oxide, ground synthetic material, fertilizers such as ammonium sulfate, ammonium phosphate, ammonium nitrate, ureas and products of vegetable origin such as cereal meal, tree bark meal, wood meal and nutshell meal, cellulose powders or other solid carriers.

20

The concentrations of the compounds of the formula I in the ready-to-use products can be varied within wide ranges. In general, the formulations comprise approximately from 0.001 to 98% by weight, preferably 0.01 to 95% by weight, of at least one active ingredient. The active ingredients are employed in a purity of from 90% to 100%, preferably 95% to 100% (according to NMR spectrum).

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30 The formulation examples below illustrate the preparation of such products:

I. 20 parts by weight of the compound No. 3.2 are dissolved in a mixture composed of 80 parts by weight of alkylated benzene, 10 parts by weight of the adduct of 8 to 10 mol of ethylene oxide and 1 mol of oleic acid N-monoethanolamide, 5 parts by weight of calcium dodecylbenzenesulfonate and 5 parts by weight of the adduct of 40 mol of ethylene oxide and 1 mol of castor oil. Pouring the solution into 100,000 parts by weight of water and finely distributing it therein gives an aqueous dispersion which comprises 0.02% by weight of the active ingredient.

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II. 20 parts by weight of the compound No. 3.9 are dissolved in a mixture composed of 40 parts by weight of cyclohexanone, 30 parts by weight of isobutanol, 20 parts by weight of the adduct of 7 mol of ethylene oxide and 1 mol of

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sulfonamides, sulfonylureas, triazines, triazinones, triazolinones, triazolcarboxamides and uracils.

- Moreover, it may be advantageous to apply the compounds of the formula I, alone or in combination with other herbicides, in the form of a mixture with additional other crop protection agents, for example with pesticides or agents for controlling phytopathogenic fungi or bacteria. Also of interest is the miscibility with mineral salt solutions which are employed for treating nutritional and trace element deficiencies. Non-phytotoxic oils and oil concentrates can also be added.

Use Examples

- The herbicidal action of 3-heterocyclyl-substituted benzoyl derivatives of the formula I was demonstrated by the following greenhouse experiments:
- The culture containers used were plastic flowerpots containing loamy sand with approximately 3.0% of humus as substrate. The seeds of the test plants were sown separately for each species.
- For the pre-emergence treatment, the active ingredients, suspended or emulsified in water, were applied directly after sowing by means of finely distributing nozzles. The containers were irrigated gently to promote germination and growth and subsequently covered with transparent plastic hoods until the plants had rooted. This cover caused uniform germination of the test plants unless this was adversely affected by the active ingredients.
- For the post-emergence treatment, the test plants were grown to a plant height of from 3 to 15 cm, depending on the plant habit, and only then treated with the active ingredients which had been suspended or emulsified in water. To this end, the test plants were either sown directly and grown in the same containers, or they were first grown separately as seedlings and transplanted into the test containers a few days prior to treatment. The rate of application for the post-emergence treatment was 31.2 or 15.6 g/ha a.s. (active substance).

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Depending on the species, the plants were kept at from 10 to 25°C and 20 to 35°C, respectively. The test period extended over 2 to 4 weeks. During this time, the plants were tended, and their response to the individual treatments was evaluated.

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Evaluation was carried out using a scale of from 0 to 100. 100 means no emergence of the plants, or complete destruction of at least the aerial parts, and 0 means no damage or normal course of growth.

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The plants used in the greenhouse experiments belonged to the following species:

15	Scientific name	Common name
	Chenopodium album	lambsquarters (goosefoot)
	Setaria faberii	giant foxtail
20	Sinapsis alba	white mustard
	Solanum nigrum	black nightshade
	Triticum aestivum	wheat
	Zea mays	Indian corn

- 25 Compound 3.33 (Table 3) was very effective against the abovementioned mono- and dicotyledonous harmful plants and was well tolerated in winter wheat and maize when applied post-emergence at rates of application of 31.2 and 15.6 g/ha, respectively.

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